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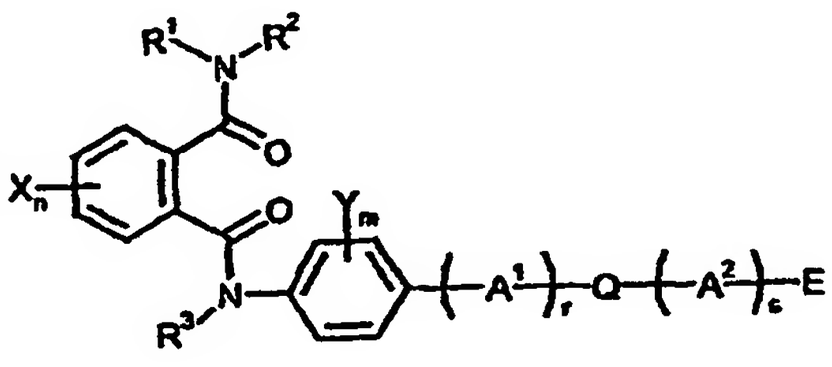
(54) Title: INSECTICIDAL PHTHALAMIDE DERIVATIVES



(I)

(57) Abstract: Novel insecticidal phthalamide derivatives of the formula (I), in which is a 5- or 6- membered heterocyclic group, a plurality of processes for preparing these compounds and their use for controlling pests.

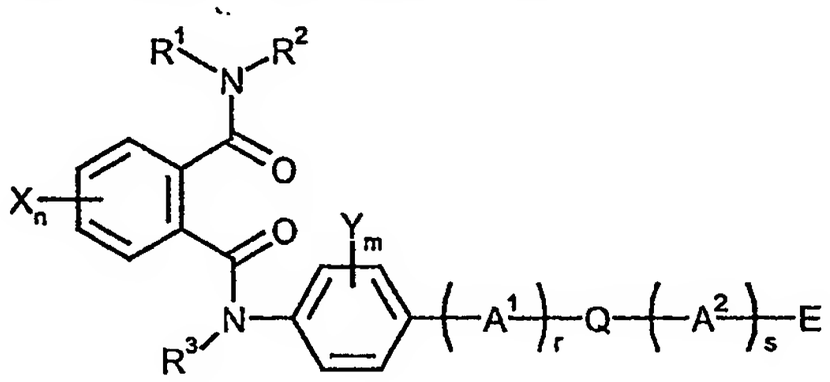
Insecticidal Phthalamide Derivatives

The present invention relates to novel phthalamide derivatives, to processes for their preparation and to their use as insecticides.

Certain phthalamide derivatives showing an action as insecticide are already known (cf. EP-A 0 919 542, WO 01/00575, JP-A 2001-64268, EP-A 1 006 107, JP-A 2003-40864, WO 01/21576 and WO 03/11028). Further, it is already known that certain phthalamide derivatives show an action as pharmaceutical (cf. EP-A 0 119 428).

The conventional phthalamide derivatives, however, are not fully satisfactory in terms of effects as insecticide.

There have now been found novel phthalamide derivatives of the following formula (I)



(I)

wherein

X represents hydrogen, halogen, C_1-C_6-alkyl, C_1-C_6-haloalkyl, nitro, cyano, C_1-C_6-alkylsulfonyloxy, C_1-C_6-haloalkylsulfonyloxy, phenylsulfonyloxy, C_1-C_6-alkylthio-C_1-C_6-alkyl, C_1-C_6-alkylsulfinyl-C_1-C_6-alkyl, C_1-C_6-alkylsulfonyl-C_1-C_6-alkyl, C_1-C_6-alkylsulfonylamino, bis(C_1-C_6-alkylsulfonyl)amino or C_1-C_6-alkylcarbonyloxy,

n represents 1, 2, 3 or 4,

Y represents hydrogen, halogen, C_1-C_6-alkyl, C_1-C_6-haloalkyl, C_1-C_6-alkoxy, C_1-C_6-haloalkoxy, C_1-C_6-alkylthio, C_1-C_6-haloalkylthio or cyano,

m represents 1, 2, 3 or 4,

R^1 represents C_1-C_8-alkyl, C_1-C_8-alkyl which is mono- or poly-substituted by substituents selected from the group consisting of cyano, nitro, C_1-C_6-alkylaminosulfonyl, N,N-di(C_1-C_6-alkyl)aminosulfonyl, C_1-C_6-alkylsulfonylamino, N-C_1-C_6-alkylsulfonyl-N-C_1-C_6-alkylamino, C_1-C_6-alkyl-carbonylamino, halo-C_1-C_6-alkyl, N-C_1-C_6-alkyl-carbonyl-N-C_1-C_6-alkylamino, C_1-C_6-alkyl-thiocarbonylamino, N-C_1-C_6-alkylthiocarbonyl-N-C_1-C_6-alkylamino, C_1-C_6-alkoxyimino-C_1-C_6-alkyl, C_1-C_6-alkyl-aminocarbonyl, N,N-di(C_1-C_6-alkyl)-aminocarbonyl, C_1-C_6-alkyl-aminothiocarbonyl, N,N-di(C_1-C_6-alkyl)-aminothiocarbonyl, C_1-C_6-alkoxy-carbonylamino, C_1-C_6-alkoxy-carbonyl-C_1-C_6-alkylamino, C_1-C_6-alkylamino-carbonyloxy, N,N-

di(C_1-C_6-alkyl)amino-carbonyloxy, C_1-C_6-alkoxy-thiocarbonylamino, C_1-C_6-alkoxy-thiocarbonyl-C_1-C_6-alkylamino, C_1-C_6-alkylamino-thiocarbonyloxy, N,N-di(C_1-C_6-alkyl)-amino-thiocarbonyloxy, C_1-C_6-alkylthio-carbonylamino, C_1-C_6-alkylthio-carbonyl-C_1-C_6-alkyl-amino, C_1-C_6-alkylamino-carbonylthio, N,N-di(C_1-C_6-alkyl)amino-carbonylthio, C_1-C_6-alkyl-thio-thiocarbonylamino, C_1-C_6-alkylthio-thiocarbonyl-C_1-C_6-alkylamino, C_1-C_6-alkylamino-thiocarbonylthio, N,N-di(C_1-C_6-alkyl)amino-thiocarbonylthio, C_3-C_6-cycloalkyl, C_1-C_6-alkoxy-C_1-C_6-alkyl, C_1-C_6-alkylthio-C_1-C_6-alkyl, C_1-C_6-alkylsulfinyl-C_1-C_6-alkyl and C_1-C_6-alkylsulfonyl-C_1-C_6-alkyl, or represents C_3-C_8-cycloalkyl which may be substituted by substituents selected from the group consisting of C_1-C_4-alkyl, C_1-C_4-alkylthio or C_1-C_2-alkylthio-C_1-C_2-alkyl,

R^2 represents hydrogen or C_1-C_6-alkyl,

R^3 represents hydrogen or C_1-C_6-alkyl,

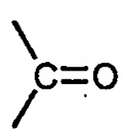
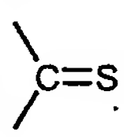
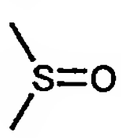
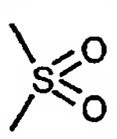
A^1 represents straight chain or branched chain C_1-C_8-alkylene, C_1-C_8-haloalkylene, C_2-C_8-alkenylene, C_2-C_8-haloalkenylene, C_2-C_8-alkynylene, C_2-C_8-haloalkynylene, C_1-C_8-alkylene-amino, C_1-C_8-alkylene(C_1-C_6-alkylamino), C_1-C_8-alkyleneoxy or C_1-C_8-alkylenethio,

r represents 0 or 1,

A^2 represents straight chain or branched chain C_1-C_8-alkylene, C_1-C_8-haloalkylene, C_2-C_8-alkenylene, C_2-C_8-haloalkenylene, C_2-C_8-alkynylene or C_2-C_8-haloalkynylene,

s represents 0 or 1,

Q represents a 5- or 6-membered heterocyclic group containing 1 to 4 hetero atoms selected from 0 to 4 nitrogen atom, 0 to 1 oxygen atom, and 0 to 1 sulphur atom, however not containing an oxygen atom and a sulphur atom at the same time, and said heterocyclic group

may have one to three  , one to three  , one  or one 

as ring constituent, and said heterocyclic group may be optionally substituted with at least one or more substituents selected from the below-mentioned group of substituents W^1 wherein said substituents may be identical or different,

W^1 represents halogen, C_1-C_6-alkyl, C_1-C_6-alkoxy, C_1-C_6-alkylthio, C_1-C_6-alkylsulfinyl, C_1-C_6-alkylsulfonyl, C_1-C_6-haloalkyl, C_1-C_6-haloalkoxy, C_1-C_6-haloalkylthio, C_1-C_6-haloalkylsulfinyl, C_1-C_6-haloalkylsulfonyl, C_3-C_6-cycloalkyl, C_1-C_6-alkylthio-C_1-C_6-alkyl, C_1-C_6-alkylsulfinyl-C_1-C_6-alkyl, C_1-C_6-alkylsulfonyl-C_1-C_6-alkyl,

E represents phenyl, biphenyl, naphthyl, pyridyl, pyridazinyl, pyrimidinyl, pyrazinyl, thienyl, furyl or pyrrolyl, wherein said group is optionally mono- or poly-substituted by substituents selected from the group W^2 wherein said substituents may be identical or different,

W^2 represents halogen, nitro, C_1-C_6-alkyl, C_1-C_6-alkoxy, C_1-C_6-alkylthio, C_1-C_6-alkylsulfinyl, C_1-C_6-alkylsulfonyl, C_1-C_6-haloalkyl, C_1-C_6-haloalkoxy, C_1-C_6-haloalkylthio, C_1-C_6-haloalkylsulfinyl, C_1-C_6-haloalkylsulfonyl, C_3-C_6-cycloalkyl, C_1-C_6-alkylthio-C_1-C_6-alkyl, C_1-C_6-al-

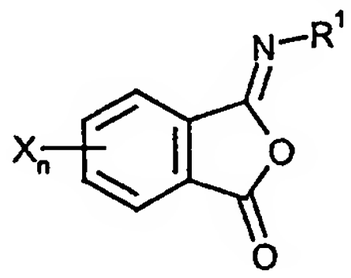
kylsulfinyl-C_1-C_6-alkyl or C_1-C_6-alkylsulfonyl-C_1-C_6-alkyl, or represents C_3-C_5-alkylene, C_3-C_5-haloalkylene, oxy-C_2-C_4-alkylene, oxy-C_2-C_4-haloalkylene, C_2-C_4-alkyleneoxy, C_2-C_4-haloalkyleneoxy, C_1-C_3-alkylenedioxy or C_1-C_3-haloalkylenedioxy, in case that W^2 are two adjacent substituents.

Depending, if appropriate, on the type and number of substituents, the compounds of the formula (I) can be present as geometrical and/or optical isomers, regioisomers and/or configurational isomers or isomer mixtures thereof of varying composition. What is claimed by the invention are both the pure isomers and the isomer mixtures.

The compounds of the formula (I) of the present invention can be obtained, for example, by the following preparation processes (a), (b), (c), (d), (e) and (f):

Preparation process (a): in case that R^2 in the formula (I) represents hydrogen.

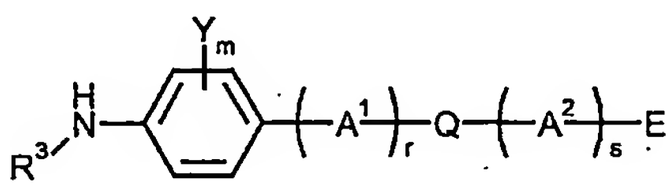
A process of reacting compounds of the formula (II)



(II)

wherein R^1, X and n have the same definition as aforementioned,

with compounds of the formula (III)



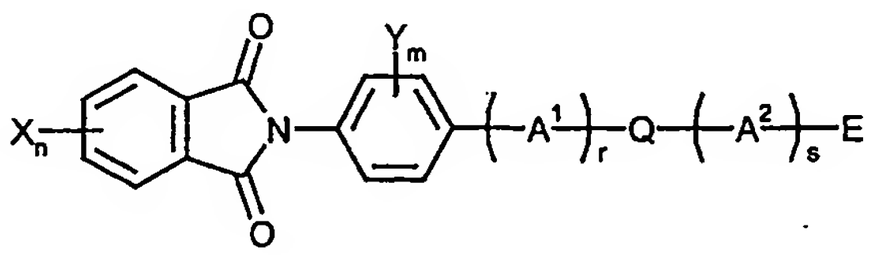
(III)

wherein R^3, Y, m, A^1, r, Q, A^2, s and E have the same definition as aforementioned,

in the presence of inert solvents.

Preparation process (b): in case that R^3 in the formula (I) represents hydrogen atom.

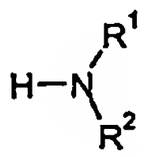
A process of reacting compounds of the formula (IV)



(IV)

wherein X, n, Y, m, A^1, r, Q, A^2, s and E have the same definition as aforementioned,

with compounds of the formula (V)



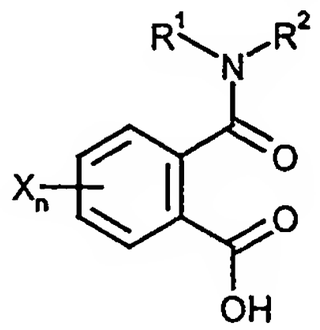
(V)

wherein R^1 and R^2 have the same definition as aforementioned,

in the presence of inert solvents, and if appropriate, in the presence of a base.

Preparation process (c):

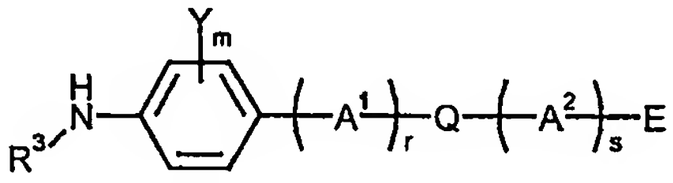
A process of reacting a compound represented by the formula (VI)



(VI)

wherein X, n, R^1 and R^2 have the same definition as aforementioned,

with the compounds of the formula (III),



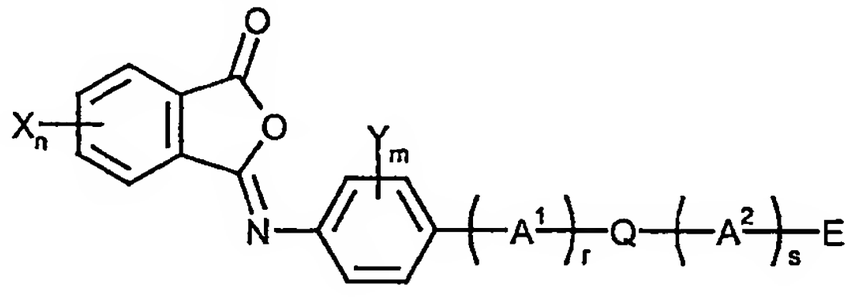
(III)

wherein R^3, Y, m, A^1, r, Q, A^2, s and E have the same definition as aforementioned,

in the presence of inert solvents.

Preparation process (d): in case that R^3 in the formula (I) represents hydrogen atom.

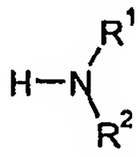
A process of reacting compounds of the formula (VII)



(VII)

wherein X, n, Y, m, A^1, r, Q, A^2, s and E have the same definition as aforementioned,

with the compounds of the formula (V),



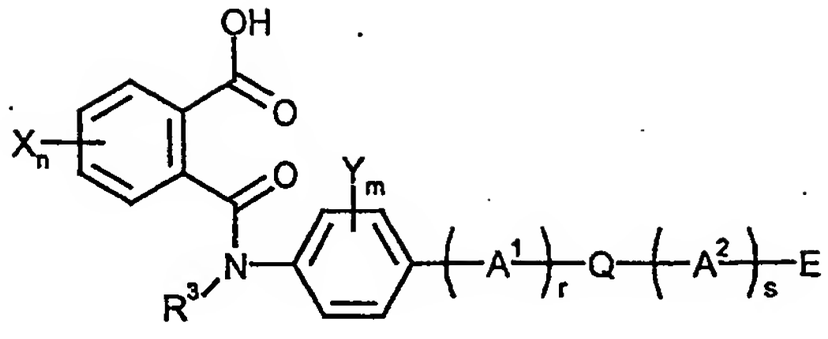
(V)

wherein R^1 and R^2 have the same definition as aforementioned,

in the presence of inert solvents.

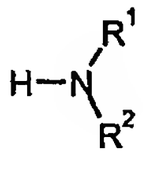
Preparation process (e):

A process of reacting a compounds of the formula (VIII)



(VIII)

wherein X, n, R^3, Y, m, A^1, r, Q, A^2, s and E have the same definition as aforementioned, with the compounds of the formula (V),

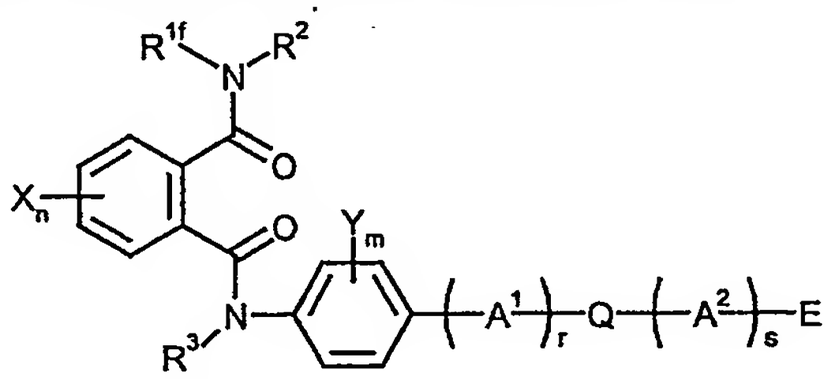


(V)

wherein R^1 and R^2 have the same definition as aforementioned,
in the presence of inert solvents.

Preparation process (f): in case that R^1 in the formula (I) represents C_1-C_6-alkylsulfinyl-C_1-C_6-alkyl or C_1-C_6-alkylsulfonyl-C_1-C_6-alkyl.

A process of reacting compounds of the formula (If)



(If)

wherein R^{1f} represents C_1-C_6-alkylthio-C_1-C_6-alkyl, and
X, n, R^2, R^3, Y, m, A^1, r, Q, A^2, s and E have the same definition as aforementioned,
with an oxidizing agent in the presence of inert solvents.

According to the present invention, the phthalamide derivatives of the aforementioned formula (I) show strong insecticidal action.

The formula (I) provides a general definition of the phthalamide derivatives according to the invention.

Preferred substituents or ranges of radicals listed in the formulae mentioned above and below are illustrated below:

X preferably represents hydrogen, halogen, C_1-C_4-alkyl, C_1-C_4-haloalkyl, nitro, cyano, C_1-C_4-alkylsulfonyloxy, C_1-C_4-haloalkylsulfonyloxy, phenylsulfonyloxy, C_1-C_4-alkylthio-C_1-C_4-

alkyl, C_1-C_4-alkylsulfinyl-C_1-C_4-alkyl, C_1-C_4-alkylsulfonyl-C_1-C_4-alkyl, C_1-C_4-alkylsulfonylamino, bis(C_1-C_4-alkylsulfonyl)amino or C_1-C_4-alkylcarbonyloxy.

X particularly preferably represents hydrogen, fluorine, chlorine, bromine, iodine, methyl, ethyl, n- or iso-propyl, n-, sec-, iso- or tert-butyl, trifluoromethyl, difluoromethyl, dichlorofluoromethyl, trichloromethyl, nitro, cyano, methylsulfonyloxy, ethylsulfonyloxy, trifluoromethylsulfonyloxy, phenylsulfonyloxy, methylthiomethyl, methylthioethyl, ethylthiomethyl, ethylthioethyl, methylsulfinylmethyl, methylsulfinylethyl, ethylsulfinylmethyl, ethylsulfinylethyl, methylsulfonylmethyl, methylsulfonylethyl, ethylsulfonylmethyl, ethylsulfonylethyl, methylsulfonylamino, ethylsulfonylamino, di(methylsulfonyl)amino, di(ethylsulfonyl)amino, methylcarbonyloxy or ethylcarbonyloxy.

X very particularly preferably represents hydrogen, fluorine, chlorine, bromine, iodine, methyl, tert-butyl, trifluoromethyl, nitro, cyano, methylsulfonyloxy, ethylsulfonyloxy, trifluoromethylsulfonyloxy, phenylsulfonyloxy, methylsulfonylamino, di(methylsulfonyl)amino or methylcarbonyloxy.

n preferably represents 1, 2 or 4.

n particularly preferably represents 1.

n furthermore, particularly preferably represents 2.

n furthermore, particularly preferably represents 4.

Y preferably represents hydrogen, halogen, C_1-C_4-alkyl, C_1-C_4-haloalkyl, C_1-C_4-alkoxy, C_1-C_4-haloalkoxy, C_1-C_4-alkylthio, C_1-C_4-haloalkylthio or cyano.

Y particularly preferably represents hydrogen, fluorine, chlorine, bromine, methyl, ethyl, n- or iso-propyl, n-, sec-, iso- or tert-butyl, trifluoromethyl, difluoromethyl, dichlorofluoromethyl, trichloromethyl, methoxy, ethoxy, n- or iso-propoxy, n-, sec-, iso- or tert-butoxy, trifluoromethoxy, methylthio, ethylthio, n- or iso-propylthio, n-, sec-, iso- or tert-butylthio, trifluoromethylthio or cyano.

Y very particularly preferably represents hydrogen, chlorine, methyl, trifluoromethyl, methoxy or trifluoromethoxy.

m preferably represents 1 or 2.

m particularly preferably represents 1.

m furthermore, particularly preferably represents 2.

R^1 preferably represents C_1-C_6-alkyl, C_1-C_6-alkyl which is mono- or poly-substituted by substituents selected from the group consisting of cyano, nitro, C_1-C_4-alkylaminosulfonyl, N,N-di(C_1-C_4-alkyl)aminosulfonyl, C_1-C_4-alkylsulfonylamino, N-C_1-C_4-alkylsulfonyl-N-C_1-C_4-al-

kylamino, C_1-C_4-alkyl-carbonylamino, halo-C_1-C_4-alkyl, N-C_1-C_4-alkyl-carbonyl-N-C_1-C_4-alkylamino, C_1-C_4-alkyl-thiocarbonylamino, N-C_1-C_4-alkylthiocarbonyl-N-C_1-C_4-alkyl-amino, C_1-C_4-alkoxyimino-C_1-C_4-alkyl, C_1-C_4-alkyl-aminocarbonyl, N,N-di(C_1-C_4-alkyl)-aminocarbonyl, C_1-C_4-alkyl-aminothiocarbonyl, N,N-di(C_1-C_4-alkyl)-aminothiocarbonyl, C_1-C_4-alkoxy-carbonylamino, C_1-C_4-alkoxy-carbonyl-C_1-C_4-alkylamino, C_1-C_4-alkylamino-carbonyloxy, N,N-di(C_1-C_4-alkyl)amino-carbonyloxy, C_1-C_4-alkoxy-thiocarbonylamino, C_1-C_4-alkoxy-thiocarbonyl-C_1-C_4-alkylamino, C_1-C_4-alkylamino-thiocarbonyloxy, N,N-di(C_1-C_4-alkyl)amino-thiocarbonyloxy, C_1-C_4-alkylthio-carbonylamino, C_1-C_4-alkylthio-carbonyl-C_1-C_4-alkylamino, C_1-C_4-alkylamino-carbonylthio, N,N-di(C_1-C_4-alkyl)amino-carbonylthio, C_1-C_4-alkylthio-thiocarbonylamino, C_1-C_4-alkylthio-thiocarbonyl-C_1-C_4-alkylamino, C_1-C_4-alkylamino-thiocarbonylthio, N,N-di(C_1-C_4-alkyl)amino-thiocarbonylthio, C_3-C_6-cycloalkyl, C_1-C_4-alkoxy-C_1-C_4-alkyl, C_1-C_4-alkylthio-C_1-C_4-alkyl, C_1-C_4-alkylsulfinyl-C_1-C_4-alkyl and C_1-C_4-alkylsulfonyl-C_1-C_4-alkyl, or represents C_3-C_6-cycloalkyl which may be substituted by C_1-C_2-alkyl, C_1-C_2-alkylthio or C_1-C_2-alkylthio-C_1-C_2-alkyl.

R^1 particularly preferably represents methyl, ethyl, n- or iso-propyl, n-, sec-, iso- or tert-butyl, n-pentyl, 1-methylbutyl, 1-ethylpropyl, n-hexyl, 1,3-dimethylbutyl; methyl, ethyl, n- or iso-propyl, n-, sec-, iso- or tert-butyl, each of which is mono- or poly-substituted by substituents selected from the group consisting of cyano, nitro, methylaminosulfonyl, ethylaminosulfonyl, N,N-di(methyl)aminosulfonyl, N,N-di(ethyl)aminosulfonyl, methylsulfonylamino, ethylsulfonylamino, N-methylsulfonyl-N-methylamino, N-ethylsulfonyl-N-methylamino, N-methylsulfonyl-N-ethylamino, N-ethylsulfonyl-N-ethylamino, methyl-carbonylamino, ethyl-carbonylamino, trifluoromethyl, pentafluoroethyl, N-methyl-carbonyl-N-methylamino, methylthiocarbonylamino, ethyl-thiocarbonylamino, N-methylthiocarbonyl-N-methylamino, methoxyimino-methyl, methoxyimino-ethyl, ethoxyimino-methyl, ethoxyimino-ethyl, methylaminocarbonyl, ethyl-aminocarbonyl, N,N-di(methyl)-aminocarbonyl, N,N-di(ethyl)-aminocarbonyl, methyl-aminothiocarbonyl, ethyl-aminothiocarbonyl, N,N-di(methyl)-aminothiocarbonyl, N,N-di(ethyl)-aminothiocarbonyl, methoxy-carbonylamino, ethoxy-carbonylamino, methoxy-carbonyl-methylamino, ethoxy-carbonyl-methylamino, methoxy-carbonyl-ethylamino, ethoxy-carbonyl-ethylamino, methylamino-carbonyloxy, ethylamino-carbonyloxy, N,N-di(methyl)amino-carbonyloxy, N,N-di(ethyl)amino-carbonyloxy, methoxy-thiocarbonylamino, ethoxy-thiocarbonylamino, methoxy-thiocarbonyl-methylamino, methoxy-thiocarbonyl-ethylamino, ethoxy-thiocarbonyl-methylamino, ethoxy-thiocarbonyl-ethylamino, methylamino-thiocarbonyloxy, ethylamino-thiocarbonyloxy, N,N-di(methyl)amino-thiocarbonyloxy, N,N-di(ethyl)amino-thiocarbonyloxy, methylthio-carbonylamino, ethylthio-carbonylamino, methylthio-carbonyl-methylamino, ethylthio-carbonyl-methylamino, methylthio-carbonyl-ethylamino, ethylthio-carbonyl-ethylamino, methylamino-carbonylthio, ethylamino-carbonylthio, N,N-di(methyl)amino-carbonylthio, N,N-di(ethyl)amino-carbonylthio, methyl-

thio-thiocarbonylamino, ethylthio-thiocarbonylamino, methylthio-thiocarbonyl-methylamino, ethylthio-thiocarbonyl-methylamino, methylthio-thiocarbonyl-ethylamino, ethylthio-thiocarbonyl-ethylamino, methylamino-thiocarbonylthio, ethylamino-thiocarbonylthio, N,N-di(methyl)amino-thiocarbonylthio, N,N-di(methyl)amino-thiocarbonylthio, cyclopropyl, cyclopentyl, cyclohexyl, methoxy-methyl, ethoxy-methyl, methoxy-ethyl, ethoxy-ethyl, methylthio-methyl, ethylthio-methyl, methylthio-ethyl, ethylthio-ethyl, methylsulfinyl-methyl, ethylsulfinyl-methyl, methylsulfinyl-ethyl, ethylsulfinyl-ethyl, methylsulfonyl-methyl, ethylsulfonyl-methyl, methylsulfonyl-ethyl, and ethylsulfonyl-ethyl; or represents cyclopropyl, cyclopentyl, cyclohexyl, each of which may be substituted by substituents selected from the group consisting of methyl, ethyl, methylthio, ethylthio, methylthiomethyl, ethylthiomethyl, methylthioethyl and ethylthioethyl.

R^1 very particularly preferably represents methyl, ethyl, n- or iso-propyl, n- or sec-butyl, n-pentyl, 1-methylbutyl, 1-ethylpropyl, 1,3-dimethylbutyl; methyl, ethyl, n- or iso-propyl, n-, sec-, iso- or tert-butyl, each of which is mono- or poly-substituted by substituents selected from the group consisting of cyano, methylaminosulfonyl, ethylaminosulfonyl, N,N-di-(methyl)aminosulfonyl, N,N-di(ethyl)aminosulfonyl, N-methylsulfonyl-N-methylamino, methyl-carbonylamino, trifluoromethyl, pentafluoroethyl, methoxyimino-methyl, methoxyimino-ethyl, ethoxyimino-methyl, ethoxyimino-ethyl, methyl-aminocarbonyl, ethyl-aminocarbonyl, N,N-di(methyl)-aminocarbonyl, N,N-di(ethyl)-aminocarbonyl, methyl-aminothiocarbonyl, ethyl-aminothiocarbonyl, N,N-di(methyl)-aminothiocarbonyl, N,N-di(ethyl)-aminothiocarbonyl, methoxy-carbonylamino, methylamino-carbonyloxy, ethylamino-carbonyloxy, N,N-di(methyl)amino-carbonyloxy, N,N-di(ethyl)amino-carbonyloxy, methylamino-thiocarbonyloxy, N,N-di(methyl)amino-thiocarbonyloxy, methylamino-carbonylthio, ethylamino-carbonylthio, methylamino-thiocarbonylthio, ethylamino-thiocarbonylthio, cyclohexyl, methoxy-methyl, ethoxy-methyl, methylthio-methyl, methylsulfinyl-methyl and methylsulfonyl-methyl; or cyclopropyl, cyclopentyl, cyclohexyl, each of which may be substituted by substituents selected from the group consisting of methyl, methylthio and methylthiomethyl.

R^2 preferably represents hydrogen or C_1-C_4-alkyl.

R^2 particularly preferably represents hydrogen, methyl or ethyl.

R^2 very particularly preferably represents hydrogen or ethyl.

R^3 preferably represents hydrogen or C_1-C_4-alkyl.

R^3 particularly preferably represents hydrogen, methyl, ethyl, n- or iso-propyl.

R^3 very particularly preferably represents hydrogen, methyl, ethyl or iso-propyl.

A^1 preferably represents straight chain or branched chain C_1-C_6-alkylene, C_1-C_6-haloalkylene, C_2-C_6-alkenylene, C_2-C_6-haloalkenylene, C_2-C_6-alkynylene, C_2-C_6-haloalkynylene, C_1-C_6-alkylene-amino, C_1-C_6-alkylene(C_1-C_4-alkylamino), C_1-C_6-alkyleneoxy or C_1-C_6-alkylenethio.

A^1 particularly preferably represents $-CH_2-$, $-(CH_2)_2-$, $-(CH_2)_3-$, $-CH(CH_3)-$, $-OCH_2-$, $-CH_2O-$, $-O(CH_2)_2-$, $-(CH_2)_2O-$, $-SCH_2-$, $-CH_2S-$, $-S(CH_2)_2-$ or $-(CH_2)_2S-$.

A^1 very particularly preferably represents $-CH_2-$, $-(CH_2)_2-$, $-CH(CH_3)-$, $-OCH_2-$, $-O(CH_2)_2-$ or $-CH_2S-$.

r preferably represents 0.

r furthermore preferably represents 1.

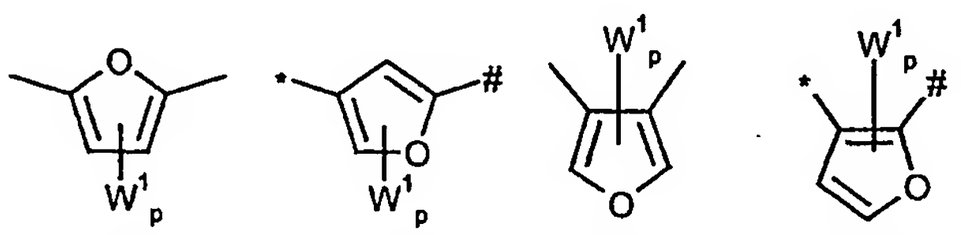
A^2 preferably represents straight chain or branched chain C_1-C_6-alkylene, C_1-C_6-haloalkylene, C_2-C_6-alkenylene, C_2-C_6-haloalkenylene, C_2-C_6-alkynylene or C_2-C_6-haloalkynylene.

A^2 particularly preferably represents $-CH_2-$, $-(CH_2)_2-$, $-(CH_2)_3-$, $-CH(CH_3)-$, $-CH_2-CH=CH-$.

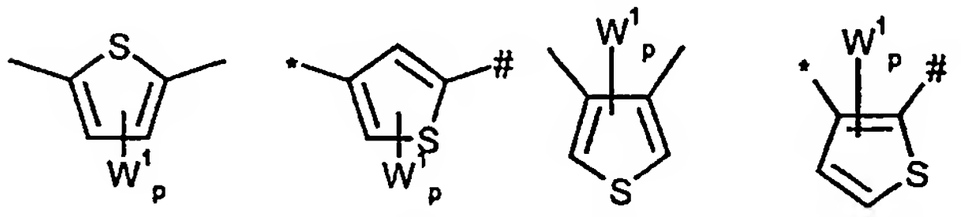
s preferably represents 0.

s furthermore preferably represents 1.

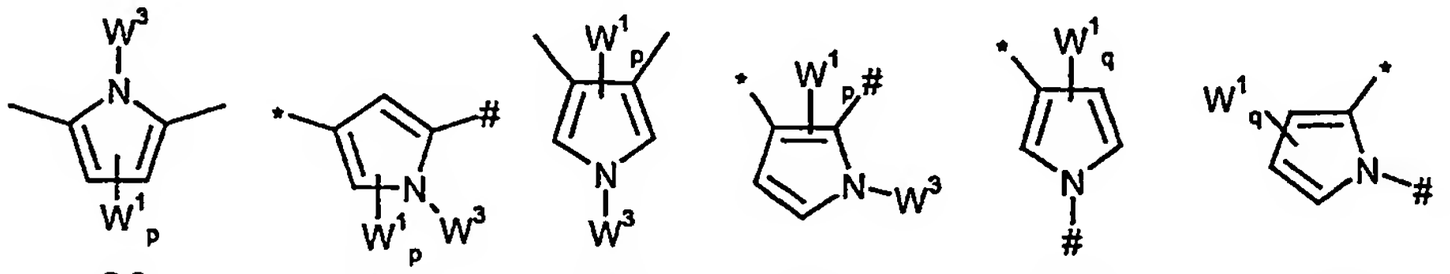
Q preferably represents pyridinylene, pyridazinylene, pyrimidinylene, pyrazinylene, each of which is optionally mono- or poly-substituted by substituents selected from group W^1 wherein said substituents may be identical or different, or further represents the below-mentioned groups;



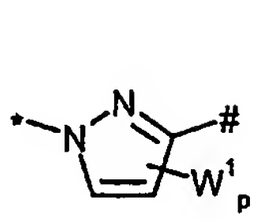
Q1 Q2 Q3 Q4



Q5 Q6 Q7 Q8



Q9 Q10 Q11 Q12 Q13 Q14



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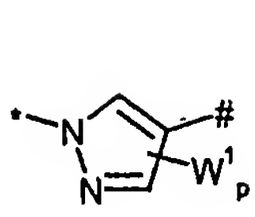

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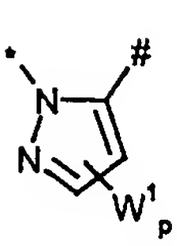

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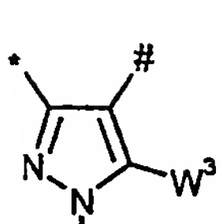

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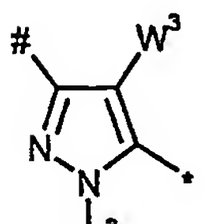

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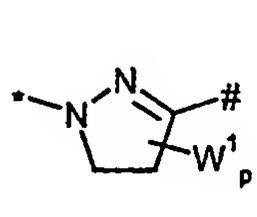

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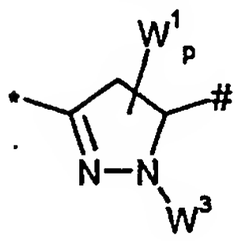

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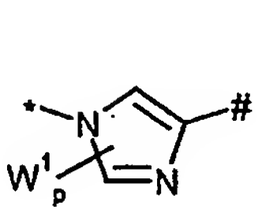

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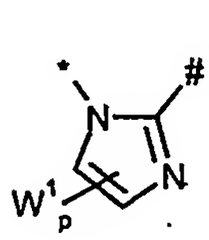

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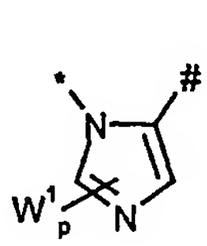

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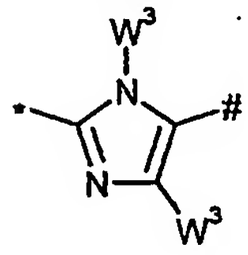

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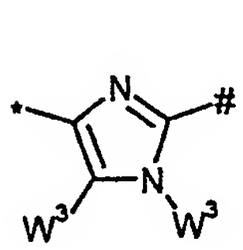

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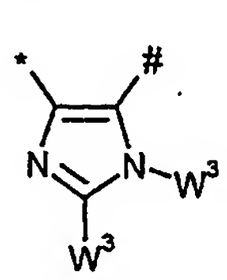

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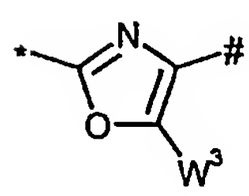

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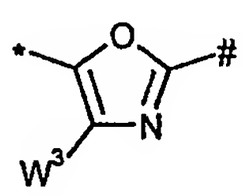

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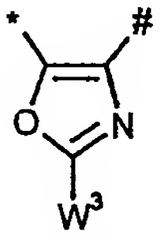

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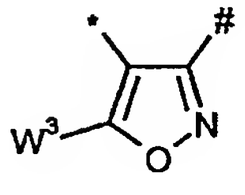

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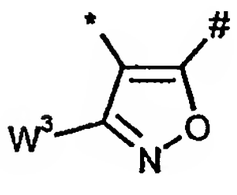

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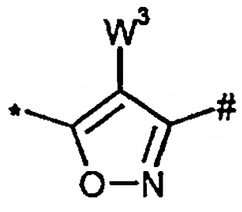

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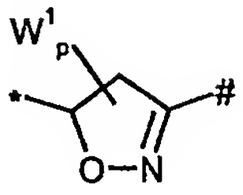

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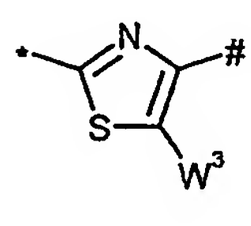

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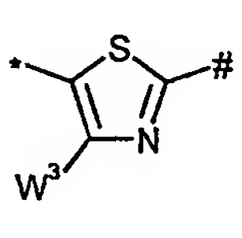

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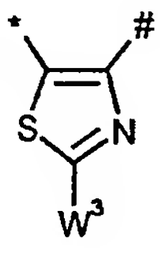

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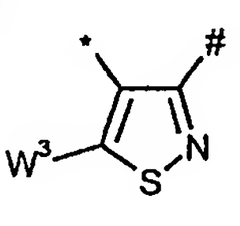

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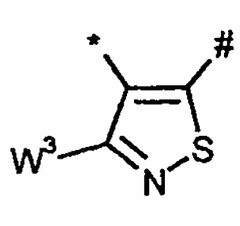

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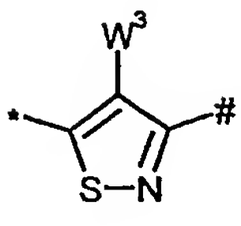

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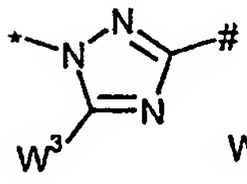

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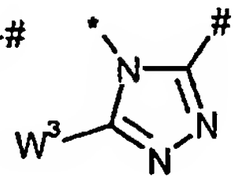

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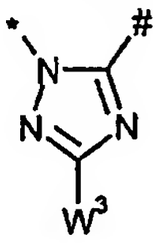

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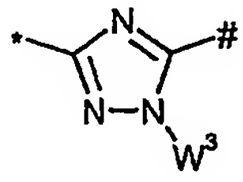

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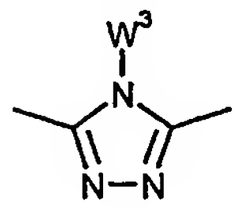

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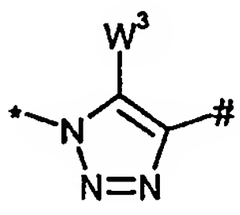

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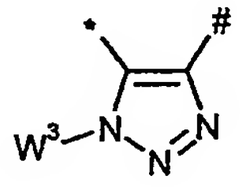

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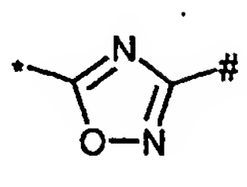

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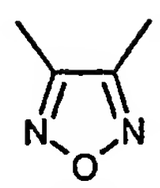
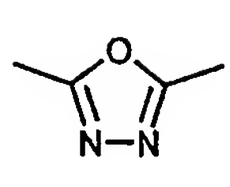
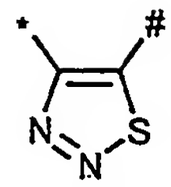


Q49



Q50



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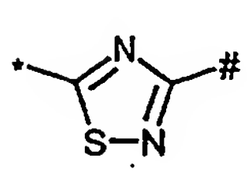

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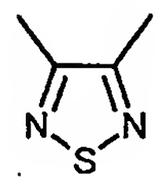
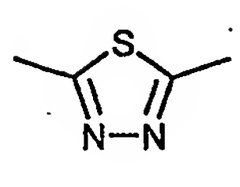
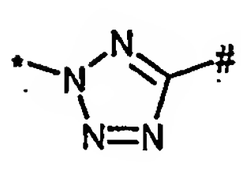


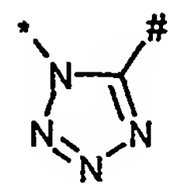
Q53



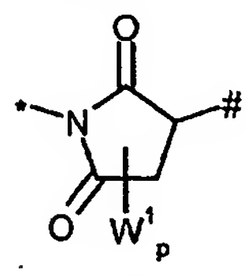
Q54



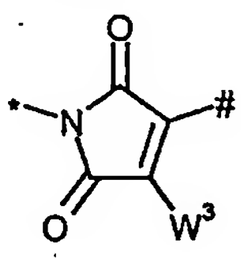
Q55



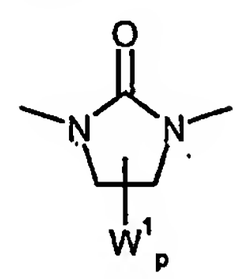
Q56



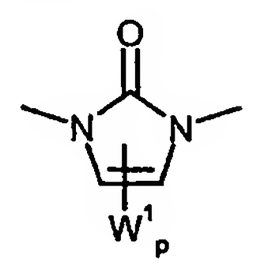
Q57



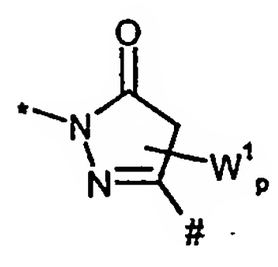
Q58



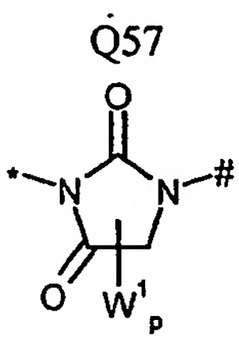
Q59



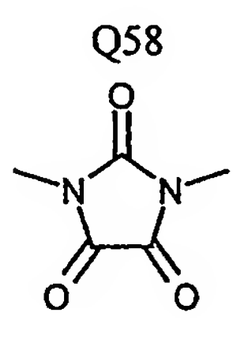
Q60



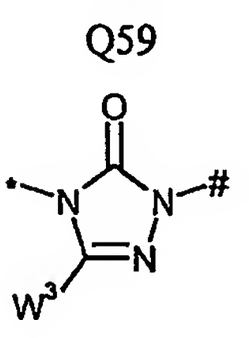
Q61



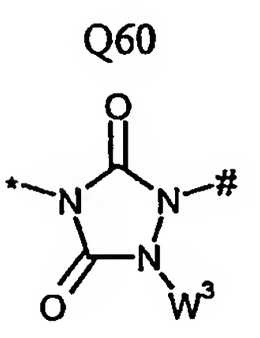
Q62



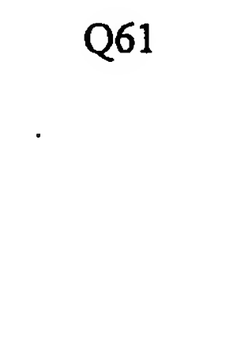
Q63



Q64



Q65



Q66 Q67 Q68 Q69 Q70

(wherein the bond marked with * connects with A^1 and the bond marked with # connects with A^2, or the bond marked with # connects with A^1 and the bond marked with * connects with A^2)

Q particularly preferably represents Q15, Q17, Q22, Q23, Q29, Q34, Q35, Q45, Q48, Q50, Q55, Q56, Q58, Q59, Q60, Q61, Q62, Q63, Q64, Q66 and Q69.

Q very particularly preferably represents Q15.

Q furthermore very particularly preferably represents Q17.

Q furthermore very particularly preferably represents Q22.

Q furthermore very particularly preferably represents Q23.

Q furthermore very particularly preferably represents Q29.

Q furthermore very particularly preferably represents Q34.

Q furthermore very particularly preferably represents Q35.

Q furthermore very particularly preferably represents Q45.

Q furthermore very particularly preferably represents Q48.

Q furthermore very particularly preferably represents Q50.

Q furthermore very particularly preferably represents Q55.

Q furthermore very particularly preferably represents Q56.

Q furthermore very particularly preferably represents Q58.

Q furthermore very particularly preferably represents Q59.

Q furthermore very particularly preferably represents Q60.

Q furthermore very particularly preferably represents Q61.

Q furthermore very particularly preferably represents Q62.

Q furthermore very particularly preferably represents Q63.

Q furthermore very particularly preferably represents Q64.

Q furthermore very particularly preferably represents Q66.

Q furthermore very particularly preferably represents Q69.

W^1 preferably represents halogen, C_1-C_4-alkyl, C_1-C_4-alkoxy, C_1-C_4-alkylthio, C_1-C_4-alkylsulfinyl, C_1-C_4-alkylsulfonyl, C_1-C_4-haloalkyl, C_1-C_4-haloalkoxy, C_1-C_4-haloalkylthio, C_1-C_4-haloalkylsulfinyl, C_1-C_4-haloalkylsulfonyl, C_3-C_6-cycloalkyl, C_1-C_4-alkylthio-C_1-C_4-alkyl, C_1-C_4-alkylsulfinyl-C_1-C_4-alkyl, C_1-C_4-alkylsulfonyl-C_1-C_4-alkyl.

W^1 particularly preferably represents methyl, ethyl, methoxy, methylthio, methylsulfinyl or methylsulfonyl.

W^1 very particularly preferably represents methyl.

E preferably represents phenyl, biphenyl, naphthyl, pyridyl, pyridazinyl, pyrimidinyl, pyrazinyl, thienyl, furyl or pyrrolyl, wherein said group is optionally mono- or poly-substituted by substituents selected from the group W^2 wherein said substituents may be identical or different.

E particularly preferably represents phenyl, biphenyl, 3-pyridyl, 2-thienyl, 2-furyl or 2-pyrrolyl, wherein said group is optionally mono- to tetra-substituted by substituents selected from the group W^2 wherein said substituents may be identical or different.

E very particularly preferably represents phenyl, biphenyl, 3-pyridyl or 2-thienyl, wherein said group is optionally mono- to tetra-substituted by substituents selected from the group W^2 wherein said substituents may be identical or different.

W^2 preferably represents halogen, nitro, C_1-C_4-alkyl, C_1-C_4-alkoxy, C_1-C_4-alkylthio, C_1-C_4-alkylsulfinyl, C_1-C_4-alkylsulfonyl, C_1-C_4-haloalkyl, C_1-C_4-haloalkoxy, C_1-C_4-haloalkylthio, C_1-C_4-haloalkylsulfinyl, C_1-C_4-haloalkylsulfonyl, C_3-C_6-cycloalkyl, C_1-C_4-alkylthio-C_1-C_4-alkyl, C_1-C_4-alkylsulfinyl-C_1-C_4-alkyl or C_1-C_4-alkylsulfonyl-C_1-C_4-alkyl, or represents C_3-C_5-alkylene, C_3-C_5-haloalkylene, oxy-C_2-C_4-alkylene, oxy-C_2-C_4-haloalkylene, C_2-C_4-alkyleneoxy, C_2-C_4-haloalkyleneoxy, C_1-C_3-alkylenedioxy or C_1-C_3-haloalkylenedioxy, in case W^2 are two adjacent substituents.

W^2 particularly preferably represents fluorine, chlorine, bromine, nitro, methyl, ethyl, n- or iso-propyl, n-, sec-, iso- or tert-butyl, methoxy, ethoxy, n- or iso-propoxy, n-, sec-, iso- or tert-butoxy, trifluoromethoxy, difluoromethoxy, methylthio, ethylthio, n- or iso-propylthio, n-, sec-, iso- or tert-butylthio, trifluoromethyl, difluoromethyl, dichlorofluoromethyl, trichloromethyl, trifluoromethoxy, difluoromethoxy, trifluoromethylthio, or represents $-OCF_2O-$, $-O(CF_2)_2O-$, $-OCHFCF_2O-$, $-OCF_2CHFO-$, in case W^2 are two adjacent substituents.

W^2 very particularly preferably represents fluorine, chlorine, bromine, nitro, methyl, ethyl, isopropyl, methoxy, trifluoromethoxy, difluoromethoxy, methylthio, trifluoromethylthio, or represents $-OCF_2O-$, $-O(CF_2)_2O-$, $-OCHFCF_2O-$, $-OCF_2CHFO-$, in case W^2 are two adjacent substituents.

W^3 represents hydrogen or has the same definition as the aforementioned W^1,

W^3 preferably represents hydrogen, methyl, trifluoromethyl or methylthio.

p represents 0, 1 or 2.

p preferably represents 0.

p furthermore preferably represents 1.

q represents 0, 1, 2 or 3.

q preferably represents 0.

q furthermore preferably represents 1.

Compounds of formula (I), in which r is 0 and s is 0 are preferred.

Compounds of formula (I), in which r is 1 and s is 1 are preferred.

Compounds of formula (I), in which r is 1 and s is 0 are particularly preferred.

Compounds of formula (I), in which R^2 and R^3 are both hydrogen are preferred.

Compounds of formula (I), in which n is 1 and X is located in 3-position are preferred.

Compounds of formula (I), in which X is iodine are preferred.

Compounds of formula (I), in which Y is methyl are preferred.

Compounds of formula (I), in which A^1 is $-CH_2-$ are preferred.

Compounds of formula (I), in which E is mono- to tetra-substituted phenyl, where the substituents are selected from the group W^2, are preferred.

Compounds of formula (I), in which Q is Q66 are preferred.

The general or preferred radical definitions or illustrations listed above apply both to the end products and, correspondingly, to the starting materials and intermediates. These radical definitions can be

combined with one another as desired, i.e. including combinations between the respective preferred ranges.

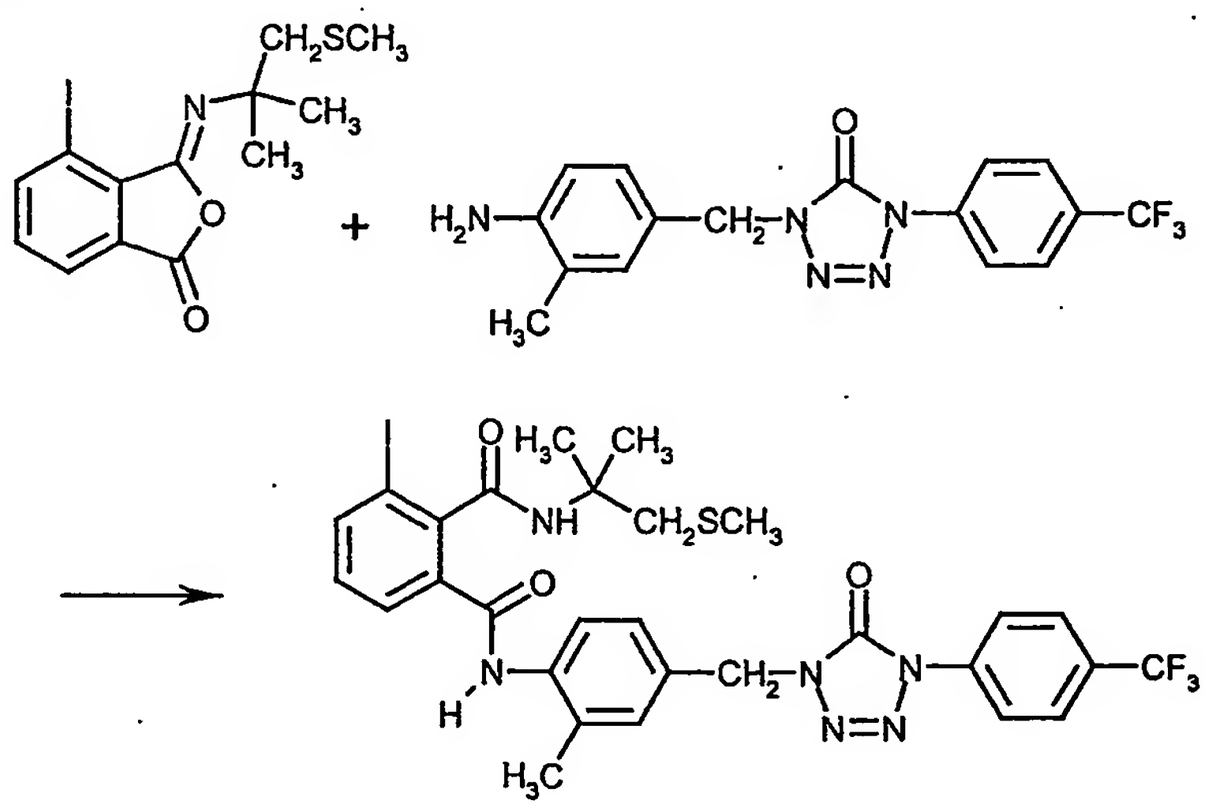
Preference according to the invention is given to the compounds of the formula (I) which contain a combination of the meanings listed above as being preferred.

Particular preference according to the invention is given to the compounds of the formula (I) which contain a combination of the meanings listed above as being particularly preferred.

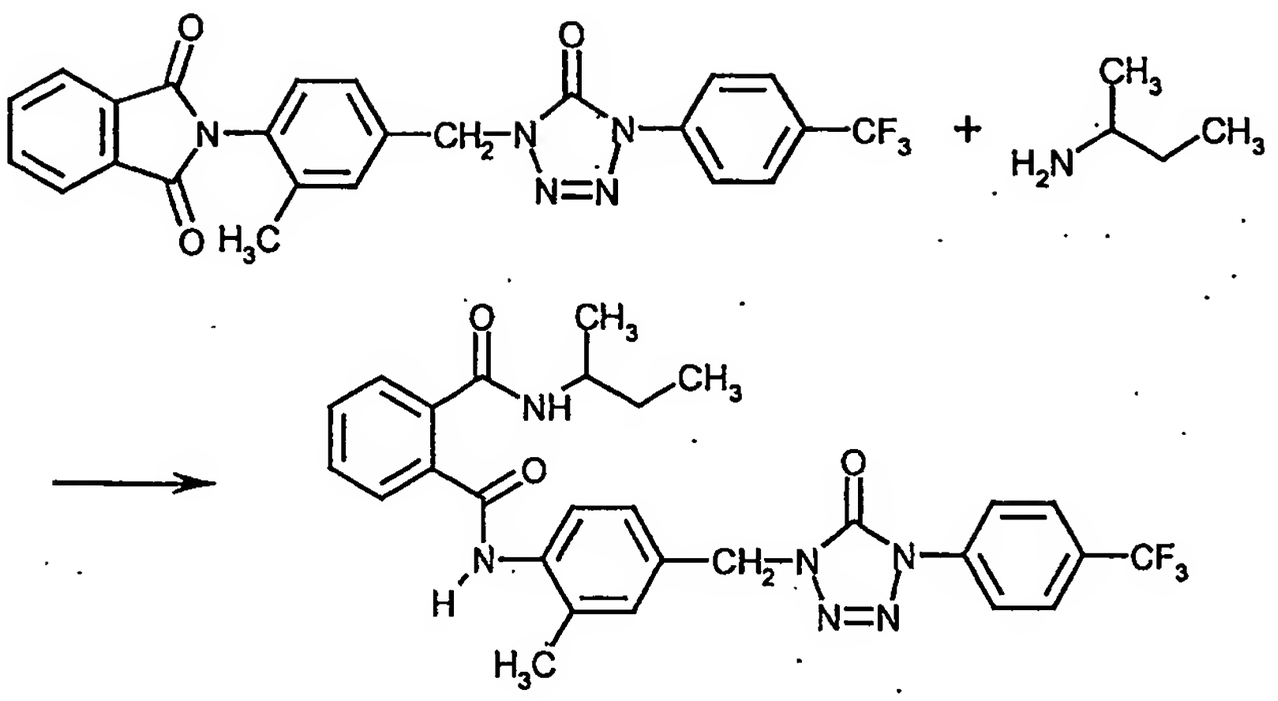
Very particular preference according to the invention is given to the compounds of the formula (I) which contain a combination of the meanings listed above as being very particularly preferred.

In the radical definitions given above and below, carbon radicals, such as alkyl, are in each case straight-chain or branched as far as this is possible – including in combination with hetero atoms such as alkoxy.

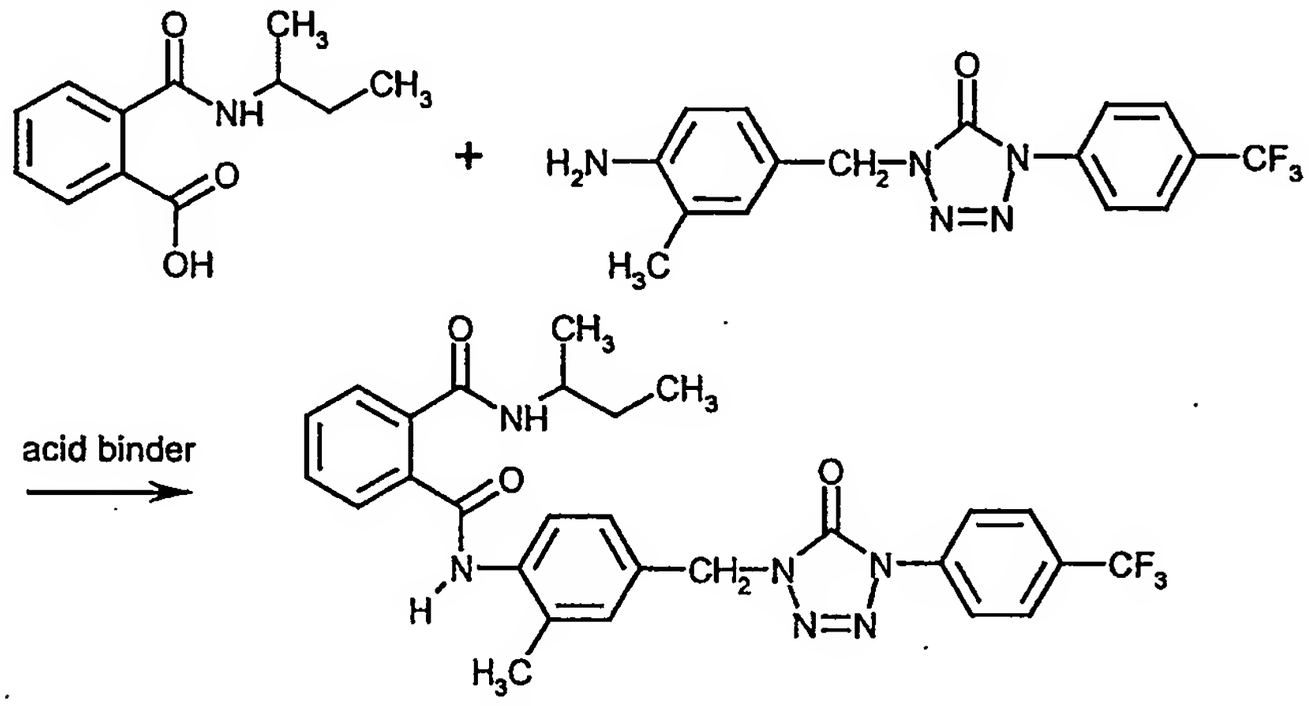
The aforementioned preparation process (a) can be illustrated by the following reaction scheme in case, for example, that 3-(1,1-dimethyl-2- methylthioethylimino)-4-iodo-3H-isobenzofuran-1-one and 1-(4-amino-3-methylbenzyl)- 4-(4-trifluoromethylphenyl)-1,4-dihydrotetrazol-5-one are used as starting materials.



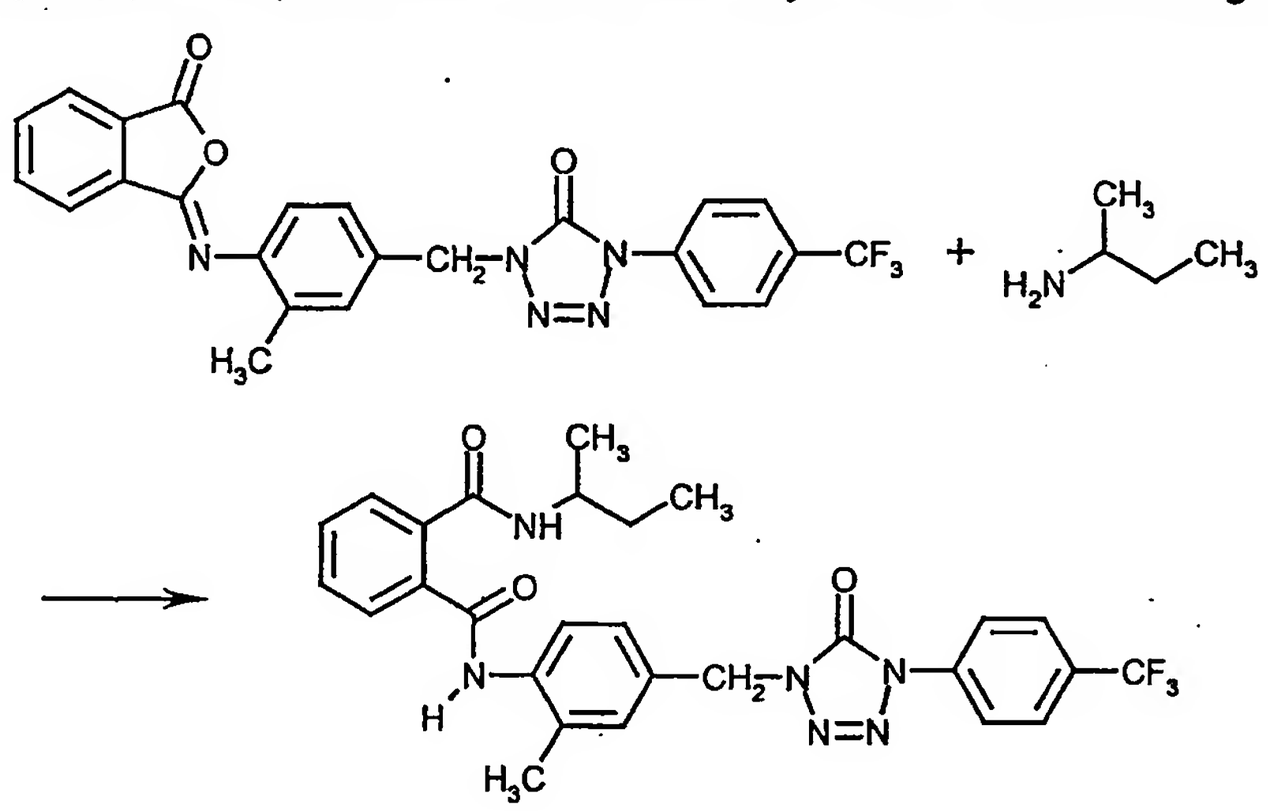
The aforementioned preparation process (b) can be illustrated by the following reaction scheme in case, for example, that 2-{2-methyl-4-[5-oxo-4-(4-trifluoromethylphenyl)-4,5-dihydrotetrazol-1-yl-methyl]phenyl}isoindole-1,3-dione and sec-butylamine are used as starting materials.



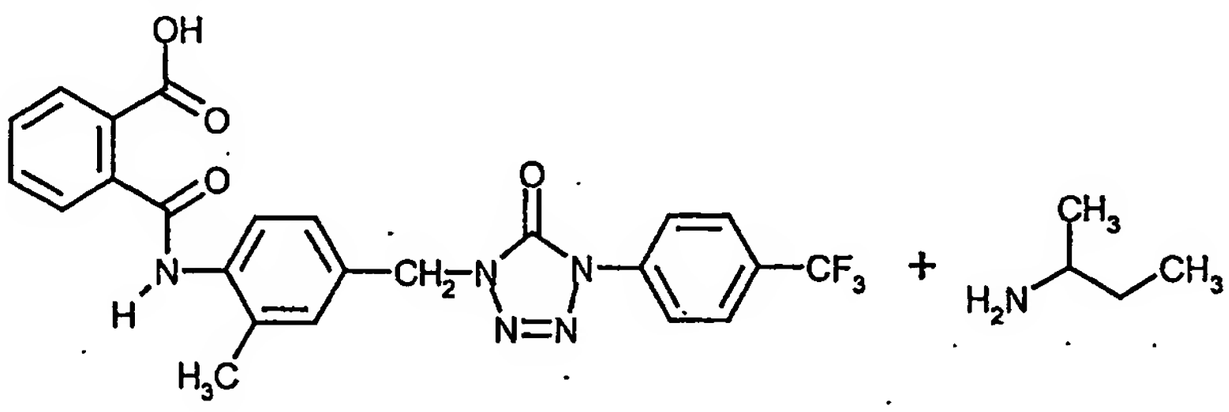
The aforementioned preparation process (c) can be illustrated by the following reaction scheme in case, for example, that N-(1-methyl-propyl)phthalamic acid and 1-(4-amino-3-methylbenzyl)-4-(4-trifluoromethylphenyl)-1,4-dihydrotetrazol-5-one are used as starting materials.

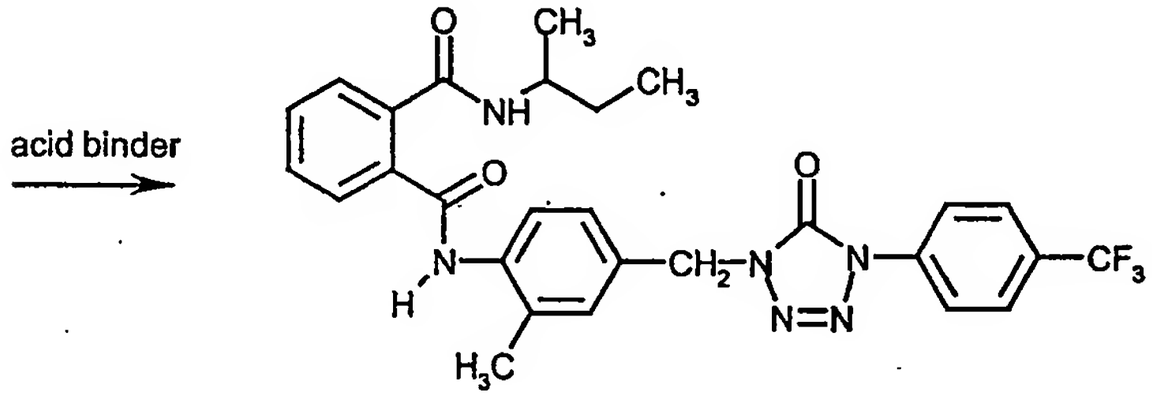


The aforementioned preparation process (d) can be illustrated by the following reaction scheme in case, for example, that 1-[4-(3-oxo-3H-isobenzofuran-1-ylideneamino)-3-methyl-benzyl]-4-(4-trifluoromethyl-phenyl)-1,4-dihydrotetrazol-5-one and sec-butylamine are used as starting materials.

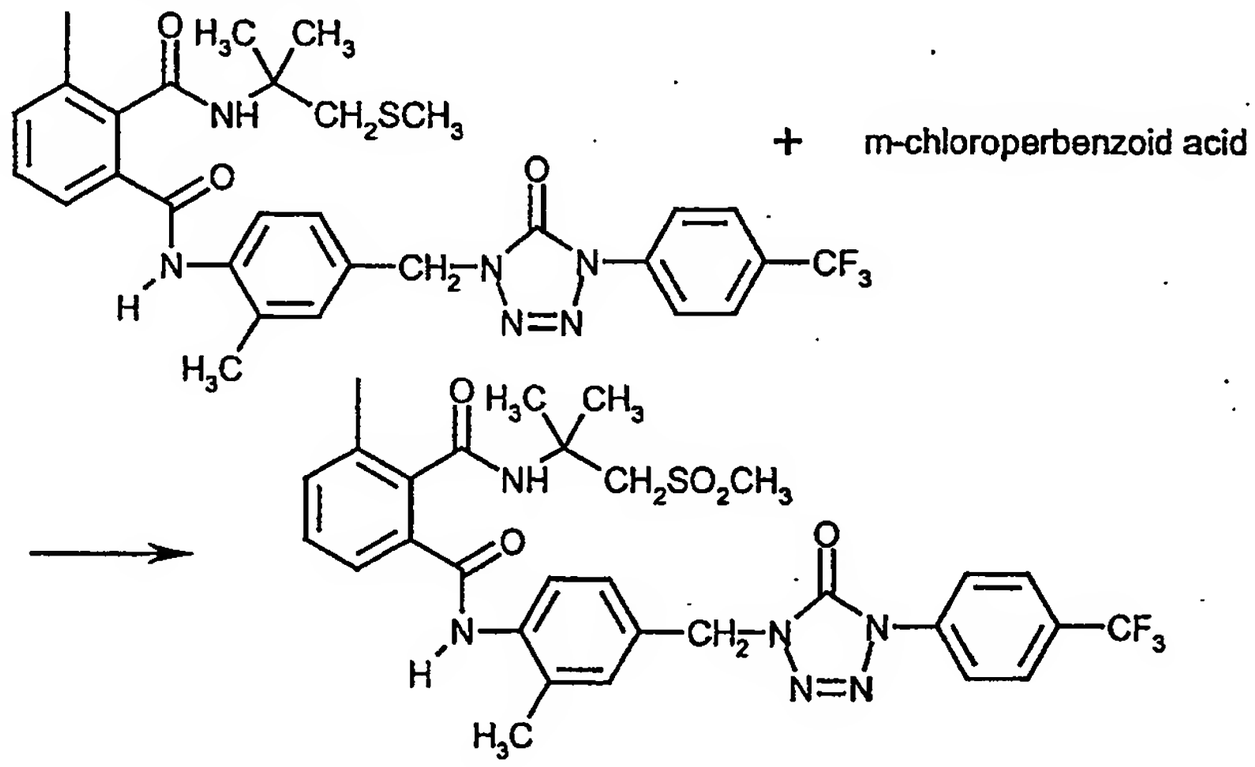


The aforementioned preparation process (e) can be illustrated by the following reaction scheme in case, for example, that N-{2-methyl-4-[5-oxo-4-(4-trifluoromethyl-phenyl)-4,5-dihydro-tetrazol-1-yl-methyl]-phenyl}-phthalamic acid and sec-butylamine are used as starting materials.





The aforementioned preparation process (f) can be illustrated by the following reaction scheme in case, for example, that N^2-(1,1-dimethyl-2-methylthioethyl)-3-iodo-N^1-[2-methyl-4-(5-oxo-4-(4-trifluoromethylphenyl)-4,5-dihydro-tetrazol-1-ylmethyl)-phenyl]-phthalamide and m-chloroperbenzoic acid are used as starting materials.



The compounds of the formula (II), starting material in the above-mentioned preparation process (a), are per se known compounds and can be easily prepared according to the process described in, for example, EP-A 0 919 542, EP-A 1 006 107.

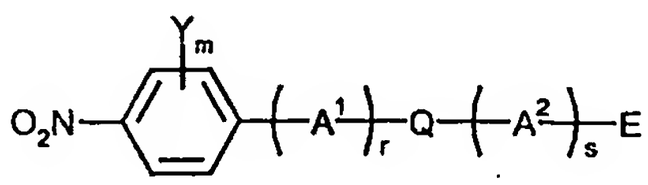
As specific examples of the compounds of the formula (II) used as starting material in the preparation process (a) there can be mentioned the following:

3-isopropylimino-3H-isobenzofuran-1-one,

4-fluoro-3-isopropylimino-3H-isobenzofuran-1-one,
4-chloro-3-isopropylimino-3H-isobenzofuran-1-one,
4-bromo-3-isopropylimino-3H-isobenzofuran-1-one,
4-iodo-3-isopropylimino-3H-isobenzofuran-1-one,
3-isopropylimino-4-nitro-3H-isobenzofuran-1-one,
3-isopropylimino-5-nitro-3H-isobenzofuran-1-one,
3-(1-methyl-2-methylsulfanyl-ethylimino)-3H-isobenzofuran-1-one,
4-fluoro-3-(1-methyl-2-methylsulfanyl-ethylimino)-3H-isobenzofuran-1-one,
4-chloro-3-(1-methyl-2-methylsulfanyl-ethylimino)-3H-isobenzofuran-1-one,
4-bromo-3-(1-methyl-2-methylsulfanyl-ethylimino)-3H-isobenzofuran-1-one,
4-iodo-3-(1-methyl-2-methylsulfanyl-ethylimino)-3H-isobenzofuran-1-one,
3-(1-methyl-2-methylsulfanyl-ethylimino)-4-nitro-3H-isobenzofuran-1-one,
3-(1,1-dimethyl-2-methylsulfanyl-ethylimino)-3H-isobenzofuran-1-one,
3-(1,1-dimethyl-2-methylsulfanyl-ethylimino)-4-fluoro-3H-isobenzofuran-1-one,
4-chloro-3-(1,1-dimethyl-2-methylsulfanyl-ethylimino)-3H-isobenzofuran-1-one,
4-bromo-3-(1,1-dimethyl-2-methylsulfanyl-ethylimino)-3H-isobenzofuran-1-one,
3-(1,1-dimethyl-2-methylsulfanyl-ethylimino)-4-iodo-3H-isobenzofuran-1-one,
3-(1,1-dimethyl-2-methylsulfanyl-ethylimino)-4-nitro-3H-isobenzofuran-1-one,
3-(1,1-dimethyl-2-methylsulfanyl-ethylimino)-4-methyl-3H-isobenzofuran-1-one,
3-(1,1-dimethyl-2-methylsulfanyl-ethylimino)-5-methyl-3H-isobenzofuran-1-one,
4,7-dichloro-3-(1,1-dimethyl-2-methylsulfanyl-ethylimino)-3H-isobenzofuran-1-one,
5,6-dichloro-3-(1,1-dimethyl-2-methylsulfanyl-ethylimino)-3H-isobenzofuran-1-one,
4,5,6,7-tetrachloro-3-(1,1-dimethyl-2-methylsulfanyl-ethylimino)-3H-isobenzofuran- 1-one,
3-isopropylimino-1-oxo-1,3-dihydro-isobenzofuran-4-yl methanesulfonate,
3-(1-methyl-2-methylsulfanyl-ethylimino-1-oxo-1,3-dihydro-isobenzofuran-4-yl methanesulfonate,
3-(1,1-dimethyl-2-methylsulfanyl-ethylimino-1-oxo-1,3-dihydro-isobenzofuran-4-yl methanesulfonate.

The compounds of the formula (III), starting material in the above-mentioned preparation process (a), include novel compounds not mentioned in the existing literature as a part.

Their corresponding anilines can be obtained, for example, by a catalytic hydrogen reduction, a well-known process in the field of organic chemistry, by reducing compounds of the formula



(IX)

wherein Y, m, A^1, r, Q, A^2, s and E have the same definitions as aforementioned

with hydrogen in the presence of a catalytic reduction catalyst, for example, palladium carbon, Raney nickel, platinum oxide.

Compounds of the formula (III), in which R^3 corresponds alkyl, can be obtained by formylating the amino group of the anilines, further alkylating and then de-formylating. Moreover, compounds of the formula (III), in which R^3 corresponds alkyl, can also be obtained by preparing a Schiff base complex by a reaction of the anilines obtained by the reduction of compounds of the formula (IX) and a ketone or an aldehyde and then by catalytically reducing it.

The compounds of the above-mentioned formula (IX) are, as will be described later in detail, novel compounds.

As specific examples of the compounds of the formula (III) there can be mentioned, for example,

1-(4-amino-3-methyl-benzyl)-1H-pyrazole,

1-(4-amino-3-methyl-benzyl)-3-methyl-1H-pyrazole,

1-(4-amino-3-methyl-benzyl)-4-methyl-1H-pyrazole,

1-(4-amino-3-methyl-benzyl)-4,5-dichloro-1H-imidazole,

1-(4-amino-3-methyl-benzyl)-1H-1,2,3-triazole,

1-(4-amino-3-methyl-benzyl)-1H-1,2,4-triazole,

1-(4-amino-3-methyl-benzyl)-1H-tetrazole,

1-(4-amino-3-methyl-benzyl)-5-methyl-1H-tetrazole,

1-(4-amino-3-methyl-benzyl)-5-(2-chloro-phenyl)-1H-tetrazole,

1-(4-amino-3-methyl-benzyl)-5-(3-trifluoromethyl-phenyl)-1H-tetrazole,

1-(4-amino-3-methyl-benzyl)-5-(4-trifluoromethyl-phenyl)-1H-tetrazole,

1-(4-amino-3-methyl-benzyl)-5-(3,5-bis-trifluoromethyl-phenyl)-1H-tetrazole,

1-(4-amino-3-methyl-benzyl)-5-(3-trifluoromethoxy-phenyl)-1H-tetrazole,

1-(4-amino-3-methyl-benzyl)-3-(4-trifluoromethyl-phenyl)-imidazolydin-2-one,

1-(4-amino-3-methyl-benzyl)-3-(4-trifluoromethyl-phenyl)-1,3-dihydro-imidazol-2-one,

1-(4-amino-3-methyl-benzyl)-3-(4-trifluoromethyl-phenyl)-imidazolydin-2,4-dione,

1-(4-amino-3-methyl-benzyl)-3-(4-trifluoromethyl-phenyl)-imidazolydin-2,4,5-trione,

1-(4-amino-3-methyl-benzyl)-3-(4-trifluoromethyl-phenyl)-1H-pyrazole,

4-(4-amino-3-methyl-benzyl)-2-(2-fluoro-phenyl)-2,4-dihydro-1,2,4-triazol-3-one,

4-(4-amino-3-methyl-benzyl)-2-(2-chloro-phenyl)-2,4-dihydro-1,2,4-triazol-3-one,

4-(4-amino-3-methyl-benzyl)-2-(2-trifluoromethyl-phenyl)-2,4-dihydro-1,2,4-triazol-3-one,

4-(4-amino-3-methyl-benzyl)-2-(3-fluoro-phenyl)-2,4-dihydro-1,2,4-triazol-3-one,

4-(4-amino-3-methyl-benzyl)-2-(3-chloro-phenyl)-2,4-dihydro-1,2,4-triazol-3-one,

4-(4-amino-3-methyl-benzyl)-2-(3-trifluoromethyl-phenyl)-2,4-dihydro-1,2,4-triazol-3-one,

2-(4-fluoro-phenyl)-4-(4-amino-3-methyl-benzyl)-2,4-dihydro-1,2,4-triazol-3-one,
4-(4-amino-3-methyl-benzyl)-2-(4-chloro-phenyl)-2,4-dihydro-1,2,4-triazol-3-one,
4-(4-amino-3-methyl-benzyl)-2-(4-trifluoromethyl-phenyl)-2,4-dihydro-1,2,4-triazol-3-one,
4-(4-amino-3-methyl-benzyl)-2-(3,4-bis-trifluoromethyl-phenyl)-2,4-dihydro-1,2,4-triazol-3-one,
4-(4-amino-3-methyl-benzyl)-2-(3,5-bis-trifluoromethyl-phenyl)-2,4-dihydro-1,2,4-triazol-3-one,
4-(4-amino-3-methyl-benzyl)-5-trifluoromethyl-2-(4-trifluoromethyl-phenyl)-2,4-dihydro-1,2,4-triazol-3-one,
2-(4-amino-3-methyl-benzyl)-4-(2-chloro-phenyl)-2,4-dihydro-1,2,4-triazol-3-one,
2-(4-amino-3-methyl-benzyl)-4-(4-trifluoromethyl-phenyl)-2,4-dihydro-1,2,4-triazol-3-one,
2-(4-amino-3-methyl-benzyl)-5-methyl-4-(4-trifluoromethyl-phenyl)-2,4-dihydro-1,2,4-triazol-3-one,
2-(4-amino-3-methyl-benzyl)-4-(2-chloro-phenyl)-5-methylsulfanyl-2,4-dihydro-1,2,4-triazol-3-one,
2-(4-amino-3-methyl-benzyl)-5-methylsulfanyl-4-(4-trifluoromethyl-phenyl)-2,4-dihydro-1,2,4-triazol-3-one,
1-(4-amino-3-methyl-benzyl)-4-methyl-1,4-dihydro-tetrazol-5-one,
1-(4-amino-3-methyl-benzyl)-4-ethyl-1,4-dihydro-tetrazol-5-one,
1-(4-amino-3-methyl-benzyl)-4-propyl-1,4-dihydro-tetrazol-5-one,
1-(4-amino-3-methyl-benzyl)-4-isobutyl-1,4-dihydro-tetrazol-5-one,
1-(4-amino-3-methyl-benzyl)-4-(2,2,2-trifluoro-ethyl)-1,4-dihydro-tetrazol-5-one,
1-(4-amino-3-methyl-benzyl)-4-(4,4,4-trifluoro-butyl)-1,4-dihydro-tetrazol-5-one,
1-(4-amino-3-methyl-benzyl)-4-(3,3,3-trichloro-2-methyl-propyl)-1,4-dihydro-tetrazol-5-one,
1-(4-amino-3-methyl-benzyl)-4-cyclopropyl-1,4-dihydro-tetrazol-5-one,
1-(4-amino-3-methyl-benzyl)-4-cyclohexyl-1,4-dihydro-tetrazol-5-one,
1-(4-amino-3-methyl-benzyl)-4-phenyl-1,4-dihydro-tetrazol-5-one,
1-(4-amino-3-methyl-benzyl)-4-(2-fluoro-phenyl)-1,4-dihydro-tetrazol-5-one,
1-(4-amino-benzyl)-4-(2-chloro-phenyl)-1,4-dihydro-tetrazol-5-one,
1-(4-amino-3-methyl-benzyl)-4-(2-chloro-phenyl)-1,4-dihydro-tetrazol-5-one,
1-[1-(4-amino-3-methyl-phenyl)-ethyl]-4-(2-chloro-phenyl)-1,4-dihydro-tetrazol-5-one,
1-(4-amino-3-methyl-benzyl)-4-(2-methyl-phenyl)-1,4-dihydro-tetrazol-5-one,
1-(4-amino-3-methyl-benzyl)-4-(2-methoxy-phenyl)-1,4-dihydro-tetrazol-5-one,
1-(4-amino-3-methyl-benzyl)-4-(2-trifluoromethyl-phenyl)-1,4-dihydro-tetrazol-5-one,
1-(4-amino-3-methyl-benzyl)-4-(2-trifluoromethoxy-phenyl)-1,4-dihydro-tetrazol-5-one,
1-(4-amino-3-methyl-benzyl)-4-(3-fluoro-phenyl)-1,4-dihydro-tetrazol-5-one,
1-(4-amino-3-methyl-benzyl)-4-(3-chloro-phenyl)-1,4-dihydro-tetrazol-5-one,
1-(4-amino-3-methyl-benzyl)-4-(3-methyl-phenyl)-1,4-dihydro-tetrazol-5-one,
1-(4-amino-benzyl)-4-(3-trifluoromethyl-phenyl)-1,4-dihydro-tetrazol-5-one,
1-(4-amino-3-methyl-benzyl)-4-(3-trifluoromethyl-phenyl)-1,4-dihydro-tetrazol-5-one,
1-(4-amino-3-methyl-benzyl)-4-(3-difluoromethoxy-phenyl)-1,4-dihydro-tetrazol-5-one,

1-(4-amino-3-methyl-benzyl)-4-(3-trifluoromethoxy-phenyl)-1,4-dihydro-tetrazol-5-one,
1-(4-amino-3-methyl-benzyl)-4-(4-fluoro-phenyl)-1,4-dihydro-tetrazol-5-one,
1-(4-amino-3-methyl-benzyl)-4-(4-chloro-phenyl)-1,4-dihydro-tetrazol-5-one,
1-(4-amino-3-methyl-benzyl)-4-(4-bromo-phenyl)-1,4-dihydro-tetrazol-5-one,
1-(4-amino-3-methyl-benzyl)-4-(4-methyl-phenyl)-1,4-dihydro-tetrazol-5-one,
1-(4-amino-3-methyl-benzyl)-4-(4-isopropyl-phenyl)-1,4-dihydro-tetrazol-5-one,
1-(4-amino-benzyl)-4-(4-trifluoromethyl-phenyl)-1,4-dihydro-tetrazol-5-one,
1-(4-amino-2-chloro-benzyl)-4-(4-trifluoromethyl-phenyl)-1,4-dihydro-tetrazol-5-one,
1-(4-amino-3-chloro-benzyl)-4-(4-trifluoromethyl-phenyl)-1,4-dihydro-tetrazol-5-one,
1-(4-amino-3-methyl-benzyl)-4-(4-trifluoromethyl-phenyl)-1,4-dihydro-tetrazol-5-one,
1-(4-amino-3-methyl-benzyl)-4-(4-difluoromethoxy-phenyl)-1,4-dihydro-tetrazol-5-one,
1-(4-amino-3-methyl-benzyl)-4-(4-trifluoromethoxy-phenyl)-1,4-dihydro-tetrazol-5-one,
1-(4-amino-3-methyl-benzyl)-4-(4-trifluoromethylsulfanyl-phenyl)-1,4-dihydro-tetrazol-5-one,
1-(4-amino-3-methyl-benzyl)-4-(4'-trifluoromethyl-biphenyl-4-yl)-1,4-dihydro-tetrazol-5-one,
1-(4-amino-3-methyl-benzyl)-4-(3',5'-bis-trifluoromethyl-biphenyl-4-yl)-1,4-dihydro-tetrazol-5-one,
1-(4-amino-3-methyl-benzyl)-4-(4-bromo-2-fluoro-phenyl)-1,4-dihydro-tetrazol-5-one,
1-(4-amino-3-methyl-benzyl)-4-(2-fluoro-3-trifluoromethyl-phenyl)-1,4-dihydro-tetrazol-5-one,
1-(4-amino-3-methyl-benzyl)-4-(3-bromo-4-trifluoromethoxy-phenyl)-1,4-dihydro-tetrazol-5-one,
1-(4-amino-3-methyl-benzyl)-4-(3-chloro-4-trifluoromethoxy-phenyl)-1,4-dihydro-tetrazol-5-one,
1-(4-amino-3-methyl-benzyl)-4-(4-fluoro-3-trifluoromethyl-phenyl)-1,4-dihydro-tetrazol-5-one,
1-(4-amino-3-methyl-benzyl)-4-(2-fluoro-5-trifluoromethyl-phenyl)-1,4-dihydro-tetrazol-5-one,
1-(4-amino-3-methyl-benzyl)-4-(4-chloro-3-trifluoromethyl-phenyl)-1,4-dihydro-tetrazol-5-one,
1-(4-amino-3-methyl-benzyl)-4-(3,4-dichloro-phenyl)-1,4-dihydro-tetrazol-5-one,
1-(4-amino-3-methyl-benzyl)-4-(3,4-bis-trifluoromethyl-phenyl)-1,4-dihydro-tetrazol-5-one,
1-(4-amino-3-methyl-benzyl)-4-(3-fluoro-5-trifluoromethyl-phenyl)-1,4-dihydro-tetrazol-5-one,
1-(4-amino-3-methyl-benzyl)-4-(3,5-dichloro-phenyl)-1,4-dihydro-tetrazol-5-one,
1-(4-amino-3-methyl-benzyl)-4-(3,5-dimethoxy-phenyl)-1,4-dihydro-tetrazol-5-one,
1-(4-amino-2-chloro-benzyl)-4-(3,5-bis-trifluoromethyl-phenyl)-1,4-dihydro-tetrazol-5-one,
1-(4-amino-3-chloro-benzyl)-4-(3,5-bis-trifluoromethyl-phenyl)-1,4-dihydro-tetrazol-5-one,
1-(4-amino-3-methyl-benzyl)-4-(3,5-bis-trifluoromethyl-phenyl)-1,4-dihydro-tetrazol-5-one,
1-(4-amino-2-methoxy-benzyl)-4-(3,5-bis-trifluoromethyl-phenyl)-1,4-dihydro-tetrazol-5-one,
1-(4-amino-3-methoxy-benzyl)-4-(3,5-bis-trifluoromethyl-phenyl)-1,4-dihydro-tetrazol-5-one,
1-(4-amino-3,5-dimethyl-benzyl)-4-(3,5-bis-trifluoromethyl-phenyl)-1,4-dihydro-tetrazol-5-one,
1-[1-(4-amino-3-methyl-phenyl)-ethyl]-4-(3,5-bis-trifluoromethyl-phenyl)-1,4-dihydro-tetrazol-5-one,
1-(4-amino-3-methyl-benzyl)-4-(3-chloro-2-methoxy-5-methyl-phenyl)-1,4-dihydro-tetrazol-5-one,
1-(4-amino-3-methyl-benzyl)-4-(2,2-difluoro-benzo[1,3]dioxol-5-yl)-1,4-dihydro-tetrazol-5-one,

1-(4-amino-3-methyl-benzyl)-4-(2,2,3,3-tetrafluoro-2,3-dihydro-benzo[1,4]dioxin-6-yl)-1,4-dihydro-tetrazol-5-one,

1-(4-amino-3-methyl-benzyl)-4-(2,2,3-trifluoro-2,3-dihydro-benzo[1,4]dioxin-6-yl)-1,4-dihydro-tetrazol-5-one,

1-(4-amino-3-methyl-benzyl)-4-(2,3,3-trifluoro-2,3-dihydro-benzo[1,4]dioxin-6-yl)-1,4-dihydro-tetrazol-5-one,

1-(4-amino-3-methyl-benzyl)-4-(2,2,3,3,7-pentafluoro-2,3-dihydro-benzo[1,4]dioxin-6-yl)-1,4-dihydro-tetrazol-5-one,

1-(4-amino-3-methyl-benzyl)-4-(3,5-dichloro-2,6-diethyl-phenyl)-1,4-dihydro-tetrazol-5-one,

1-(4-amino-3-methyl-benzyl)-4-benzyl-1,4-dihydro-tetrazol-5-one,

1-(4-amino-3-methyl-benzyl)-4-(4-fluoro-benzyl)-1,4-dihydro-tetrazol-5-one,

1-(4-amino-3-methyl-benzyl)-4-(4-chloro-phenyl)-1,4-dihydro-tetrazol-5-one,

1-(4-amino-3-methyl-benzyl)-4-(4-trifluoromethyl-phenyl)-1,4-dihydro-tetrazol-5-one,

1-(4-amino-3-methyl-benzyl)-4-[1-(2-fluoro-phenyl)ethyl]-1,4-dihydro-tetrazol-5-one,

1-(4-amino-3-methyl-benzyl)-4-[1-(2-chloro-phenyl)ethyl]-1,4-dihydro-tetrazol-5-one,

1-(4-amino-3-methyl-benzyl)-4-[1-(2-trifluoromethyl-phenyl)-ethyl]-1,4-dihydro-tetrazol-5-one,

1-(4-amino-3-methyl-benzyl)-4-1-[1-(3-fluoro-phenyl)-ethyl]-1,4-dihydro-tetrazol-5-one,

1-(4-amino-3-methyl-benzyl)-4-[1-(3-chloro-phenyl)-ethyl]-1,4-dihydro-tetrazol-5-one,

1-(4-amino-3-methyl-benzyl)-4-[1-(3-trifluoromethyl-phenyl)-ethyl]-1,4-dihydro-tetrazol-5-one,

1-(4-amino-3-methyl-benzyl)-4-[1-(4-fluoro-phenyl)-ethyl]-1,4-dihydro-tetrazol-5-one,

1-(4-amino-3-methyl-benzyl)-4-[1-(4-chloro-phenyl)-ethyl]-1,4-dihydro-tetrazol-5-one,

1-(4-amino-3-methyl-benzyl)-4-[1-(4-trifluoromethyl-phenyl)-ethyl]-1,4-dihydro-tetrazol-5-one,

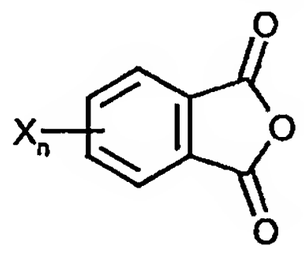
1-(4-amino-3-methyl-benzyl)-4-[1-(2,4-difluoro-phenyl)-ethyl]-1,4-dihydro-tetrazol-5-one,

1-(4-amino-3-methyl-benzyl)-4-[1-(2,4-dichloro-phenyl)-ethyl]-1,4-dihydro-tetrazol-5-one,

1-(4-amino-3-methyl-benzyl)-4-[1-(3,4-difluoro-phenyl)-ethyl]-1,4-dihydro-tetrazol-5-one.

The compounds of the formula (IV), starting materials in the above-mentioned preparation process (b), are novel ones and can be easily obtained according to the process described in JP-A 61- 246161, for example,

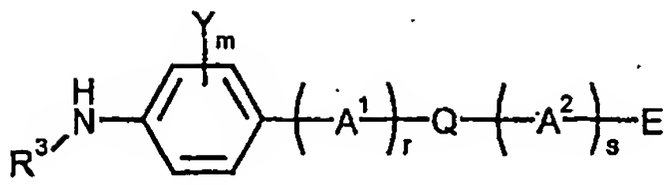
by reacting a compound represented by the formula·



(X)

wherein X and n have the same definition as aforementioned,

with the compounds of the aforementioned formula (III)



(III)

in which R^3 represents a hydrogen atom and Y, m, A^1, r, Q, A^2, s and E have the same definitions as aforementioned.

The reaction can be conducted in an adequate diluent. As examples of the diluent used in that case there can be mentioned aliphatic, alicyclic and aromatic hydrocarbons (may be optionally chlorinated), for example, pentane, hexane, cyclohexane, petroleum ether, ligroine, benzene, toluene, xylene, dichloromethane, chloroform, carbon tetrachloride, 1,2-dichloroethane, chlorobenzene, dichlorobenzene; ethers, for example, ethyl ether, methyl ethyl ether, isopropyl ether, butyl ether, dioxane, dimethoxyethane (DME), tetrahydrofuran (THF), diethylene glycol dimethyl ether (DGM); esters, for example, ethyl acetate, amyl acetate; acid amides, for example, dimethylformamide (DMF), dimethylacetamide (DMA), N-methylpyrrolidone, 1,3-dimethyl-2-imidazolidinone, hexamethyl phosphoric triamide (HMPA); acids, for example, acetic acid.

The reaction can be conducted in a substantially wide range of temperature. It can be conducted at the temperatures in a range of generally room temperature to about 200°C, preferably room temperature to 150°C.

Although said reaction is conducted desirably under normal pressure, it can be operated also under elevated pressure or under reduced pressure.

In conducting the reaction, the aimed compounds can be obtained, for example, by reacting equimolar amount or a little excess amount of the compounds of the formula (III) to 1 mole of the compounds of the formula (X) in a diluent, for example, acetic acid.

Many of the compounds of the above-mentioned formula (X) are known (available on the market) compounds and as their specific examples there can be mentioned,

phthalic anhydride,

3-fluorophthalic anhydride,

3-chlorophthalic anhydride,

3-bromophthalic anhydride,

3-iodophthalic anhydride,

3-methylphthalic anhydride,

3-nitrophthalic anhydride,

3,6-difluorophthalic anhydride,

3,6-dichlorophthalic anhydride,

4,5-dichlorophthalic anhydride,

3,4,5,6-tetrafluorophthalic anhydride,

3,4,5,6-tetrachlorophthalic anhydride,

3-methanesulfonyloxyphthalic anhydride.

Among the above-mentioned examples, 3-methanesulfonyloxyphthalic anhydride can be easily obtained from 3-hydroxyphthalic anhydride and methanesulfonyl chloride according to the process described in *Tetrahedron Lett.*, **1988**, *29*, 5595-5598.

Similarly the compounds of the aforementioned formula (III), in which R^3 represents a hydrogen atom, starting materials for the compounds of the formula (IV), can be easily obtained, as described in the aforementioned preparation process (a), by a catalytic hydrogen reduction of the compounds represented by the aforementioned formula (IX) having a nitro group in place of an amino group, corresponding to the amino group (R^3 = H) in the formula (III).

The catalytic hydrogen reduction can be conducted in an adequate diluent and as examples of the diluent used in that case there can be mentioned ethers, for example, ethyl ether, methyl ethyl ether, isopropyl ether, butyl ether, dioxane, tetrahydrofuran (THF); alcohols, for example, methanol, ethanol, isopropanol, butanol, ethylene glycol, and as catalytic reduction catalyst there can be mentioned palladium carbon, Raney nickel, platinum oxide.

It can be conducted at the temperatures generally between about 0 to about 100°C, preferably room temperature to about 80°C.

Said reaction can be operated under normal pressure to elevated pressure.

For example, an objective compound of the formula (III), in which R^3 represents hydrogen, can be obtained by hydrogenation of 1 mole of the nitro compound in a diluent, for example, ethanol in the presence of 0.1-10 % (w/w) palladium carbon.

Moreover, the compounds of the formula (III), in which R^3 represents hydrogen, can also be obtained by a reaction with a metal etc. instead of a catalytic hydrogen reduction.

As a process using a metal etc. there can be mentioned, for example, a process of treating iron powder in acetic acid, a process of reacting zinc dust under the neutral condition (*Organic Syntheses Collective* Vol. II, p. 447), a process of reacting stannic chloride under an acidic condition (*Organic*

Syntheses Collective Vol. II, p. 254), a process of reacting titanium trichloride under the neutral condition, etc.

As specific examples of the compounds of the formula (III), in which R^3 represents a hydrogen atom, there can be mentioned, for example,

1-(4-amino-3-methyl-benzyl)-1H-pyrazole,

1-(4-amino-3-methyl-benzyl)-3-methyl-1H-pyrazole,

1-(4-amino-3-methyl-benzyl)-4-methyl-1H-pyrazole,

1-(4-amino-3-methyl-benzyl)-4,5-dichloro-1H-imidazole,

1-(4-amino-3-methyl-benzyl)-1H-1,2,3-triazole,

1-(4-amino-3-methyl-benzyl)-1H-1,2,4-triazole,

1-(4-amino-3-methyl-benzyl)-1H-tetrazole,

1-(4-amino-3-methyl-benzyl)-5-methyl-1H-tetrazole,

1-(4-amino-3-methyl-benzyl)-5-(2-chloro-phenyl)-1H-tetrazole,

1-(4-amino-3-methyl-benzyl)-5-(3-trifluoromethyl-phenyl)-1H-tetrazole,

1-(4-amino-3-methyl-benzyl)-5-(4-trifluoromethyl-phenyl)-1H-tetrazole,

1-(4-amino-3-methyl-benzyl)-5-(3,5-bis-trifluoromethyl-phenyl)-1H-tetrazole,

1-(4-amino-3-methyl-benzyl)-5-(3-trifluoromethoxy-phenyl)-1H-tetrazole,

1-(4-amino-3-methyl-benzyl)-3-(4-trifluoromethyl-phenyl)-imidazolydin-2-one,

1-(4-amino-3-methyl-benzyl)-3-(4-trifluoromethyl-phenyl)-1,3-dihydro-imidazol-2- one,

1-(4-amino-3-methyl-benzyl)-3-(4-trifluoromethyl-phenyl)-imidazolydin-2,4-dione,

1-(4-amino-3-methyl-benzyl)-3-(4-trifluoromethyl-phenyl)-imidazolydin-2,4,5-trione,

1-(4-amino-3-methyl-benzyl)-3-(4-trifluoromethyl-phenyl)-1H-pyrazole,

4-(4-amino-3-methyl-benzyl)-2-(2-fluoro-phenyl)-2,4-dihydro-1,2,4-triazol-3-one,

4-(4-amino-3-methyl-benzyl)-2-(2-chloro-phenyl)-2,4-dihydro-1,2,4-triazol-3-one,

4-(4-amino-3-methyl-benzyl)-2-(2-trifluoromethyl-phenyl)-2,4-dihydro-1,2,4-triazol-3-one,

4-(4-amino-3-methyl-benzyl)-2-(3-fluoro-phenyl)-2,4-dihydro-1,2,4-triazol-3-one,

4-(4-amino-3-methyl-benzyl)-2-(3-chloro-phenyl)-2,4-dihydro-1,2,4-triazol-3-one,

4-(4-amino-3-methyl-benzyl)-2-(3-trifluoromethyl-phenyl)-2,4-dihydro-1,2,4-triazol-3-one,

2-(4-fluoro-phenyl)-4-(4-amino-3-methyl-benzyl)-2,4-dihydro-1,2,4-triazol-3-one,

4-(4-amino-3-methyl-benzyl)-2-(4-chloro-phenyl)-2,4-dihydro-1,2,4-triazol-3-one,

4-(4-amino-3-methyl-benzyl)-2-(4-trifluoromethyl-phenyl)-2,4-dihydro-1,2,4-triazol-3-one,

4-(4-amino-3-methyl-benzyl)-2-(3,4-bis-trifluoromethyl-phenyl)-2,4-dihydro-1,2,4-triazol-3-one,

4-(4-amino-3-methyl-benzyl)-2-(3,5-bis-trifluoromethyl-phenyl)-2,4-dihydro-1,2,4-triazol-3-one,

4-(4-amino-3-methyl-benzyl)-5-trifluoromethyl-2-(4-trifluoromethyl-phenyl)-2,4-dihydro-1,2,4-triazol-3-one,

2-(4-amino-3-methyl-benzyl)-4-(2-chloro-phenyl)-2,4-dihydro-1,2,4-triazol-3-one,

2-(4-amino-3-methyl-benzyl)-4-(4-trifluoromethyl-phenyl)-2,4-dihydro-1,2,4-triazol-3-one,

2-(4-amino-3-methyl-benzyl)-5-methyl-4-(4-trifluoromethyl-phenyl)-2,4-dihydro-1,2,4-triazol-3-one,

2-(4-amino-3-methyl-benzyl)-4-(2-chloro-phenyl)-5-methylsulfanyl-2,4-dihydro-1,2,4-triazol-3-one,

2-(4-amino-3-methyl-benzyl)-5-methylsulfanyl-4-(4-trifluoromethyl-phenyl)-2,4-dihydro-1,2,4-triazol-3-one,

1-(4-amino-3-methyl-benzyl)-4-methyl-1,4-dihydro-tetrazol-5-one,

1-(4-amino-3-methyl-benzyl)-4-ethyl-1,4-dihydro-tetrazol-5-one,

1-(4-amino-3-methyl-benzyl)-4-propyl-1,4-dihydro-tetrazol-5-one,

1-(4-amino-3-methyl-benzyl)-4-isobutyl-1,4-dihydro-tetrazol-5-one,

1-(4-amino-3-methyl-benzyl)-4-(2,2,2-trifluoro-ethyl)-1,4-dihydro-tetrazol-5-one,

1-(4-amino-3-methyl-benzyl)-4-(4,4,4-trifluoro-butyl)-1,4-dihydro-tetrazol-5-one,

1-(4-amino-3-methyl-benzyl)-4-(3,3,3-trichloro-2-methyl-propyl)-1,4-dihydro-tetrazol-5-one,

1-(4-amino-3-methyl-benzyl)-4-cyclopropyl-1,4-dihydro-tetrazol-5-one,

1-(4-amino-3-methyl-benzyl)-4-cyclohexyl-1,4-dihydro-tetrazol-5-one,

1-(4-amino-3-methyl-benzyl)-4-phenyl-1,4-dihydro-tetrazol-5-one,

1-(4-amino-3-methyl-benzyl)-4-(2-fluoro-phenyl)-1,4-dihydro-tetrazol-5-one,

1-(4-amino-benzyl)-4-(2-chloro-phenyl)-1,4-dihydro-tetrazol-5-one,

1-(4-amino-3-methyl-benzyl)-4-(2-chloro-phenyl)-1,4-dihydro-tetrazol-5-one,

1-[1-(4-amino-3-methyl-phenyl)-ethyl]-4-(2-chloro-phenyl)-1,4-dihydro-tetrazol-5- one,

1-(4-amino-3-methyl-benzyl)-4-(2-methyl-phenyl)-1,4-dihydro-tetrazol-5-one,

1-(4-amino-3-methyl-benzyl)-4-(2-methoxy-phenyl)-1,4-dihydro-tetrazol-5-one,

1-(4-amino-3-methyl-benzyl)-4-(2-trifluoromethyl-phenyl)-1,4-dihydro-tetrazol-5-one,

1-(4-amino-3-methyl-benzyl)-4-(2-trifluoromethoxy-phenyl)-1,4-dihydro-tetrazol-5- one,

1-(4-amino-3-methyl-benzyl)-4-(3-fluoro-phenyl)-1,4-dihydro-tetrazol-5-one,

1-(4-amino-3-methyl-benzyl)-4-(3-chloro-phenyl)-1,4-dihydro-tetrazol-5-one,

1-(4-amino-3-methyl-benzyl)-4-(3-methyl-phenyl)-1,4-dihydro-tetrazol-5-one,

1-(4-amino-benzyl)-4-(3-trifluoromethyl-phenyl)-1,4-dihydro-tetrazol-5-one,

1-(4-amino-3-methyl-benzyl)-4-(3-trifluoromethyl-phenyl)-1,4-dihydro-tetrazol-5-one,

1-(4-amino-3-methyl-benzyl)-4-(3-difluoromethoxy-phenyl)-1,4-dihydro-tetrazol-5- one,

1-(4-amino-3-methyl-benzyl)-4-(3-trifluoromethoxy-phenyl)-1,4-dihydro-tetrazol-5- one,

1-(4-amino-3-methyl-benzyl)-4-(4-fluoro-phenyl)-1,4-dihydro-tetrazol-5-one,

1-(4-amino-3-methyl-benzyl)-4-(4-chloro-phenyl)-1,4-dihydro-tetrazol-5-one,

1-(4-amino-3-methyl-benzyl)-4-(4-bromo-phenyl)-1,4-dihydro-tetrazol-5-one,

1-(4-amino-3-methyl-benzyl)-4-(4-methyl-phenyl)-1,4-dihydro-tetrazol-5-one,

1-(4-amino-3-methyl-benzyl)-4-(4-isopropyl-phenyl)-1,4-dihydro-tetrazol-5-one,

1-(4-amino-benzyl)-4-(4-trifluoromethyl-phenyl)-1,4-dihydro-tetrazol-5-one,

1-(4-amino-2-chloro-benzyl)-4-(4-trifluoromethyl-phenyl)-1,4-dihydro-tetrazol-5-one,

1-(4-amino-3-chloro-benzyl)-4-(4-trifluoromethyl-phenyl)-1,4-dihydro-tetrazol-5-one,

1-(4-amino-3-methyl-benzyl)-4-(4-trifluoromethyl-phenyl)-1,4-dihydro-tetrazol-5-one,

1-(4-amino-3-methyl-benzyl)-4-(4-difluoromethoxy-phenyl)-1,4-dihydro-tetrazol-5-one,

1-(4-amino-3-methyl-benzyl)-4-(4-trifluoromethoxy-phenyl)-1,4-dihydro-tetrazol-5- one,

1-(4-amino-3-methyl-benzyl)-4-(4-trifluoromethylsulfanyl-phenyl)-1,4-dihydro-tetrazol-5-one,

1-(4-amino-3-methyl-benzyl)-4-(4'-trifluoromethyl-biphenyl-4-yl)-1,4-dihydro-tetrazol-5-one,

1-(4-amino-3-methyl-benzyl)-4-(3',5'-bis-trifluoromethyl-biphenyl-4-yl)-1,4-dihydro-tetrazol-5-one,

1-(4-amino-3-methyl-benzyl)-4-(4-bromo-2-fluoro-phenyl)-1,4-dihydro-tetrazol-5-one,

1-(4-amino-3-methyl-benzyl)-4-(2-fluoro-3-trifluoromethyl-phenyl)-1,4-dihydro-tetrazol-5-one,

1-(4-amino-3-methyl-benzyl)-4-(3-bromo-4-trifluoromethoxy-phenyl)-1,4-dihydro-tetrazol-5-one,

1-(4-amino-3-methyl-benzyl)-4-(3-chloro-4-trifluoromethoxy-phenyl)-1,4-dihydro- tetrazol-5-one,

1-(4-amino-3-methyl-benzyl)-4-(4-fluoro-3-trifluoromethyl-phenyl)-1,4-dihydro-tetrazol-5-one,

1-(4-amino-3-methyl-benzyl)-4-(2-fluoro-5-trifluoromethyl-phenyl)-1,4-dihydro-tetrazol-5-one,

1-(4-amino-3-methyl-benzyl)-4-(4-chloro-3-trifluoromethyl-phenyl)-1,4-dihydro-tetrazol-5-one,

1-(4-amino-3-methyl-benzyl)-4-(3,4-dichloro-phenyl)-1,4-dihydro-tetrazol-5-one,

1-(4-amino-3-methyl-benzyl)-4-(3,4-bis-trifluoromethyl-phenyl)-1,4-dihydro-tetrazol-5-one,

1-(4-amino-3-methyl-benzyl)-4-(3-fluoro-5-trifluoromethyl-phenyl)-1,4-dihydro-tetrazol-5-one,

1-(4-amino-3-methyl-benzyl)-4-(3,5-dichloro-phenyl)-1,4-dihydro-tetrazol-5-one,

1-(4-amino-3-methyl-benzyl)-4-(3,5-dimethoxy-phenyl)-1,4-dihydro-tetrazol-5-one,

1-(4-amino-2-chloro-benzyl)-4-(3,5-bis-trifluoromethyl-phenyl)-1,4-dihydro-tetrazol-5-one,

1-(4-amino-3-chloro-benzyl)-4-(3,5-bis-trifluoromethyl-phenyl)-1,4-dihydro-tetrazol-5-one,

1-(4-amino-3-methyl-benzyl)-4-(3,5-bis-trifluoromethyl-phenyl)-1,4-dihydro-tetrazol-5-one,

1-(4-amino-2-methoxy-benzyl)-4-(3,5-bis-trifluoromethyl-phenyl)-1,4-dihydro-tetrazol-5-one,

1-(4-amino-3-methoxy-benzyl)-4-(3,5-bis-trifluoromethyl-phenyl)-1,4-dihydro-tetrazol-5-one,

1-(4-amino-3,5-dimethyl-benzyl)-4-(3,5-bis-trifluoromethyl-phenyl)-1,4-dihydro-tetrazol-5-one,

1-[1-(4-amino-3-methyl-phenyl)-ethyl]-4-(3,5-bis-trifluoromethyl-phenyl)-1,4-dihydro-tetrazol-5-one,

1-(4-amino-3-methyl-benzyl)-4-(3-chloro-2-methoxy-5-methyl-phenyl)-1,4-dihydro-tetrazol-5-one,

1-(4-amino-3-methyl-benzyl)-4-(2,2-difluoro-benzo[1,3]dioxol-5-yl)-1,4-dihydro-tetrazol-5-one,

1-(4-amino-3-methyl-benzyl)-4-(2,2,3,3-tetrafluoro-2,3-dihydro-benzo[1,4]dioxin-6-yl)-1,4-dihydro-tetrazol-5-one,

1-(4-amino-3-methyl-benzyl)-4-(2,2,3-trifluoro-2,3-dihydro-benzo[1,4]dioxin-6-yl)-1,4-dihydro-tetrazol-5-one,

1-(4-amino-3-methyl-benzyl)-4-(2,3,3-trifluoro-2,3-dihydro-benzo[1,4]dioxin-6-yl)-1,4-dihydro-tetrazol-5-one,

1-(4-amino-3-methyl-benzyl)-4-(2,2,3,3,7-pentafluoro-2,3-dihydro-benzo[1,4]dioxin-6-yl)-1,4-dihydro-tetrazol-5-one,

1-(4-amino-3-methyl-benzyl)-4-(3,5-dichloro-2,6-diethyl-phenyl)-1,4-dihydro-tetrazol-5-one,

1-(4-amino-3-methyl-benzyl)-4-benzyl-1,4-dihydro-tetrazol-5-one,

1-(4-amino-3-methyl-benzyl)-4-(4-fluoro-benzyl)-1,4-dihydro-tetrazol-5-one,

1-(4-amino-3-methyl-benzyl)-4-(4-chloro-phenyl)-1,4-dihydro-tetrazol-5-one,

1-(4-amino-3-methyl-benzyl)-4-(4-trifluoromethyl-phenyl)-1,4-dihydro-tetrazol-5-one,

1-(4-amino-3-methyl-benzyl)-4-[1-(2-fluoro-phenyl)ethyl]-1,4-dihydro-tetrazol-5-one,

1-(4-amino-3-methyl-benzyl)-4-[1-(2-chloro-phenyl)-ethyl]-1,4-dihydro-tetrazol-5-one,

1-(4-amino-3-methyl-benzyl)-4-[1-(2-trifluoromethyl-phenyl)-ethyl]-1,4-dihydro-tetrazol-5-one,

1-(4-amino-3-methyl-benzyl)-4-1-[1-(3-fluoro-phenyl)-ethyl]-1,4-dihydro-tetrazol-5- one,

1-(4-amino-3-methyl-benzyl)-4-[1-(3-chloro-phenyl)-ethyl]-1,4-dihydro-tetrazol-5-one,

1-(4-amino-3-methyl-benzyl)-4-[1-(3-trifluoromethyl-phenyl)-ethyl]-1,4-dihydro-tetrazol-5-one,

1-(4-amino-3-methyl-benzyl)-4-[1-(4-fluoro-phenyl)-ethyl]-1,4-dihydro-tetrazol-5-one,

1-(4-amino-3-methyl-benzyl)-4-[1-(4-chloro-phenyl)-ethyl]-1,4-dihydro-tetrazol-5-one,

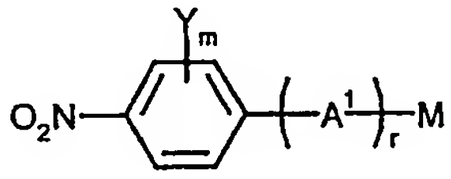
1-(4-amino-3-methyl-benzyl)-4-[1-(4-trifluoromethyl-phenyl)-ethyl]-1,4-dihydro-tetrazol-5-one,

1-(4-amino-3-methyl-benzyl)-4-[1-(2,4-difluoro-phenyl)-ethyl]-1,4-dihydro-tetrazol-5-one,

1-(4-amino-3-methyl-benzyl)-4-[1-(2,4-dichloro-phenyl)-ethyl]-1,4-dihydro-tetrazol-5-one,

1-(4-amino-3-methyl-benzyl)-4-[1-(3,4-difluoro-phenyl)-ethyl]-1,4-dihydro-tetrazol-5-one.

The compounds of the above-mentioned formula (IX) are novel compounds and can be obtained, for example, by reacting compounds of the formula

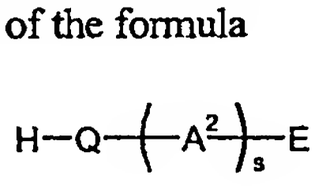


(XI)

wherein Y, m, A^1 and r have the same definition as aforementioned and

M represents chloro, bromo or methylsulfonyloxy,

and compounds of the formula



(XII)

wherein Q, A^2, s and E have the same definition as aforementioned.

The compounds of the above-mentioned formula (XI) are compounds well known in the field of organic chemistry (cf. *Chem. Abstr.* **1963**, *58*, 3444e; *Bull. Soc. Chim. Fr.* **1934**, 539-545; *J. Chem. Res. Miniprint*, **1987**, *8*, 2133-2139; *J. Chem. Soc. B* **1967**, 1154-1158; *J. Chem. Soc.* **1961**, 221-222; *J. Amer. Chem. Soc.* **1989**, *111*, 5880-5886). Specifically there can be mentioned as examples

4-nitrobenzyl chloride, (available on the market)

4-bromobenzyl chloride, (available on the market)

2-chloro-4-nitrobenzyl chloride,

2-methyl-4-nitrobenzyl chloride,

2-methoxy-4-nitrobenzyl chloride,
3-chloro-4-nitrobenzyl chloride,
3-methyl-4-nitrobenzyl chloride,
3-methoxy-4-nitrobenzyl chloride,
4-nitrobenzyl methanesulfonate,
2-chloro-4-nitrobenzyl methanesulfonate,
2-methyl-4-nitrobenzyl methanesulfonate,
2-methoxy-4-nitrobenzyl methanesulfonate,
3-chloro-4-nitrobenzyl methanesulfonate,
3-methyl-4-nitrobenzyl methanesulfonate,
3-methoxy-4-nitrobenzyl methanesulfonate,
1-(3-chloro-4-nitro-phenyl)-ethyl methanesulfonate,
1-(3-methyl-4-nitro-phenyl)-ethyl methanesulfonate,
1-(3-methoxy-4-nitro-phenyl)-ethyl methanesulfonate.

The nitro-substituted benzoic acids and their esters, starting materials for the compounds of the formula (XI) are known from the literature (cf., for example, *Chem. Ber.* **1919**, *52*, 1083; *Bull. Soc. Chim. Fr.* **1962**, 2255-2261; *Tetrahedron* **1985**, 115-118; *Chem. Pharm. Bull.*, **1993**, *41*, 894-906).

The compounds of the above-mentioned formula (XII) include known compounds and as their specific examples there can be mentioned,
1H-pyrrole,
3-methyl-1H-pyrrole,
2,5-dimethyl-1H-pyrrole,
1H-pyrazole,
3-methyl-1H-pyrazole,
4-methyl-1H-pyrazole,
4-chloro-1H-pyrazole,
3,5-dimethyl-1H-pyrazole,
1H-imidazole,
4-methyl-1H-imidazole,
4,5-dichloro-1H-imidazole,
1H-[1,2,3]-triazole,
1H-[1,2,4]-triazole,
1H-tetrazole,
5-metyl-1H-tetrazole,
5-phenyl-1H-tetrazole,

5-(2-chloro-phenyl)-1H-tetrazole,

5-(4-chloro-phenyl)-1H-tetrazole,

5-(3-trifluoromethyl-phenyl)-1H-tetrazole,

5-(4-trifluoromethyl-phenyl)-1H-tetrazole,

5-(3,5-bis-trifluoromethyl-phenyl)-1H-tetrazole,

5-(3-trifluoromethoxy-phenyl)-1H-tetrazole,

succinimide,

1-(4-trifluoromethyl-phenyl)-imidazolidin-2-one

1-(4-trifluoromethyl-phenyl)-1,3-dihydro-imidazol-2-one,

3-(4-trifluoromethyl-phenyl)-imidazolidin-2,4-dione

2-(2-chloro-phenyl)-2,4-dihydro-[1,2,4]triazol-3-one,

2-(2-trifluoromethyl-phenyl)-2,4-dihydro-[1,2,4]triazol-3-one,

2-(3-fluoro-phenyl)-2,4-dihydro-[1,2,4]triazol-3-one,

2-(3-chloro-phenyl)-2,4-dihydro-[1,2,4]triazol-3-one,

2-(3-trifluoromethyl-phenyl)-2,4-dihydro-[1,2,4]triazol-3-one,

2-(4-fluoro-phenyl)-2,4-dihydro-[1,2,4]triazol-3-one,

2-(4-chloro-phenyl)-2,4-dihydro-[1,2,4]triazol-3-one,

2-(4-trifluoromethyl-phenyl)-2,4-dihydro-[1,2,4]triazol-3-one,

2-(3,4-bis-trifluoromethyl-phenyl)-2,4-dihydro-[1,2,4]triazol-3-one,

2-(3,5-bis-trifluoromethyl-phenyl)-2,4-dihydro-[1,2,4]triazol-3-one,

5-trifluoromethyl-2-(4-trifluoromethyl-phenyl)-2,4-dihydro-[1,2,4]triazol-3-one,

4-(2-chloro-phenyl)-2,4-dihydro-[1,2,4]triazol-3-one,

4-(4-trifluoromethyl-phenyl)-2,4-dihydro-[1,2,4]triazol-3-one,

5-methyl-4-(4-trifluoromethyl-phenyl)-2,4-dihydro-[1,2,4]triazol-3-one,

4-(2-chloro-phenyl)-5-methylsulfanyl-2,4-dihydro-[1,2,4]triazol-3-one,

5-methylsulfanyl-4-(4-trifluoromethyl-phenyl)-2,4-dihydro-[1,2,4]triazol-3-one,

1-methyl-1,4-dihydro-tetrazol-5-one,

1-ethyl-1,4-dihydro-tetrazol-5-one,

1-propyl-1,4-dihydro-tetrazol-5-one,

1-isobutyl-1,4-dihydro-tetrazol-5-one,

1-(2,2,2-trifluoro-ethyl)-1,4-dihydro-tetrazol-5-one,

1-(4,4,4-trifluoro-butyl)-1,4-dihydro-tetrazol-5-one,

1-(3,3,3-trichloro-2-methyl-propyl)-1,4-dihydro-tetrazol-5-one,

1-cyclopropyl-1,4-dihydro-tetrazol-5-one,

1-cyclohexyl-1,4-dihydro-tetrazol-5-one,

1-phenyl-1,4-dihydro-tetrazol-5-one,

1-(2-fluoro-phenyl)-1,4-dihydro-tetrazol-5-one,

1-(2-chloro-phenyl)-1,4-dihydro-tetrazol-5-one,
1-(2-methyl-phenyl)-1,4-dihydro-tetrazol-5-one,
1-(2-methoxy-phenyl)-1,4-dihydro-tetrazol-5-one,
1-(2-trifluoromethyl-phenyl)-1,4-dihydro-tetrazol-5-one,
1-(2-trifluoromethoxy-phenyl)-1,4-dihydro-tetrazol-5-one,
1-(3-fluoro-phenyl)-1,4-dihydro-tetrazol-5-one,
1-(3-chloro-phenyl)-1,4-dihydro-tetrazol-5-one,
1-(3-methyl-phenyl)-1,4-dihydro-tetrazol-5-one,
1-(3-trifluoromethyl-phenyl)-1,4-dihydro-tetrazol-5-one,
1-(3-difluoromethoxy-phenyl)-1,4-dihydro-tetrazol-5-one,
1-(3-trifluoromethoxy-phenyl)-1,4-dihydro-tetrazol-5-one,
1-(4-fluoro-phenyl)-1,4-dihydro-tetrazol-5-one,
1-(4-chloro-phenyl)-1,4-dihydro-tetrazol-5-one,
1-(4-bromo-phenyl)-1,4-dihydro-tetrazol-5-one,
1-(4-methyl-phenyl)-1,4-dihydro-tetrazol-5-one,
1-(4-isopropyl-phenyl)-1,4-dihydro-tetrazol-5-one,
1-(4-trifluoromethyl-phenyl)-1,4-dihydro-tetrazol-5-one,
1-(4-difluoromethoxy-phenyl)-1,4-dihydro-tetrazol-5-one,
1-(4-trifluoromethoxy-phenyl)-1,4-dihydro-tetrazol-5-one,
1-(4-trifluoromethylsulfanyl-phenyl)-1,4-dihydro-tetrazol-5-one,
1-(4'-trifluoromethyl-biphenyl-4-yl)-1,4-dihydro-tetrazol-5-one,
1-(3',5'-bis-trifluoromethyl-biphenyl-4-yl)-1,4-dihydro-tetrazol-5-one,
1-(4-bromo-2-fluoro-phenyl)-1,4-dihydro-tetrazol-5-one,
1-(2-fluoro-3-trifluoromethyl-phenyl)-1,4-dihydro-tetrazol-5-one,
1-(3-bromo-4-trifluoromethoxy-phenyl)-1,4-dihydro-tetrazol-5-one,
1-(3-chloro-4-trifluoromethoxy-phenyl)-1,4-dihydro-tetrazol-5-one,
1-(4-fluoro-3-trifluoromethyl-phenyl)-1,4-dihydro-tetrazol-5-one,
1-(2-fluoro-5-trifluoromethyl-phenyl)-1,4-dihydro-tetrazol-5-one,
1-(4-chloro-3-trifluoromethyl-phenyl)-1,4-dihydro-tetrazol-5-one,
1-(3,4-dichloro-phenyl)-1,4-dihydro-tetrazol-5-one,
1-(3,4-dichloro-phenyl)-1,4-dihydro-tetrazol-5-one,
1-(3,4-bis-trifluoromethyl-phenyl)-1,4-dihydro-tetrazol-5-one,
1-(3-fluoro-5-trifluoromethyl-phenyl)-1,4-dihydro-tetrazol-5-one,
1-(3,5-dichloro-phenyl)-1,4-dihydro-tetrazol-5-one,
1-(3,5-dimethoxy-phenyl)-1,4-dihydro-tetrazol-5-one,
1-(3,5-bis-trifluoromethyl-phenyl)-1,4-dihydro-tetrazol-5-one,
1-(3-chloro-2-methoxy-5-methyl-phenyl)-1,4-dihydro-tetrazol-5-one,

1-(2,2-difluoro-benzo[1,3]dioxol-5-yl)-1,4-dihydro-tetrazol-5-one,
1-(2,2,3,3-tetrafluoro-2,3-dihydro-benzo[1,4]dioxin-6-yl)-1,4-dihydro-tetrazol-5-one,
1-(2,2,3-trifluoro-2,3-dihydro-benzo[1,4]dioxin-6-yl)-1,4-dihydro-tetrazol-5-one,
1-(2,3,3-trifluoro-2,3-dihydro-benzo[1,4]dioxin-6-yl)-1,4-dihydro-tetrazol-5-one,
1-(2,2,3,3,7-pentafluoro-2,3-dihydro-benzo[1,4]dioxin-6-yl)-1,4-dihydro-tetrazol-5-one,
1-(3,5-dichloro-2,6-diethyl-phenyl)-1,4-dihydro-tetrazol-5-one,
1-thiophen-2-yl-1,4-dihydro-tetrazol-5-one,
1-benzyl-1,4-dihydro-tetrazol-5-one,
1-(4-fluoro-benzyl)-1,4-dihydro-tetrazol-5-one,
1-(4-chloro-benzyl)-1,4-dihydro-tetrazol-5-one,
1-(4-trifluoromethyl-benzyl)-1,4-dihydro-tetrazol-5-one,
1-[1-(2-fluoro-phenyl)-ethyl]-1,4-dihydro-tetrazol-5-one,
1-[1-(2-chloro-phenyl)-ethyl]-1,4-dihydro-tetrazol-5-one,
1-[1-(2-trifluoromethyl-phenyl)-ethyl]-1,4-dihydro-tetrazol-5-one,
1-[1-(3-fluoro-phenyl)-ethyl]-1,4-dihydro-tetrazol-5-one,
1-[1-(3-chloro-phenyl)-ethyl]-1,4-dihydro-tetrazol-5-one,
1-[1-(3-trifluoromethyl-phenyl)-ethyl]-1,4-dihydro-tetrazol-5-one,
1-[1-(4-fluoro-phenyl)-ethyl]-1,4-dihydro-tetrazol-5-one,
1-[1-(4-chloro-phenyl)-ethyl]-1,4-dihydro-tetrazol-5-one,
1-[1-(4-trifluoromethyl-phenyl)-ethyl]-1,4-dihydro-tetrazol-5-one,
1-[1-(2,4-difluoro-phenyl)-ethyl]-1,4-dihydro-tetrazol-5-one,
1-[1-(2,4-dichloro-phenyl)-ethyl]-1,4-dihydro-tetrazol-5-one,
1-[1-(3,4-difluoro-phenyl)-ethyl]-1,4-dihydro-tetrazol-5-one.

Furthermore, there can be provided from the processes described in the literature, for example, 1-(4-trifluoromethylphenyl)imidazolidin-2,4,5-trione from 4-trifluoromethylphenylurea (cf. *J. Chem. Soc. Perkin Trans. 2*, **1977**, 934, according to the process described in *Chem. Ber.* **1907**, *40*, 3737), there can be provided 3-(4-trifluoromethylphenyl)-1H-pyrazole from 4-trifluoromethylacetophenone, available on the market (cf. *Synthesis* **2001**, 55-62), and further there can be provided 2-phenyl-2,4-dihydro-1,2,4-triazol-3-one and 2-(2-fluorophenyl)-2,4-dihydro-1,2,4-triazol-3-one (cf. *J. Prakt. Chem.* **1907**, *75*, 131), and furthermore, there can be provided 1-mono-(or di-)(trifluoromethyl)-phenyl-1,4-dihydro-tetrazol-5-one by a reaction of mono-(or di-)(trifluoromethyl)phenyl isocyanate and known trimethylsilyl azide (cf. EP-A 0 146 279, *Chem. Pharm. Bull.*, **1996**, *44*, 314-327).

The process to prepare the compounds of the above-mentioned formula (IX) can be conducted in an adequate diluent. As examples of the diluent used in that case there can be mentioned aliphatic, alicyclic and aromatic hydrocarbons (may be optionally chlorinated), for example, pentane, hexane,

cyclohexane, petroleum ether, ligroine, benzene, toluene, xylene, dichloromethane; ethers, for example, ethyl ether, methyl ethyl ether, isopropyl ether, butyl ether, dioxane, dimethoxyethane (DME), tetrahydrofuran (THF), diethylene glycol dimethyl ether (DGM); ketones, for example, acetone, methyl ethyl ketone (MEK), methyl isopropyl ketone, methyl isobutyl ketone (MIBK); nitriles, for example, acetonitrile, propionitrile, acrylonitrile; esters, for example, ethyl acetate, amyl acetate; acid amides, for example, dimethylformamide (DMF), dimethylacetamide (DMA), N-methylpyrrolidone, 1,3-dimethyl-2-imidazolidinone, hexamethyl phosphoric triamide (HMPA).

The reaction can be conducted in the presence of an acid binder and as such an acid binder there can be mentioned, as inorganic base, hydrides, hydroxides, carbonates, bicarbonates, etc. of alkali metals and alkaline earth metals, for example, sodium hydride, lithium hydride, sodium hydrogen carbonate, potassium hydrogen carbonate, sodium carbonate, potassium carbonate, lithium hydroxide, sodium hydroxide, potassium hydroxide, calcium hydroxide; inorganic alkali metal amides, for example, lithium amide, sodium amide, potassium amide; as organic base, alcoholates, tertiary amines, dialkylaminoanilines and pyridines, for example, triethylamine, 1,1,4,4-tetramethylethylenediamine (TMEDA), N,N-dimethylaniline, N,N-diethylaniline, pyridine, 4-dimethylaminopyridine (DMAP), 1,4-diazabicyclo[2.2.2]octane (DABCO) and 1,8-diazabicyclo[5.4.0]undec-7-ene (DBU).

The reaction can also be conducted by a process using a phase-transfer catalyst. As examples of the diluent used in that case there can be mentioned water; aliphatic, alicyclic and aromatic hydrocarbons (may be optionally chlorinated), for example, pentane, hexane, cyclohexane, petroleum ether, ligroine, benzene, toluene, xylene; ethers, for example, ethyl ether, methyl ethyl ether, isopropyl ether, butyl ether, dioxane, dimethoxyethane (DME), tetrahydrofuran (THF), diethylene glycol dimethyl ether (DGM).

As examples of phase-transfer catalyst there can be mentioned, quaternary ions, for example, tetramethylammonium bromide, tetrapropylammonium bromide, tetrabutylammonium bromide, tetrabutylammonium bissulfate, tetrabutylammonium iodide, trioctylmethylammonium chloride, benzyltriethylammonium bromide, butylpyridinium bromide, heptylpyridinium bromide, benzyltriethylammonium chloride; crown ethers, for example, dibenzo-18-crown-6, dicyclohexyl-18-crown-6, 18-crown-6; cryptands, for example, [2.2.2]-cryptate, [2.1.1]-cryptate, [2.2.1]-cryptate, [2.2.B]-cryptate, [2O2O2S]-cryptate, [3.2.2]-cryptate.

The reaction can be conducted in a substantially wide range of temperature. It can be conducted at the temperatures in a range of generally about 0°C to about 200°C, preferably room temperature to about 150°C. Although said reaction is conducted desirably under normal pressure, it can be operated also under elevated pressure or under reduced pressure.

In conducting the reaction, the aimed compounds can be obtained, for example, by reacting 1 mole amount to a little excess amount of a compound of the formula (XII) to 1 mole of the compounds of the formula (XI) in a diluent, for example, DMF, in the presence of potassium carbonate.

As specific examples of the compounds of the aforementioned formula (IX), obtained according to the above-mentioned process, there can be mentioned, for example,

1-(4-nitro-benzyl)-1H-pyrrole,

1-(3-methyl-4-nitro-benzyl)-1H-pyrazole,

3-methyl-1-(3-methyl-4-nitro-benzyl)-1H-pyrazole,

4-methyl-1-(3-methyl-4-nitro-benzyl)-1H-pyrazole,

4,5-dichloro-1-(3-methyl-4-nitro-benzyl)-1H-imidazole,

1-(3-methyl-4-nitro-benzyl)-1H-1,2,3-triazole,

1-(3-methyl-4-nitro-benzyl)-1H-1,2,4-triazole,

1-(3-methyl-4-nitro-benzyl)-1H-tetrazole,

5-methyl-1-(3-methyl-4-nitro-benzyl)-1H-tetrazole,

5-(2-chloro-phenyl)-1-(3-methyl-4-nitro-benzyl)-1H-tetrazole,

5-(3-trifluoromethyl-phenyl)-1-(3-methyl-4-nitro-benzyl)-1H-tetrazole,

5-(4-trifluoromethyl-phenyl)-1-(3-methyl-4-nitro-benzyl)-1H-tetrazole,

5-(3,5-bis-trifluoromethyl-phenyl)-1-(3-methyl-4-nitro-benzyl)-1H-tetrazole,

5-(3-trifluoromethoxy-phenyl)-1-(3-methyl-4-nitro-benzyl)-1H-tetrazole,

1-(3-methyl-4-nitro-benzyl)-3-(4-trifluoromethyl-phenyl)-imidazolidin-2-one,

1-(3-methyl-4-nitro-benzyl)-3-(4-trifluoromethyl-phenyl)-1,3-dihydro-imidazol-2-one,

1-(3-methyl-4-nitro-benzyl)-3-(4-trifluoromethyl-phenyl)-imidazolidin-2,4-dione,

1-(3-methyl-4-nitro-benzyl)-3-(4-trifluoromethyl-phenyl)-imidazolidin-2,4,5-trione,

1-(3-methyl-4-nitro-benzyl)-3-(4-trifluoromethyl-phenyl)-1H-pyrazole,

2-(2-fluoro-phenyl)-4-(3-methyl-4-nitro-benzyl)-2,4-dihydro-1,2,4-triazol-3-one,

2-(2-chloro-phenyl)-4-(3-methyl-4-nitro-benzyl)-2,4-dihydro-1,2,4-triazol-3-one,

4-(3-methyl-4-nitro-benzyl)-2-(2-trifluoromethyl-phenyl)-2,4-dihydro-1,2,4-triazol-3-one,

2-(3-fluoro-phenyl)-4-(3-methyl-4-nitro-benzyl)-2,4-dihydro-1,2,4-triazol-3-one,

2-(3-chloro-phenyl)-4-(3-methyl-4-nitro-benzyl)-2,4-dihydro-1,2,4-triazol-3-one,

4-(3-methyl-4-nitro-benzyl)-2-(3-trifluoromethyl-phenyl)-2,4-dihydro-1,2,4-triazol-3-one,

2-(4-fluoro-phenyl)-4-(3-methyl-4-nitro-benzyl)-2,4-dihydro-1,2,4-triazol-3-one,

2-(4-chloro-phenyl)-4-(3-methyl-4-nitro-benzyl)-2,4-dihydro-1,2,4-triazol-3-one,

4-(3-methyl-4-nitro-benzyl)-2-(4-trifluoromethyl-phenyl)-2,4-dihydro-1,2,4-triazol-3-one,

2-(3,4-bis-trifluoromethyl-phenyl)-4-(3-methyl-4-nitro-benzyl)-2,4-dihydro-1,2,4-triazol-3-one,

2-(3,5-bis-trifluoromethyl-phenyl)-4-(3-methyl-4-nitro-benzyl)-2,4-dihydro-1,2,4-triazol-3-one,

4-(3-methyl-4-nitro-benzyl)-5-trifluoromethyl-2-(4-trifluoromethyl-phenyl)-2,4-dihydro-1,2,4-triazol-

3-one,

4-(2-chloro-phenyl)-2-(3-methyl-4-nitro-benzyl)-2,4-dihydro-1,2,4-triazol-3-one,

2-(3-methyl-4-nitro-benzyl)-4-(4-trifluoromethyl-phenyl)-2,4-dihydro-1,2,4-triazol-3-one,

5-methyl-2-(3-methyl-4-nitro-benzyl)-4-(4-trifluoromethyl-phenyl)-2,4-dihydro-1,2,4-triazol-3-one,

4-(2-chloro-phenyl)-2-(3-methyl-4-nitro-benzyl)-5-methylsulfanil-2,4-dihydro-1,2,4-triazol-3-one,

2-(3-methyl-4-nitro-benzyl)-5-methylsulfanil-4-(4-trifluoromethyl-phenyl)-2,4-dihydro-1,2,4-triazol-3-one,

1-methyl-4-(3-methyl-4-nitro-benzyl)-1,4-dihydro-tetrazol-5-one,

1-ethyl-4-(3-methyl-4-nitro-benzyl)-1,4-dihydro-tetrazol-5-one,

1-propyl-4-(3-methyl-4-nitro-benzyl)-1,4-dihydro-tetrazol-5-one,

1-isobutyl-4-(3-methyl-4-nitro-benzyl)-1,4-dihydro-tetrazol-5-one,

1-(3-methyl-4-nitro-benzyl)-4-(2,2,2-trifluoro-ethyl)-1,4-dihydro-tetrazol-5-one,

1-(3-methyl-4-nitro-benzyl)-4-(4,4,4-trifluoro-butyl)-1,4-dihydro-tetrazol-5-one,

1-(3-methyl-4-nitro-benzyl)-4-(3,3,3-trichloro-2-methyl-propyl)-1,4-dihydro-tetrazol-5-one,

1-cyclopropyl-4-(3-methyl-4-nitro-benzyl)-1,4-dihydro-tetrazol-5-one,

1-cyclohexyl-4-(3-methyl-4-nitro-benzyl)-1,4-dihydro-tetrazol-5-one,

1-(3-methyl-4-nitro-benzyl)-4-phenyl-1,4-dihydro-tetrazol-5-one,

1-(2-fluoro-phenyl)-4-(3-methyl-4-nitro-benzyl)-1,4-dihydro-tetrazol-5-one,

1-(2-chloro-phenyl)-4-(4-nitro-benzyl)-1,4-dihydro-tetrazol-5-one,

1-(2-chloro-phenyl)-4-(3-methyl-4-nitro-benzyl)-1,4-dihydro-tetrazol-5-one,

1-(2-chloro-phenyl)-4-[1-(3-methyl-4-nitro-phenyl)-ethyl]-1,4-dihydro-tetrazol-5-one,

1-(3-methyl-4-nitro-benzyl)-4-(2-methyl-phenyl)-1,4-dihydro-tetrazol-5-one,

1-(3-methyl-4-nitro-benzyl)-4-(2-methoxy-phenyl)-1,4-dihydro-tetrazol-5-one,

1-(3-methyl-4-nitro-benzyl)-4-(2-trifluoromethyl-phenyl)-1,4-dihydro-tetrazol-5-one,

1-(3-methyl-4-nitro-benzyl)-4-(2-trifluoromethoxy-phenyl)-1,4-dihydro-tetrazol-5-one,

1-(3-fluoro-phenyl)-4-(3-methyl-4-nitro-benzyl)-1,4-dihydro-tetrazol-5-one,

1-(3-chloro-phenyl)-4-(3-methyl-4-nitro-benzyl)-1,4-dihydro-tetrazol-5-one,

1-(3-methyl-4-nitro-benzyl)-4-(3-methyl-phenyl)-1,4-dihydro-tetrazol-5-one,

1-(4-nitro-benzyl)-4-(3-trifluoromethyl-phenyl)-1,4-dihydro-tetrazol-5-one,

1-(3-methyl-4-nitro-benzyl)-4-(3-trifluoromethyl-phenyl)-1,4-dihydro-tetrazol-5-one,

1-(3-difluoromethoxy-phenyl)-4-(3-methyl-4-nitro-benzyl)-1,4-dihydro-tetrazol-5-one,

1-(3-methyl-4-nitro-benzyl)-4-(3-trifluoromethoxy-phenyl)-1,4-dihydro-tetrazol-5-one,

1-(4-fluoro-phenyl)-4-(3-methyl-4-nitro-benzyl)-1,4-dihydro-tetrazol-5-one,

1-(4-chloro-phenyl)-4-(3-methyl-4-nitro-benzyl)-1,4-dihydro-tetrazol-5-one,

1-(4-bromo-phenyl)-4-(3-methyl-4-nitro-benzyl)-1,4-dihydro-tetrazol-5-one,

1-(3-methyl-4-nitro-benzyl)-4-(4-methyl-phenyl)-1,4-dihydro-tetrazol-5-one,

1-(4-isopropyl-phenyl)-4-(3-methyl-4-nitro-benzyl)-1,4-dihydro-tetrazol-5-one,

1-(4-nitro-benzyl)-4-(4-trifluoromethyl-phenyl)-1,4-dihydro-tetrazol-5-one,

1-(2-chloro-4-nitro-benzyl)-4-(4-trifluoromethyl-phenyl)-1,4-dihydro-tetrazol-5-one,

1-(3-chloro-4-nitro-benzyl)-4-(4-trifluoromethyl-phenyl)-1,4-dihydro-tetrazol-5-one,

1-(3-methyl-4-nitro-benzyl)-4-(4-trifluoromethyl-phenyl)-1,4-dihydro-tetrazol-5-one,

1-(4-difluoromethoxy-phenyl)-4-(3-methyl-4-nitro-benzyl)-1,4-dihydro-tetrazol-5-one,

1-(3-methyl-4-nitro-benzyl)-4-(4-trifluoromethoxy-phenyl)-1,4-dihydro-tetrazol-5-one,

1-(3-methyl-4-nitro-benzyl)-4-(4-trifluoromethylsulfanil-phenyl)-1,4-dihydro-tetrazol-5-one,

1-(3-methyl-4-nitro-benzyl)-4-(4'-trifluoromethyl-biphenyl-4-yl)-1,4-dihydro-tetrazol-5-one,

1-(3',5'-bis-trifluoromethyl-biphenyl-4-yl)-4-(3-methyl-4-nitro-benzyl)-1,4-dihydro-tetrazol-5-one,

1-(4-bromo-2-fluoro-phenyl)-4-(3-methyl-4-nitro-benzyl)-1,4-dihydro-tetrazol-5-one,

1-(2-fluoro-3-trifluoromethyl-phenyl)-4-(3-methyl-4-nitro-benzyl)-1,4-dihydro-tetrazol-5-one,

1-(3-bromo-4-trifluoromethoxy-phenyl)-4-(3-methyl-4-nitro-benzyl)-1,4-dihydro-tetrazol-5-one,

1-(3-chloro-4-trifluoromethoxy-phenyl)-4-(3-methyl-4-nitro-benzyl)-1,4-dihydro-tetrazol-5-one,

1-(4-fluoro-3-trifluoromethyl-phenyl)-4-(3-methyl-4-nitro-benzyl)-1,4-dihydro-tetrazol-5-one,

1-(2-fluoro-5-trifluoromethyl-phenyl)-4-(3-methyl-4-nitro-benzyl)-1,4-dihydro-tetrazol-5-one,

1-(4-chloro-3-trifluoromethyl-phenyl)-4-(3-methyl-4-nitro-benzyl)-1,4-dihydro-tetrazol-5-one,

1-(3,4-dichloro-phenyl)-4-(3-methyl-4-nitro-benzyl)-1,4-dihydro-tetrazol-5-one,

1-(3,4-bis-trifluoromethyl-phenyl)-4-(3-methyl-4-nitro-benzyl)-1,4-dihydro-tetrazol-5-one,

1-(3-fluoro-5-trifluoromethyl-phenyl)-4-(3-methyl-4-nitro-benzyl)-1,4-dihydro-tetrazol-5-one,

1-(3,5-dichloro-phenyl)-4-(3-methyl-4-nitro-benzyl)-1,4-dihydro-tetrazol-5-one,

1-(3,5-dimethoxy-phenyl)-4-(3-methyl-4-nitro-benzyl)-1,4-dihydro-tetrazol-5-one,

1-(3,5-bis-trifluoromethyl-phenyl)-4-(2-chloro-4-nitro-benzyl)-1,4-dihydro-tetrazol-5-one,

1-(3,5-bis-trifluoromethyl-phenyl)-4-(3-chloro-4-nitro-benzyl)-1,4-dihydro-tetrazol-5-one,

1-(3,5-bis-trifluoromethyl-phenyl)-4-(3-methyl-4-nitro-benzyl)-1,4-dihydro-tetrazol-5-one,

1-(3,5-bis-trifluoromethyl-phenyl)-4-(2-methoxy-4-nitro-benzyl)-1,4-dihydro-tetrazol-5-one,

1-(3,5-bis-trifluoromethyl-phenyl)-4-(3-methoxy-4-nitro-benzyl)-1,4-dihydro-tetrazol-5-one,

1-(3,5-bis-trifluoromethyl-phenyl)-4-(3,5-dimethyl-4-nitro-benzyl)-1,4-dihydro-tetrazol-5-one,

1-(3,5-bis-trifluoromethyl-phenyl)-4-[1-(3-methyl-4-nitro-phenyl)-ethyl]-1,4-dihydro-tetrazol-5-one,

1-(3-chloro-2-methoxy-5-methyl-phenyl)-4-(3-methyl-4-nitro-benzyl)-1,4-dihydro-tetrazol-5-one,

1-(2,2-difluoro-benzo[1,3]dioxol-5-yl)-4-(3-methyl-4-nitro-benzyl)-1,4-dihydro-tetrazol-5-one,

1-(3-methyl-4-nitro-benzyl)-4-(2,2,3,3-tetrafluoro-2,3-dihydro-benzo[1,4]dioxin-6-yl)-1,4-dihydro-tetrazol-5-one,

1-(3-methyl-4-nitro-benzyl)-4-(2,2,3-trifluoro-2,3-dihydro-benzo[1,4]dioxin-6-yl)-1,4-dihydro-tetrazol-5-one,

1-(3-methyl-4-nitro-benzyl)-4-(2,3,3-trifluoro-2,3-dihydro-benzo[1,4]dioxin-6-yl)-1,4-dihydro-tetrazol-5-one,

1-(3-methyl-4-nitro-benzyl)-4-(2,2,3,3,7-pentafluoro-2,3-dihydro-benzo[1,4]dioxin-6-yl)-1,4-

dihydro-tetrazol-5-one,

1-(3,5-dichloro-2,6-diethyl-phenyl)-4-(3-methyl-4-nitro-benzyl)-1,4-dihydro-tetrazol-5-one,

1-benzyl-4-(3-methyl-4-nitro-benzyl)-1,4-dihydro-tetrazol-5-one,

1-(4-fluoro-benzyl)-4-(3-methyl-4-nitro-benzyl)-1,4-dihydro-tetrazol-5-one,

1-(4-chloro-benzyl)-4-(3-methyl-4-nitro-benzyl)-1,4-dihydro-tetrazol-5-one,

1-(3-methyl-4-nitro-benzyl)-4-(4-trifluoromethyl-benzyl)-1,4-dihydro-tetrazol-5-one,

1-[1-(2-fluoro-phenyl)-ethyl]-4-(3-methyl-4-nitro-benzyl)-1,4-dihydro-tetrazol-5-one,

1-[1-(2-chloro-phenyl)-ethyl]-4-(3-methyl-4-nitro-benzyl)-1,4-dihydro-tetrazol-5-one,

1-(3-methyl-4-nitro-benzyl)-4-[1-(2-trifluoromethyl-phenyl)-ethyl]-1,4-dihydro-tetrazol-5-one,

1-[1-(3-fluoro-phenyl)-ethyl]-4-(3-methyl-4-nitro-benzyl)-1,4-dihydro-tetrazol-5-one,

1-[1-(3-chloro-phenyl)-ethyl]-4-(3-methyl-4-nitro-benzyl)-1,4-dihydro-tetrazol-5-one,

1-(3-methyl-4-nitro-benzyl)-4-[1-(3-trifluoromethyl-phenyl)-ethyl]-1,4-dihydro-tetrazol-5-one,

1-[1-(4-fluoro-phenyl)-ethyl]-4-(3-methyl-4-nitro-benzyl)-1,4-dihydro-tetrazol-5-one,

1-[1-(4-chloro-phenyl)-ethyl]-4-(3-methyl-4-nitro-benzyl)-1,4-dihydro-tetrazol-5-one,

1-(3-methyl-4-nitro-benzyl)-4-[1-(4-trifluoromethyl-phenyl)-ethyl]-1,4-dihydro-tetrazol-5-one,

1-[1-(2,4-difluoro-phenyl)-ethyl]-4-(3-methyl-4-nitro-benzyl)-1,4-dihydro-tetrazol-5-one,

1-[1-(2,4-dichloro-phenyl)-ethyl]-4-(3-methyl-4-nitro-benzyl)-1,4-dihydro-tetrazol-5-one,

1-[1-(3,4-difluoro-phenyl)-ethyl]-4-(3-methyl-4-nitro-benzyl)-1,4-dihydro-tetrazol-5-one.

And as specific examples of the compounds of the formula (IV), starting materials in the preparation process (b), there can be mentioned, for example,

2-{2-methyl-4-[5-oxo-4-(2-chloro-phenyl)-4,5-dihydro-tetrazol-1-ylmethyl]-phenyl}-isoindol-1,3-dione,

4-fluoro-2-{2-methyl-4-[5-oxo-4-(2-chloro-phenyl)-4,5-dihydro-tetrazol-1-ylmethyl]-phenyl}-isoindol-1,3-dione,

4-chloro-2-{2-methyl-4-[5-oxo-4-(2-chloro-phenyl)-4,5-dihydro-tetrazol-1-ylmethyl]-phenyl}-isoindol-1,3-dione,

4-bromo-2-{2-methyl-4-[5-oxo-4-(2-chloro-phenyl)-4,5-dihydro-tetrazol-1-ylmethyl]-phenyl}-isoindol-1,3-dione,

4-iodo-2-{2-methyl-4-[5-oxo-4-(2-chloro-phenyl)-4,5-dihydro-tetrazol-1-ylmethyl]-phenyl}-isoindol-1,3-dione,

4,7-dichloro-2-{2-methyl-4-[5-oxo-4-(2-chloro-phenyl)-4,5-dihydro-tetrazol-1-ylmethyl]-phenyl}-isoindol-1,3-dione,

5,6-dichloro-2-{2-methyl-4-[5-oxo-4-(2-chloro-phenyl)-4,5-dihydro-tetrazol-1-ylmethyl]-phenyl}-isoindol-1,3-dione,

4-nitro-2-{2-methyl-4-[5-oxo-4-(2-chloro-phenyl)-4,5-dihydro-tetrazol-1-ylmethyl]-phenyl}-isoindol-1,3-dione,

4-methyl-2-{2-methyl-4-[5-oxo-4-(2-chloro-phenyl)-4,5-dihydro-tetrazol-1-ylmethyl]-phenyl}-isoindol-1,3-dione,

2-{2-methyl-4-[5-oxo-4-(4-trifluoromethyl-phenyl)-4,5-dihydro-tetrazol-1-ylmethyl]-phenyl}-isoindol-1,3-dione,

4-fluoro-2-{2-methyl-4-[5-oxo-4-(4-trifluoromethyl-phenyl)-4,5-dihydro-tetrazol-1-ylmethyl]-phenyl}-isoindol-1,3-dione,

4-chloro-2-{2-methyl-4-[5-oxo-4-(4-trifluoromethyl-phenyl)-4,5-dihydro-tetrazol-1-ylmethyl]-phenyl}-isoindol-1,3-dione,

4-bromo-2-{2-methyl-4-[5-oxo-4-(4-trifluoromethyl-phenyl)-4,5-dihydro-tetrazol-1-ylmethyl]-phenyl}-isoindol-1,3-dione,

4-iodo-2-{2-methyl-4-[5-oxo-4-(4-trifluoromethyl-phenyl)-4,5-dihydro-tetrazol-1-ylmethyl]-phenyl}-isoindol-1,3-dione,

4,7-dichloro-2-{2-methyl-4-[5-oxo-4-(4-trifluoromethyl-phenyl)-4,5-dihydro-tetrazol-1-ylmethyl]-phenyl}-isoindol-1,3-dione,

5,6-dichloro-2-{2-methyl-4-[5-oxo-4-(4-trifluoromethyl-phenyl)-4,5-dihydro-tetrazol-1-ylmethyl]-phenyl}-isoindol-1,3-dione,

4-nitro-2-{2-methyl-4-[5-oxo-4-(4-trifluoromethyl-phenyl)-4,5-dihydro-tetrazol-1-ylmethyl]-phenyl}-isoindol-1,3-dione,

4-methyl-2-{2-methyl-4-[5-oxo-4-(4-trifluoromethyl-phenyl)-4,5-dihydro-tetrazol-1-ylmethyl]-phenyl}-isoindol-1,3-dione,

2-{2-methyl-4-[5-oxo-4-(3,5-bis-trifluoromethyl-phenyl)-4,5-dihydro-tetrazol-1-ylmethyl]-phenyl}-isoindol-1,3-dione,

4-fluoro-2-{2-methyl-4-[5-oxo-4-(3,5-bis-trifluoromethyl-phenyl)-4,5-dihydro-tetrazol-1-ylmethyl]-phenyl}-isoindol-1,3-dione,

4-chloro-2-{2-methyl-4-[5-oxo-4-(3,5-bis-trifluoromethyl-phenyl)-4,5-dihydro-tetrazol-1-ylmethyl]-phenyl}-isoindol-1,3-dione,

4-bromo-2-{2-methyl-4-[5-oxo-4-(3,5-bis-trifluoromethyl-phenyl)-4,5-dihydro-tetrazol-1-ylmethyl]-phenyl}-isoindol-1,3-dione,

4-iodo-2-{2-methyl-4-[5-oxo-4-(3,5-bis-trifluoromethyl-phenyl)-4,5-dihydro-tetrazol-1-ylmethyl]-phenyl}-isoindol-1,3-dione,

4,7-dichloro-2-{2-methyl-4-[5-oxo-4-(3,5-bis-trifluoromethyl-phenyl)-4,5-dihydro-tetrazol-1-ylmethyl]-phenyl}-isoindol-1,3-dione,

5,6-dichloro-2-{2-methyl-4-[5-oxo-4-(3,5-bis-trifluoromethyl-phenyl)-4,5-dihydro-tetrazol-1-ylmethyl]-phenyl}-isoindol-1,3-dione,

4-nitro-2-{2-methyl-4-[5-oxo-4-(3,5-bis-trifluoromethyl-phenyl)-4,5-dihydro-tetrazol-1-ylmethyl]-phenyl}-isoindol-1,3-dione,

4-metyl-2-{2-methyl-4-[5-oxo-4-(3,5-bis-trifluoromethyl-phenyl)-4,5-dihydro-tetrazol-1-ylmethyl]-

phenyl}-isoindol-1,3-dione.

The compounds of the formula (V), starting materials in the preparation process (b), are either well-known compounds in the field of organic chemistry or can be synthesized according to the process described in DE-A 20 45 905, WO 01/23350 etc. As their specific examples there can be mentioned ethylamine, diethylamine, n-propylamine, isopropylamine, n-butylamine, sec-butylamine, isobutylamine, t-butylamine, t-amylamine, cyclopropylamine, cyclopentylamine, cyclohexylamine, 3-methylcyclohexylamine, 2-(methylthio)-ethylamine, 2-(ethylthio)-ethylamine, 1-methyl-2-(methylthio)-ethylamine, 1,1-dimethyl-2-(methylthio)-ethylamine, etc.

Compounds of the formula (VI), starting materials in the preparation process (c), include known compounds or can be easily obtained according to the process described in EP-A 0 919 542, EP-A 1 006 107 etc. and as their specific examples there can be mentioned,

N-isopropyl-phthalamic acid,

3-fluoro-N-isopropyl-phthalamic acid,

3-chloro-N-isopropyl-phthalamic acid,

3-bromo-N-isopropyl-phthalamic acid,

3-iodo-N-isopropyl-phthalamic acid,

N-isopropyl-3-nitro-phthalamic acid,

N-(1-methyl-2-methylsulfanil-ethyl)-phthalamic acid,

3-fluoro-N-(1-methyl-2-methylsulfanil-ethyl)-phthalamic acid,

3-chloro-N-(1-methyl-2-methylsulfanil-ethyl)-phthalamic acid,

3-bromo-N-(1-methyl-2-methylsulfanil-ethyl)-phthalamic acid,

3-iodo-N-(1-methyl-2-methylsulfanil-ethyl)-phthalamic acid,

N-(1-methyl-2-methylsulfanil-ethyl)-3-nitro-phthalamic acid,

N-(1,1-dimethyl-2-methylsulfanil-ethyl)-phthalamic acid,

N-(1,1-dimethyl-2-methylsulfanil-ethyl)-3-fluoro-phthalamic acid,

3-chloro-N-(1,1-dimethyl-2-methylsulfanil-ethyl)-phthalamic acid,

3-bromo-N-(1,1-dimethyl-2-methylsulfanil-ethyl)-phthalamic acid,

N-(1,1-dimethyl-2-methylsulfanil-ethyl)-3-iodo-phthalamic acid,

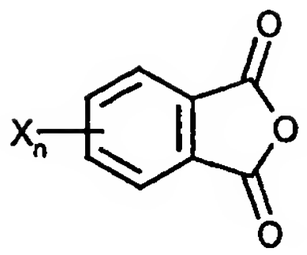
N-(1,1-dimethyl-2-methylsulfanil-ethyl)-3-nitro-phthalamic acid,

N-isopropyl-3-methanesulfonyloxy-phthalamic acid,

N-(1-methyl-2-methylsulfanil-ethyl)-3-methanesulfonyloxy-phthalamic acid,

N-(1,1-dimethyl-2-methylsulfanil-ethyl)-3-methanesulfonyloxy-phthalamic acid.

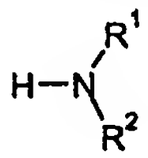
The compounds of the above-mentioned formula (VI) can be easily obtained generally by reacting phthalic anhydrides of the formula



(XIII)

wherein X and n have the same definition as aforementioned,

and amines of the formula



(XIV)

wherein R^1 and R^2 have the same definition as aforementioned.

The above-mentioned compounds of the formula (XIII) and the compounds of the formula (XIV) are all well-known in the field of organic chemistry and specifically there can be mentioned the following as examples.

As examples of the compounds of the formula (XIII) there can be mentioned,
phthalic anhydride, 3-fluorophthalic anhydride, 3-chlorophthalic anhydride, 3-bromophthalic anhydride, 3-iodophthalic anhydride, 3-methylphthalic anhydride, 3-nitrophthalic anhydride, 3,6-difluorophthalic anhydride, 3,6-dichlorophthalic anhydride, 4,5-dichlorophthalic anhydride, 3,4,5,6-tetrafluorophthalic anhydride, 3,4,5,6-tetrachlorophthalic anhydride, 3-methanesulfonyloxyphthalic anhydride.

As examples of the compounds of the formula (XIV) there can be mentioned,
ethylamine, n-propylamine, isopropylamine, n-butylamine, sec-butylamine, isobutylamine, t-butylamine, t-amylamine, cyclopropylamine, cyclopentylamine, cyclohexylamine, 2-(methylthio)-ethylamine, 2-(ethylthio)-ethylamine, 1-methyl-2-(methylthio)-ethylamine, 1,1-dimethyl-2-(methylthio)-ethylamine.

These amines can be easily obtained also by the process described in DE-A 20 45 905, WO 01/23350.

The reaction for synthesizing the compounds of the formula (VI) can be conducted according to the process described in *J. Org. Chem.* **1981**, *46*, 175 etc.

Such a reaction can be conducted in an adequate diluent and as examples of the diluent used in that case there can be mentioned aliphatic, alicyclic and aromatic hydrocarbons (may be optionally chlorinated), for example, pentane, hexane, cyclohexane, petroleum ether, ligroine, benzene, toluene,

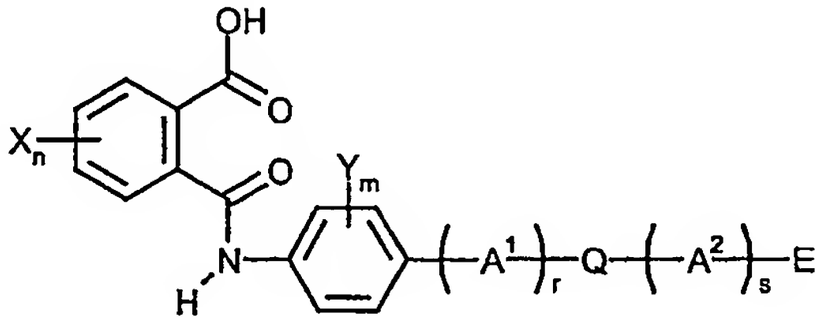
xylene, dichloromethane, chloroform, carbon tetrachloride, 1,2-dichloroethane, chlorobenzene, dichlorobenzene; ethers, for example, ethyl ether, methyl ethyl ether, isopropyl ether, butyl ether, dioxane, dimethoxyethane (DME), tetrahydrofuran (THF), diethylene glycol dimethyl ether (DGM); ketones, for example, acetone, methyl ethyl ketone (MEK), methyl isopropyl ketone, methyl isobutyl ketone (MIBK), etc.; nitriles, for example, acetonitrile, propionitrile, acrylonitrile; esters, for example, ethyl acetate, amyl acetate.

The preparation process (e) can be conducted in the presence of a base and as such a base there can be mentioned tertiary amines, dialkylaminoanilines and pyridines, for example, triethylamine, 1,1,4,4-tetramethylethylenediamine (TMEDA), N,N-dimethylaniline, N,N-diethylaniline, pyridine, 4-dimethylaminopyridine (DMAP), 1,4-diazabicyclo[2.2.2]octane (DABCO) and 1,8-diazabicyclo[5.4.0]undec-7-ene (DBU), etc.

The reaction can be conducted in a substantially wide range of temperature. It can be conducted at the temperatures in a range of generally about –70°C to about 100°C, preferably about 50°C to about 80°C. Although said reaction is conducted desirably under normal pressure, it can be operated also under elevated pressure or under reduced pressure.

In conducting the reaction, the aimed compounds can be obtained, for example, by reacting 1 mole amount to 4 mole amount of the compounds of the formula (XIV) to 1 mole of the compounds of the formula (XIII) in a diluent, for example, acetonitrile.

The compounds of the formula (VII), starting materials in the preparation process (d), are novel compounds and can be easily obtained, for example, by reacting a compound represented by the formula (VIII-a)



(VIII-a)

wherein X , n, A^1, r, Q, A^2, s and E have the same definition as aforementioned,
in the presence of a condensing agent (cf. e.g. *J. Med. Chem.* **1967**, *10*, 982).

The compounds of the above-mentioned formula (VIII-a) are also novel compounds and can be easily obtained by reacting phthalic anhydrides of the aforementioned formula (X) and the compounds of the aforementioned formula (III), in which R^3 is a hydrogen atom.

The above-mentioned reaction of a compound of the formula (VIII-a) and a compound of the formula (III), in which R^3 is a hydrogen atom, can be conducted in an adequate diluent and as examples of the diluent used in that case there can be mentioned aliphatic, alicyclic and aromatic hydrocarbons (may be optionally chlorinated), for example, pentane, hexane, cyclohexane, petroleum ether, ligroine, benzene, toluene, xylene, dichloromethane, chloroform, carbon tetrachloride, 1,2-dichloroethane, chlorobenzene, dichlorobenzene; ethers, for example, ethyl ether, methyl ethyl ether, isopropyl ether, butyl ether, dioxane, dimethoxyethane (DME), tetrahydrofuran (THF), diethylene glycol dimethyl ether (DGM); ketones, for example, acetone, methyl ethyl ketone (MEK), methyl isopropyl ketone, methyl isobutyl ketone (MIBK); nitriles, for example, acetonitrile, propionitrile, acrylonitrile, etc.; esters, for example, ethyl acetate, amyl acetate.

The reaction can be conducted in the presence of a base and as such a base there can be mentioned tertiary amines, dialkylaminoanilines and pyridines, for example, triethylamine, 1,1,4,4-tetramethylethylenediamine (TMEDA), N,N-dimethylaniline, N,N-diethylaniline, pyridine, 4-dimethylaminopyridine (DMAP), 1,4-diazabicyclo[2.2.2]octane (DABCO) and 1,8-diazabicyclo[5.4.0]undec-7-ene (DBU).

The reaction can be conducted in a substantially wide range of temperature. It can be conducted at the temperatures in a range of generally about –70°C to about 100°C, preferably about –50°C to about 80°C.

Although said reaction is conducted desirably under normal pressure, it can be operated also under elevated pressure or under reduced pressure.

In conducting the reaction, the aimed compounds can be obtained, for example, by reacting 1 mole amount to 4 mole amount of the compounds of the formula (III), in which R^3 is a hydrogen atom, to 1 mole of the compounds of the formula (X) in a diluent, for example, acetonitrile.

As specific examples of the compounds of the above-mentioned formula (VIII-a), there can be mentioned, for example,

N-{4-[4-(2-chloro-phenyl)-5-oxo-4,5-dihydro-tetrazol-1-ylmethyl]-2-methyl-phenyl}-6-iodo-phthalamic acid,

6-iodo-N-{2-methyl-4-[5-oxo-4-(2-trifluoromethyl-phenyl)-4,5-dihydro-tetrazol-1-ylmethyl]-phenyl}-phthalamic acid,

N-{4-[4-(4-fluoro-phenyl)-5-oxo-4,5-dihydro-tetrazol-1-ylmethyl]-2-methyl-phenyl}-6-iodo-phthalamic acid,

N-{4-[4-(4-chloro-phenyl)-5-oxo-4,5-dihydro-tetrazol-1-ylmethyl]-2-methyl-phenyl}-6-iodo-phthalamic acid,
6-iodo-N-{2-methyl-4-[5-oxo-4-(4-trifluoromethyl-phenyl)-4,5-dihydro-tetrazol-1-ylmethyl]-phenyl}-phthalamic acid, etc.

And as specific examples of the compounds of the above-mentioned formula (VII), there can be mentioned, for example,
1-(2-chloro-phenyl)-4-[4-(4-iodo-3-oxo-3H-isobenzofuran-1-ylideneamino)-3-methyl-benzyl]-1,4-dihydro-tetrazol-5-one,
1-[4-(4-iodo-3-oxo-3H-isobenzofuran-1-ylideneamino)-3-methyl-benzyl]-4-(2-trifluoromethyl-phenyl)-1,4-dihydro-tetrazol-5-one,
1-(2-fluoro-phenyl)-4-[4-(4-iodo-3-oxo-3H-isobenzofuran-1-ylideneamino)-3-methyl-benzyl]-1,4-dihydro-tetrazol-5-one,
1-(4-chloro-phenyl)-4-[4-(4-iodo-3-oxo-3H-isobenzofuran-1-ylideneamino)-3-methyl-benzyl]-1,4-dihydro-tetrazol-5-one,
1-[4-(4-iodo-3-oxo-3H-isobenzofuran-1-ylideneamino)-3-methyl-benzyl]-4-(4-trifluoromethyl-phenyl)-1,4-dihydro-tetrazol-5-one, etc.

The compounds of the formula (V), also starting materials in the preparation process (d), have been described in the aforementioned preparation process (b).

The compounds of the formula (VIII), starting materials in the preparation process (e), are novel compounds and can be easily obtained, as described in the aforementioned preparation process (d), generally by reacting phthalic anhydrides of the aforementioned formula (X) with the compounds of the aforementioned formula (III).

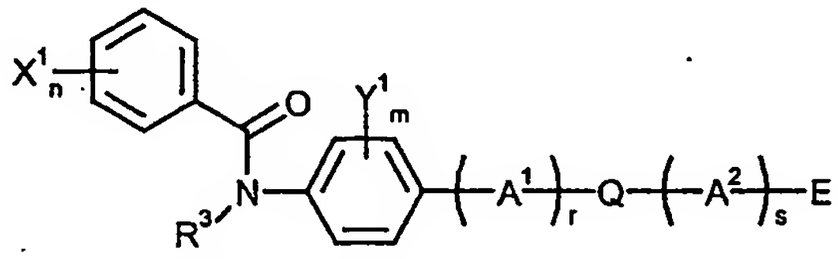
The reaction is the same as already described in the aforementioned preparation process (d).

As specific examples of the compounds of the formula (VIII) there can be mentioned,
N-{4-[4-(2-chloro-phenyl)-5-oxo-4,5-dihydro-tetrazol-1-ylmethyl]-2-methyl-phenyl}-N-methyl-6-iodo-phthalamic acid,
6-iodo-N-{2-methyl-4-[5-oxo-4-(2-trifluoromethyl-phenyl)-4,5-dihydro-tetrazol-1-ylmethyl]-phenyl}-N-methyl-phthalamic acid,
N-{4-[4-(4-fluoro-phenyl)-5-oxo-4,5-dihydro-tetrazol-1-ylmethyl]-2-methyl-phenyl}-N-methyl-6-iodo-phthalamic acid,
N-{4-[4-(4-chloro-phenyl)-5-oxo-4,5-dihydro-tetrazol-1-ylmethyl]-2-methyl-phenyl}-N-methyl-6-iodo-phthalamic acid,

6-iodo-N-{2-methyl-4-[5-oxo-4-(4-trifluoromethyl-phenyl)-4,5-dihydro-tetrazol-1-ylmethyl]-N-methyl-phenyl}-phthalamic acid.

The compounds of the formula (V), also starting materials in the preparation process (e), are identical with those in the aforementioned preparation processes (b) and (d).

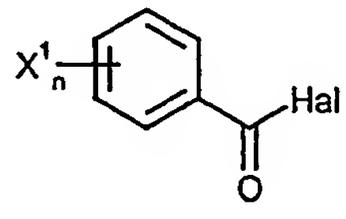
As another preparation process for the compounds of the aforementioned formula (VIII), the common starting materials in the preparation process (d) and preparation process (e), in which X and Y represent other groups than bromo or iodo, compounds of the formula



(XV)

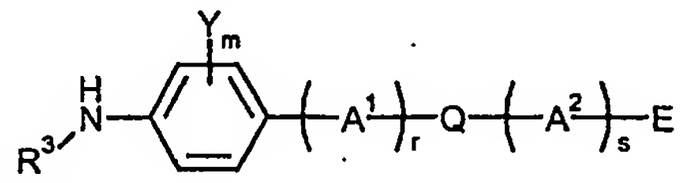
wherein n, R^3, m, A^1, r, Q, A^2, s and E have the same definition as aforementioned, and
X^1 and Y^1 each has a definition of the aforementioned X and Y but excluding bromo and iodo,
is reacted with a metal reagent, for example, butyl lithium, and then reacted with carbon dioxide to obtain the compounds of the corresponding formula (VIII) (however, X and Y do not represent bromo or iodo).

The compounds of the above-mentioned formula (XV) are novel compounds and can be easily obtained generally by reacting a benzoic acid halide represented by the formula



(XVI)

wherein X^1 and n have the same definition as aforementioned, and
Hal represents a halogen atom,
with the compounds of the aforementioned formula (III)



(III)

wherein R^3, Y, m, A^1, r, Q, A^2, s and E have the same definition as aforementioned.

The compounds of the above-mentioned formula (XVI) are well-known compounds in the field of organic chemistry and there can be mentioned specifically,
benzoyl chloride, 3-fluorobenzoyl chloride, 3-chlorobenzoyl chloride, 3-methylbenzoyl chloride, 3-nitrobenzoyl chloride.

The reaction to prepare the compounds of the above-mentioned formula (XV) can be conducted in an adequate diluent and as examples of the diluent used in that case there can be mentioned aliphatic, alicyclic and aromatic hydrocarbons (may be optionally chlorinated), for example, pentane, hexane, cyclohexane, petroleum ether, ligroine, benzene, toluene, xylene, dichloromethane, chloroform, carbon tetrachloride, 1,2-dichloroethane, chlorobenzene, dichlorobenzene; ethers, for example, ethyl ether, methyl ethyl ether, isopropyl ether, butyl ether, dioxane, dimethoxyethane (DME), tetrahydrofuran (THF), diethylene glycol dimethyl ether (DGM); esters, for example, ethyl acetate, amyl acetate.

The reaction can be conducted in the presence of an acid binder and as such an acid binder there can be mentioned, as inorganic base, hydroxides, carbonates, bicarbonates, etc. of alkali metals and alkaline earth metals, for example, sodium hydrogen carbonate, potassium hydrogen carbonate, sodium carbonate, potassium carbonate, lithium hydroxide, sodium hydroxide, potassium hydroxide, calcium hydroxide; as organic base, alcoholates, tertiary amines, dialkylaminoanilines and pyridines, for example, triethylamine, 1,1,4,4-tetramethylethylenediamine (TMEDA), N,N-dimethylaniline, N,N-diethylaniline, pyridine, 4-dimethylaminopyridine (DMAP), 1,4-diazabicyclo[2.2.2]octane (DABCO) and 1,8-diazabicyclo[5.4.0]undec-7-ene (DBU).

The reaction can be conducted in a substantially wide range of temperature. It can be conducted at the temperatures in a range of generally about –20 to about 150°C, preferably about 0°C to about 100°C.

Although said reaction is conducted desirably under normal pressure, it can be operated also under elevated pressure or under reduced pressure.

In conducting the reaction, the aimed compounds can be obtained, for example, by reacting 1 mole amount to a little excess amount of the compounds of the formula (III) to 1 mole of the compounds of the formula (XVI) in a diluent, for example, 1,2-dichloroethane, in the presence of triethylamine.

The compounds of the formula (If), starting materials in the preparation process (f), are the compounds included in the aforementioned formula (I) of the present invention. By oxidizing C_1-C_6-alkylthio-C_1-C_6-alkyl, the definition of R^{1f} in the formula (If), compounds of the formula (I) corresponding to C_1-C_6-alkylsulfinyl-C_1-C_6-alkyl or C_1-C_6-alkylsulfonyl-C_1-C_6-alkyl can be obtained.

The compounds of the formula (If) can be prepared by the aforementioned preparation processes (a), (b), (c), (d) and/or (e).

As specific examples of the compounds of the formula (If) there can be mentioned, for example,

3-iodo-N^2-(1-methyl-2-methylsulfanyl-ethyl)-N^1-{2-methyl-4-[5-oxo-4-(3-trifluoromethyl-phenyl)-4,5-dihydro-triazol-1-ylmethyl]-phenyl}-phthalamide,

N^2-(1,1-dimethyl-2-methylsulfanyl-ethyl)-3-iodo-N^1-{2-methyl-4-[5-oxo-4-(3-trifluoromethyl-phenyl)-4,5-dihydro-triazol-1-ylmethyl]-phenyl}-phthalamide,

3-iodo-N^2-(1-methyl-2-methylsulfanyl-ethyl)-N^1-{2-methyl-4-[5-oxo-4-(4-trifluoromethyl-phenyl)-4,5-dihydro-triazol-1-ylmethyl]-phenyl}-phthalamide,

N^2-(1,1-dimethyl-2-methylsulfanyl-ethyl)-3-iodo-N^1-{2-methyl-4-[5-oxo-4-(4-trifluoromethyl-phenyl)-4,5-dihydro-triazol-1-ylmethyl]-phenyl}-phthalamide,

N^1-{4-[4-(3,5-bis-trifluoromethyl-phenyl)-5-oxo-4,5-dihydro-triazol-1-ylmethyl]-2-methyl-phenyl}-3-iodo-N^2-(1-methyl-2-methylsulfanyl-ethyl)-phthalamide,

N^1-{4-[4-(3,5-bis-trifluoromethyl-phenyl)-5-oxo-4,5-dihydro-triazol-1-ylmethyl]-2-methyl-phenyl}-N^2-(1,1-dimethyl-2-methylsulfanyl-ethyl)- 3-iodo-phthalamide, etc.

The reaction of the aforementioned preparation process (a) can be conducted by using adequate diluents, singly or mixed, and as examples of the diluents used in that case there can be mentioned water; aliphatic, alicyclic and aromatic hydrocarbons (may be optionally chlorinated), for example, pentane, hexane, cyclohexane, petroleum ether, ligroine, benzene, toluene, xylene, dichloromethane, chloroform, carbon tetrachloride, 1,2-dichloroethane, chlorobenzene, dichlorobenzene; ethers, for example, ethyl ether, methyl ethyl ether, isopropyl ether, butyl ether, dioxane, dimethoxyethane (DME), tetrahydrofuran (THF), diethylene glycol dimethyl ether (DGM); nitriles, for example, acetonitrile, propionitrile, acrylonitrile; esters, for example, ethyl acetate, amyl acetate.

The preparation process (a) can be conducted in the presence of an acid catalyst and as examples of such an acid catalyst there can be mentioned mineral acids, for example, hydrochloric acid, sulfuric acid, organic acids, for example, acetic acid, trifluoroacetic acid, propionic acid, methanesulfonic acid, benzenesulfonic acid, p-toluenesulfonic acid.

The preparation process (a) can be conducted in a substantially wide range of temperature. It can be conducted at the temperatures in a range of generally about –20°C to about 100°C, preferably about 0°C to about 100°C.

Although said reaction is conducted desirably under normal pressure, it can be operated also under elevated pressure or under reduced pressure.

In conducting the preparation process (a), the aimed compounds can be obtained, for example, by reacting 1 mole amount to a little excess amount of the compounds of the formula (III) to 1 mole of

the compounds of the formula (II) in a diluent, for example, 1,2-dichloroethane, in the presence of 0.01-0.1 mole amount of p-toluenesulfonic acid.

The preparation process (b) can be conducted in the presence of a base such as tertiary amines, dialkylaminoanilines and pyridines, for example, triethylamine, 1,1,4,4-tetramethylethylenediamine (TMEDA), N,N-dimethylaniline, N,N-diethylaniline, pyridine, 4-dimethylaminopyridine (DMAP), 1,4-diazabicyclo[2.2.2]octane (DABCO) and 1,8-diazabicyclo[5.4.0]undec-7-ene (DBU), etc.

The preparation process (b) can be conducted in a substantially wide range of temperature. It can be conducted at the temperatures in a range of generally about –20°C to about 150°C, preferably room temperature to about 100°C. Although said reaction is conducted desirably under normal pressure, it can be operated also under elevated pressure or under reduced pressure.

In conducting the preparation process (b), the aimed compounds can be obtained, for example, by reacting 1 mole amount to 25 mole amount of the compounds of the formula (V) to 1 mole of the compounds of the formula (IV).

The aforementioned preparation processes (c), (d) and (e) can be conducted under the similar conditions as for the above-mentioned preparation process (a).

The reaction of the aforementioned preparation process (f) can be conducted in an adequate diluent and as examples of the diluents used in that case there can be mentioned aliphatic, alicyclic and aromatic hydrocarbons (may be optionally chlorinated), for example, benzene, toluene, xylene, dichloromethane, chloroform, carbon tetrachloride, 1,2-dichloroethane, chlorobenzene, dichlorobenzene; alcohols, for example, methanol, ethanol, isopropanol, butanol, acids: formic acid, acetic acid.

As oxidizing agent to be used there can be mentioned, for example, metachloroperbenzoic acid, peracetic acid, potassium metaperiodate, potassium hydrogen persulfate (oxone), hydrogen peroxide.

The preparation process (f) can be conducted in a substantially wide range of temperature. It can be conducted at the temperatures in a range of generally about –50°C to about 150°C, preferably about –10°C to about 100°C.

Although said reaction is conducted desirably under normal pressure, it can be operated also under elevated pressure or under reduced pressure.

In conducting the preparation process (f), the aimed compounds can be obtained, for example, by reacting 1 mole amount to 5 mole amount of an oxidizing agent to 1 mole of the compounds of the formula (If) in a diluent, for example, dichloromethane.

The reaction of the preparation process (f) can be conducted according to the process described in, for example, Jikken Kagaku Kohza (Lectures of experimental chemistry), compiled by the Chemical Society of Japan, 4th ed., Vol. 24, page 350 (1992) published by Maruzen or ibid., page 365.

The compounds of the formula (I) of the present invention show strong insecticidal action. They can, therefore, be used as insecticidal agents. And the active compounds of the formula (I) of the present invention exhibit exact controlling effect against harmful insects without phytotoxicity against cultured plants. The compounds of the present invention can be used for controlling a wide variety of pests, for example, harmful sucking insects, biting insects and other plant-parasitic pests, stored grain pests, hygienic pests, etc. and applied for their extermination.

As examples of such pests there can be mentioned the following pests:

As insects, there can be mentioned *coleoptera* pests, for example, Callosobruchus Chinensis, Sitophilus zeamais, Tribolium castaneum, Epilachna vigintioctomaculata, Agriotes fuscicollis, Anomala rufocuprea, Leptinotarsa decemlineata, Diabrotica spp., Manochamus alternatus, Lissorhoptrus oryzophilus, Lyctus bruneus;

Lepidoptera pests, for example, Lymantria dispar, Malacosoma neustria, Pieris rapae, Spodoptera litura, Mamestra brassicae, Chilo suppressalis, Pyrausta nubilalis, Ephestia cautella, Adoxophyes orana, Carpocapsa pomonella, Agrotis fucosa, Galleria mellonella, Plutella maculipennis, Heliothis virescens, Phyllocnistis citrella;

Hemiptera pests, for example, Nephotettix cincticeps, Nilaparvata lugens, Pseudococcus comstocki, Unaspis yanonensis, Myzus persicae, Aphis pomi, Aphis gossypii, Rhopalosiphum pseudobrassicas, Stephanitis nashi, Nazara spp., Cimex lectularius, Trialeurodes vaporariorum, Psylla spp.;

Orthoptera pests, for example, *Blatella germanica, Periplaneta americana, Gryllotalpa africana, Locusta migratoria migratoriodes;*

Homoptera pests, for example, *Reticulitermes speratus, Coptotermes formosanus;*

Diptera pests, for example, *Musca domestica, Aedes aegypti, Hylemia platura, Culex pipiens, Anopheles slnensis, Culex tritaeniorhynchus.*

Moreover, as mites there can be mentioned, for example, *Tetranychus telarius, Tetranychus urticae, Panonychus citri, Aculops pelekassi, Tarsonemus spp.*

Furthermore, as nematodes there can be mentioned, for example, *Meloidogyne incognita, Bursaphelenchus lignicolus Mamiya et Kiyohara, Aphelenchoides basseyi, Heterodera glycines, Pratylenchus spp.*

In addition, in the field of veterinary medicine, the novel compounds of the present invention can be effectively used against various harmful animal-parasitic pests (endoparasites and ectoparasites), for

example, insects and helminthes. As examples of such animal-parasitic pests there can be mentioned the following pests:

As insects there can be mentioned, for example, *Gastrophilus spp.*, *Stomoxys spp.*, *Trichodectes spp.*, *Rhodnius spp.*, *Ctenocephalides canis*.
As mites there can be mentioned, for example, *Ornithodoros spp.*, *Ixodes spp.*, *Boophilus spp.*

In the present invention substances having insecticidal action against pests, which include all of them, are in some cases called as insecticides.

The active compounds of the present invention can be made into customary formulation forms, when they are used as insecticides. As formulation forms there can be mentioned, for example, solutions, emulsions, wettable powders, water dispersible granules, suspensions, powders, foaming agents, pastes, tablets, granules, aerosols, active compound-impregnated natural and synthetic substances, microcapsules, seed coating agents, formulations used with burning equipment (as burning equipment, for example, fumigation and smoking cartridges, cans, coils, etc.), ULV [cold mist, warm mist], etc.

These formulations can be prepared according to per se known methods, for example, by mixing the active compounds with extenders, namely liquid diluents; liquefied gas diluents; solid diluents or carriers, and optionally by using surface-active agents, namely emulsifiers and/or dispersants and/or foam-forming agents.

In case that water is used as extender, for example, organic solvents can be used also as auxiliary solvents.

As liquid diluents or carriers there can be mentioned, for example, aromatic hydrocarbons (for example, xylene, toluene, alkylnaphthalene etc.), chlorinated aromatic or chlorinated aliphatic hydrocarbons (for example, chlorobenzenes, ethylene chlorides, methylene chloride, etc.), aliphatic hydrocarbons [for example, cyclohexane etc. or paraffins (for example, mineral oil fractions etc.)], alcohols (for example, butanol, glycols and their ethers, esters, etc.), ketones (for example, acetone, methyl ethyl ketone, methyl isobutyl ketone, cyclohexanone, etc.), strongly polar solvents (for example, dimethylformamide, dimethyl sulfoxide, etc.), and water.

Liquefied gas diluents or carriers are substances that are gases at normal temperature and pressure and there can be mentioned, for example, aerosol propellants such as butane, propane, nitrogen gas, carbon dioxide, halogenated hydrocarbons.

As solid diluents there can be mentioned, for example, ground natural minerals (for example, kaolin, clay, talc, chalk, quartz, attapulgite, montmorillonite, diatomaceous earth, etc.), ground synthetic minerals (for example, highly dispersed silicic acid, alumina, silicates, etc.).

As solid carriers for granules there can be mentioned, for example, crushed and fractionated rocks (for example, calcite, marble, pumice, sepiolite, dolomite, etc.) synthetic granules of inorganic and organic meals, particles of organic materials (for example, saw dust, coconut shells, maize cobs, tobacco stalks, etc.) etc.

As emulsifiers and/or foam-forming agents there can be mentioned, for example, nonionic and anionic emulsifiers [for example, polyoxyethylene fatty acid esters, polyoxyethylene fatty acid alcohol ethers (for example, alkylaryl polyglycol ethers, alkylsulfonates, alkylsulfates, arylsulfonates, etc.)], albumin hydrolysis products, etc.

Dispersants include, for example, lignin sulfite waste liquor and methyl cellulose.

Tackifiers can also be used in formulations (powders, granules, emulsifiable concentrates). As said tackifiers there can be mentioned, for example, carboxymethyl cellulose, natural and synthetic polymers (for example, gum Arabic, polyvinyl alcohol, polyvinyl acetate, etc.).

Colorants can also be used. As said colorants there can be mentioned, for example, inorganic pigments (for example, iron oxide, titanium oxide, Prussian Blue, etc,), organic dyestuffs such as alizarin dyestuffs, azo dyestuffs or metal phthalocyanine dyestuffs, and further traces nutrients such as salts of iron, manganese, boron, copper, cobalt, molybdenum and zinc.

Said formulations can contain the aforementioned active components of the amount in the range of generally 0.1-95 % by weight, preferably 0.5-90 % by weight.

The active compounds of the formula (I) of the present invention can exist also as a mixed agent with other active compounds, for example, insecticides, poisonous baits, bactericides, miticides, nematicides, fungicides, growth regulators or herbicides in the form of their commercially useful formulations or in the application forms prepared from such formulations. Here, as the above-mentioned insecticides, there can be mentioned, for example, organophosphorous agents, carbamate agents, carboxylate type chemicals, chlorinated hydrocarbon type chemicals, insecticidal substances produced by microbes, etc.

Further, the active compounds of the formula (I) of the present invention can exist also as a mixed agent with a synergist and such formulations and application forms can be mentioned as commercially useful. Said synergist itself must not be active, but is a compound that enhances the action of the active compound.

The content of the active compounds of the formula (I) of the present invention in a commercially useful application form can be varied in a wide range.

The concentration of the active compounds of the formula (I) of the present invention at the time of application can be, for example, in the range of 0.0000001-100 % by weight, preferably in the range of 0.00001-1 % by weight.

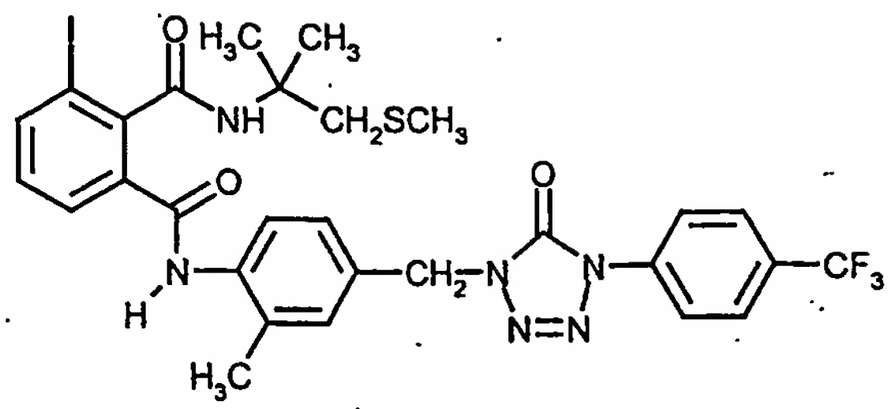
The compounds of the formula (I) of the present invention can be used by usual methods suitable to the application forms.

In case of application against hygienic pests and stored grain pests the active compounds of the present invention have a good stability against alkali on a calcific substance and further show an excellent residual effectiveness in wood and soil.

Then the present invention will be described more specifically by examples. The present invention, however, should not be restricted only to them in any way.

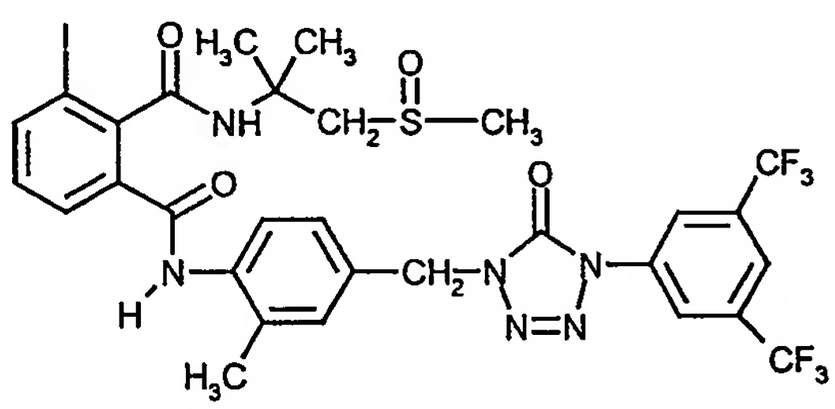
Examples

Synthesis Example 1



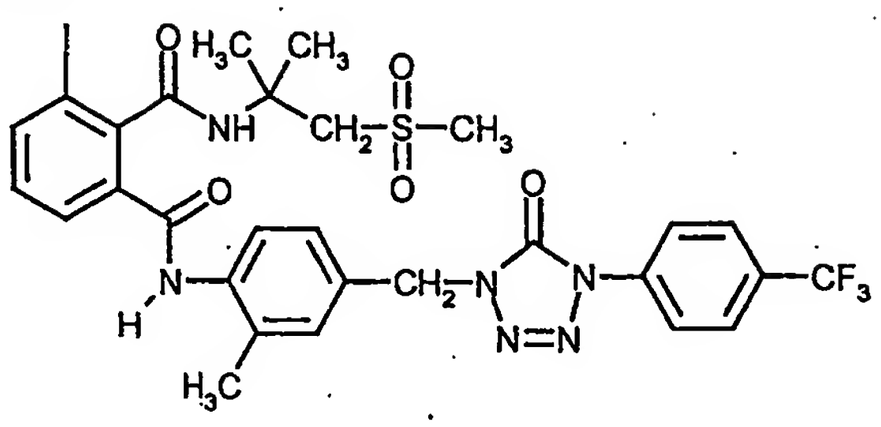
3-(1,1-Dimethyl-2-methylthioethylimino)-4-iodo-3H-isobenzofuran-1-one (0.94 g) and 1-(4-amino-3-methylbenzyl)-4-(4-trifluoromethylphenyl)-1,4-dihydrotetrazol-5-one (0.87 g) were dissolved in dichloromethane (10 ml), to which p-toluenesulfonic acid monohydrate (0.01 g) was added, and the mixture was stirred at room temperature for 3 hours. After finishing the reaction, water was added to the mixture and the organic layer was separated and dried with anhydrous magnesium sulfate. The solvent was distilled off under reduced pressure and the residue was purified by silica gel column chromatography to obtain N^2-(1,1-dimethyl-2-methylthioethyl)-3-iodo-N^1-[2-methyl-4-(5-oxo-4-(4-trifluoromethylphenyl)-4,5-dihydrotetrazol-1-ylmethyl)phenyl]phthalamide (0.6 g, mp. 83-87°C).

Synthesis Example 2



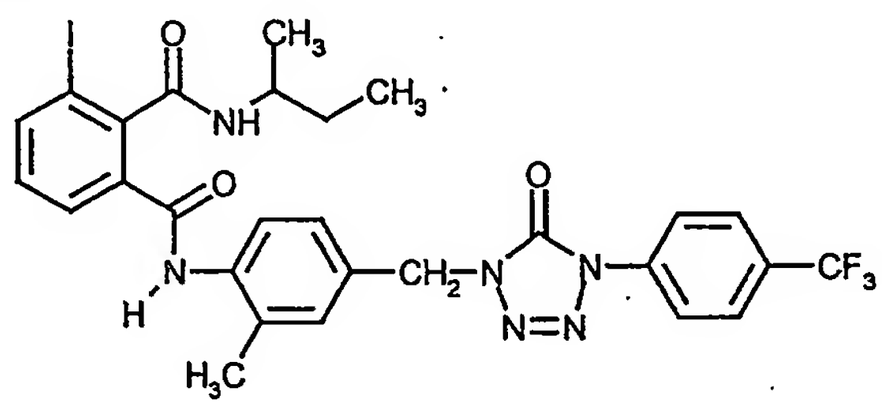
N^1-{4-[4-(3,5-bis-trifluoromethylphenyl)-5-oxo-4,5-dihydrotetrazol-1-ylmethyl]-2-methyl-phenyl}-N^2-(1,1-dimethyl-2-methylthioethyl)-3-iodo-phthalamide (0.5 g) was dissolved in dichloromethane, to which m-chloroperbenzoic acid (0.18 g) was added, and the mixture was stirred at room temperature for 5 hours. After finishing the reaction the mixture was washed successively with aqueous solution of sodium thiosulfate, saturated aqueous solution of sodium hydrogen carbonate and saturated aqueous solution of sodium chloride and dried with anhydrous magnesium sulfate. After the solvent was distilled off, the obtained residue was purified by silica gel column chromatography to obtain N^1-{4-[4-(3,5-bis-trifluoromethylphenyl)-5-oxo-4,5-dihydrotetrazol-1-ylmethyl]-2-methyl-phenyl}-3-iodo-N^2-(2-methanesulfinyl-1,1-dimethylethyl)phthalamide (0.1 g, mp. 165-171°C).

Synthesis Example 3



N^2-(1,1-Dimethyl-2-methylthioethyl)-3-iodo-N^1-[2-methyl-4-(5-oxo-4-(4-trifluoromethylphenyl)-4,5-dihydrotetrazol-1-ylmethyl)phenyl]phthalamide (0.4 g) was dissolved in dichloromethane, to which m-chloroperbenzoic acid (0.24 g) was added, and the mixture was stirred at room temperature for 5 hours. After finishing the reaction the mixture was washed successively with aqueous solution of sodium thiosulfate, saturated aqueous solution of sodium hydrogen carbonate and saturated aqueous solution of sodium chloride and dried with anhydrous magnesium sulfate. After the solvent was distilled off, the obtained residue was purified by silica gel column chromatography to obtain 3-iodo-N^2-(2-methanesulfonyl-1,1-dimethylethyl)-N^1-{2-methyl-4-[5-oxo-4-(4-trifluoromethylphenyl)-4,5-dihydrotetrazol-1-ylmethyl]phenyl}phthalamide (0.16 g, mp. 108-112°C).

Synthesis Example 4



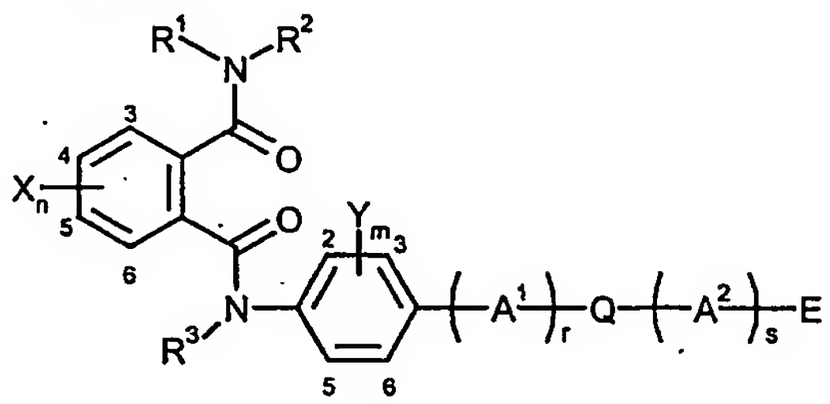
2-{2-Methyl-4-[5-oxo-4-(4-trifluoromethylphenyl)-4,5-dihydrotetrazol-1-ylmethyl]phenyl}isoindol-1,3-dione (0.25 g) was dissolved in sec-butylamine (5 ml) and the mixture was stirred at room temperature for 5 hours. After finishing the reaction, the solvent was distilled off under reduced pressure and the obtained residue was purified by silica gel column chromatography to obtain the objected N-sec-butyl-N-{2-methyl-4-[5-oxo-4-(4-trifluoromethylphenyl)-4,5-dihydrotetrazol-1- yl-methyl]phenyl}phthalamide (0.2 g, mp. 217-218°C).

Examples of the compounds of the formula (I) of the present invention obtained in the similar manner to the Synthesis Examples 1 to 4 and the compounds of the formula (I) obtained easily by the preparation processes (a) to (f) are shown in the Table 1 to Table 4, together with the compounds obtained in the above-mentioned Synthesis Examples 1 to 4.

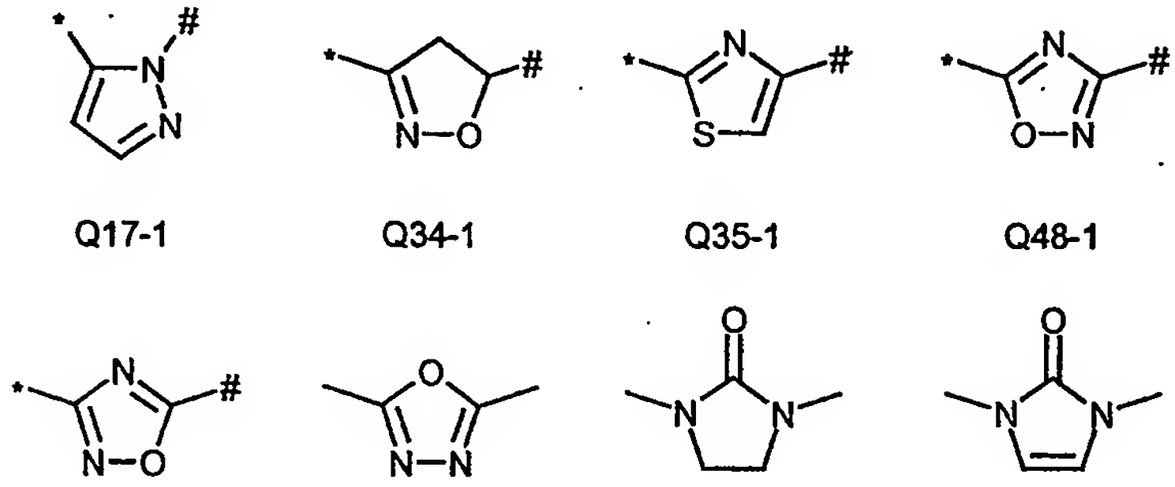
In all tables the abbreviations mean

Ph = phenyl, Me = methyl, Et = ethyl, n-Pr = n-propyl, i-Pr = iso-propyl.

Table 1 (r = 0, s = 0)



Q represents the following structures:



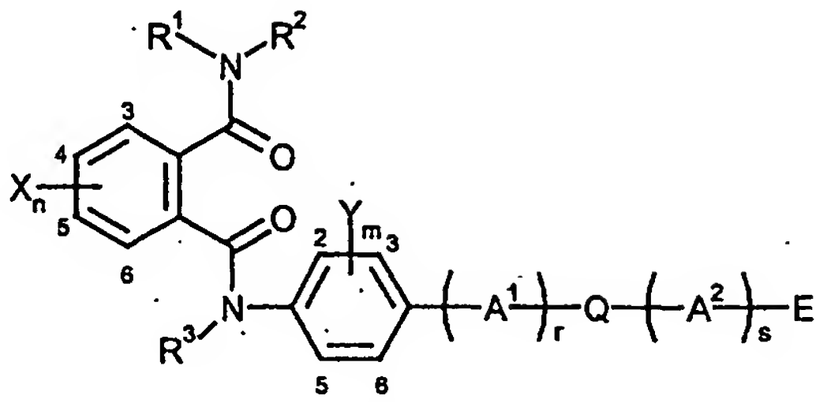
Q17-1 Q34-1 Q35-1 Q48-1

Q48-2 Q50 Q59-1 Q60-1

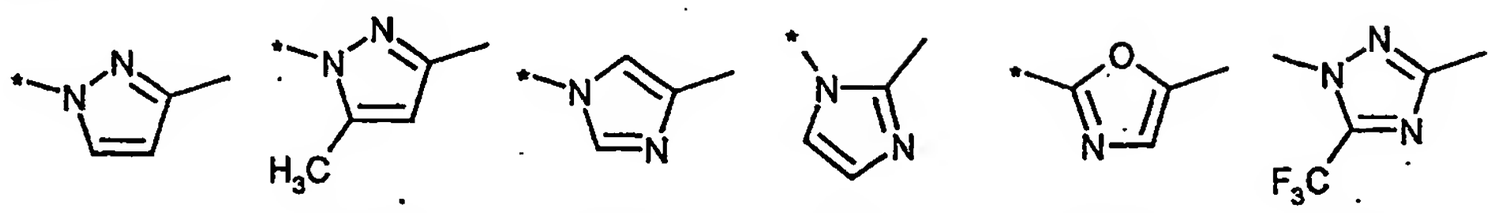
(wherein the bond marked with * connects with A^1 and the bond marked with # connects with A^2)

Comp. No.	R^1	R^2	R^3	X_n	Y_m	A^1	Q	A^2	E	m.p. (°C)
1-1	i-Pr	H	H	3-I	2-Me	-	Q17-1	-	Ph-4-Cl	
1-2	$C(Me)_2CH_2SMe$	H	H	3-I	2-Me	-	Q17-1	-	Ph-4-CF_3	
1-3	i-Pr	H	H	3-I	2-Me	-	Q34-1	-	Ph-4-CF_3	
1-4	i-Pr	H	H	3-I	2-Me	-	Q35-1	-	Ph-4-Cl	
1-5	i-Pr	H	H	3-I	2-Me	-	Q35-1	-	Ph-4-CF_3	
1-6	$C(Me)_2CH_2SMe$	H	H	3-I	2-Me	-	Q48-1	-	Ph-4-CF_3	
1-7	$C(Me)_2CH_2SMe$	H	H	3-I	2-Me	-	Q48-2	-	Ph-4-CF_3	
1-8	$C(Me)_2CH_2SMe$	H	H	3-I	2-Me	-	Q50	-	Ph-4-CF_3	
1-9	$C(Me)_2CH_2SMe$	H	H	3-I	2-Me	-	Q59-1	-	Ph-4-CF_3	
1-10	$C(Me)_2CH_2SMe$	H	H	3-I	2-Me	-	Q60-1	-	Ph-4-CF_3	
1-11	$CH(Me)CH_2SMe$	H	H	3-I	2-Me	-	Q50	-	Ph-3,5-$(CF_3)_2$	228-231

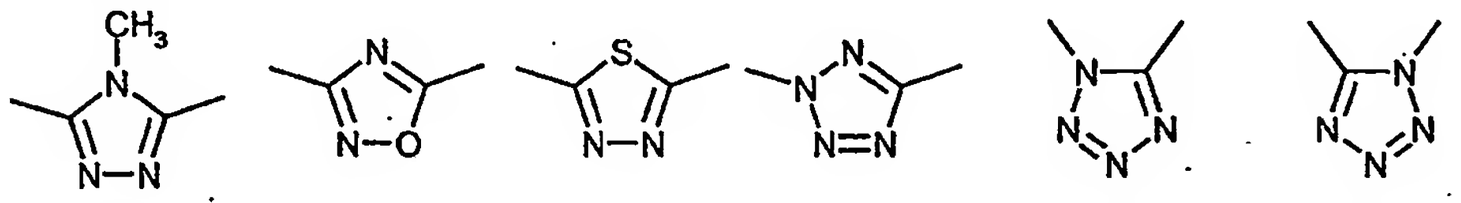
Table 2 (r=1, s=0)



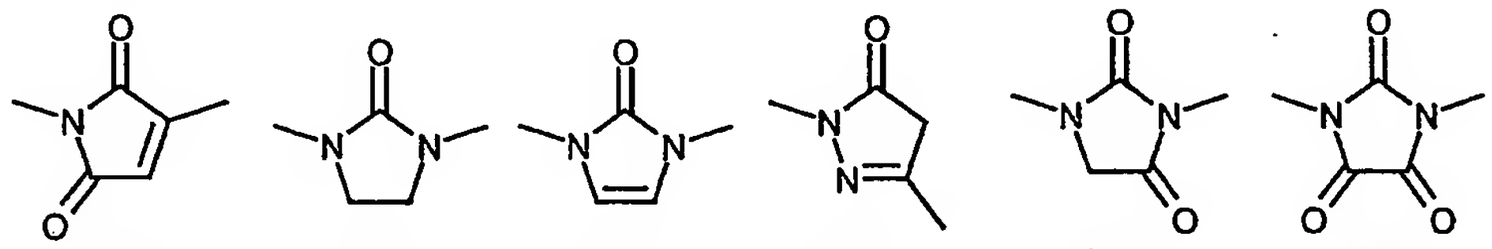
Q represents the following structures:



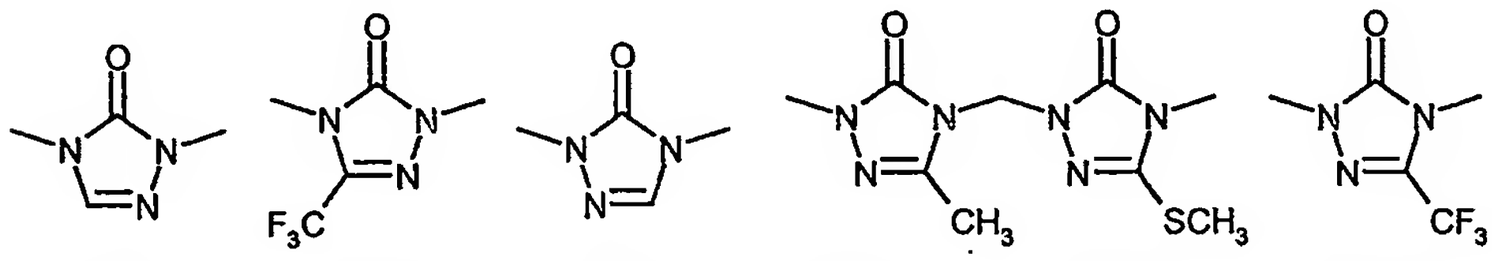
Q15-1 Q15-2 Q22-1 Q23-1 Q29-1 Q41-1



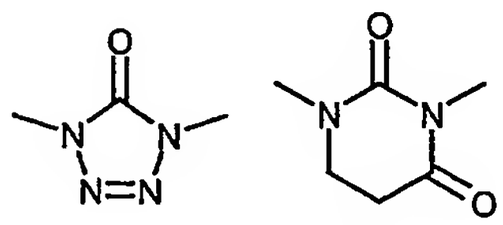
Q45-1 Q48-1 Q54 Q55-1 Q56-1 Q56-2



Q58-1 Q59-1 Q60-1 Q61-1 Q62-1 Q63



Q64-1 Q64-2 Q64-3 Q64-4 Q64-5 Q64-6



Q66 Q69-1

(wherein the bond marked with * connects with A^1 and the bond marked with # connects with A^2)

Comp. No.	R^1	R^2	R^3	X_n	Y_m	A^1	Q	A^2	E	m.p. (°C)
2-1	$C(Me)_2CH_2SMe$	H	H	3-I	2-Me	CH_2	Q15-1	-	Ph-4-CF_3	83-89
2-2	$C(Me)_2CH_2SMe$	H	H	3-I	2-Me	CH_2	Q15-2	-	Ph-4-CF_3	
2-3	$C(Me)_2CH_2SMe$	H	H	3-I	2-Me	CH_2	Q22-1	-	Ph-4-Cl	
2-4	$C(Me)_2CH_2SMe$	H	H	3-I	2-Me	CH_2	Q23-1	-	Ph	
2-5	$C(Me)_2CH_2SMe$	H	H	3-I	2-Me	CH_2	Q29-1	-	Ph-4-Cl	
2-6	$C(Me)_2CH_2SMe$	H	H	3-I	2-Me	CH_2S	Q45-1	-	Ph-2,4-Cl_2	

Comp. No.	R^1	R^2	R^3	X_n	Y_m	A^1	Q	A^2	E	m.p. (°C)
2-7	$C(Me)_2CH_2SMe$	H	H	3-I	2-Me	CH_2	Q55-1	-	Ph-4-CF_3	88-89
2-8	$C(Me)_2CH_2SMe$	H	H	3-I	2-Me	CH_2	Q55-1	-	Ph-3,5-$(CF_3)_2$	114-117
2-9	$C(Me)_2CH_2SMe$	H	H	3-I	2-Me	CH_2	Q56-1	-	Ph-2-Cl	1)
2-10	$C(Me)_2CH_2SMe$	H	H	3-I	2-Me	CH_2S	Q56-2	-	Ph	105-107
2-11	$C(Me)_2CH_2SMe$	H	H	3-I	2-Me	CH_2	Q58-1	-	Ph-4-Cl	
2-12	$C(Me)_2CH_2SMe$	H	H	3-I	2-Me	CH_2	Q59-1	-	Ph-4-CF_3	118-120
2-13	$C(Me)_2CH_2SMe$	H	H	3-I	2-Me	CH_2	Q60-1	-	Ph-4-CF_3	2)
2-14	$C(Me)_2CH_2SMe$	H	H	3-I	2-Me	CH_2	Q61-1	-	Ph-3,5-$(CF_3)_2$	
2-15	$C(Me)_2CH_2SMe$	H	H	3-I	2-Me	CH_2	Q62-1	-	Ph-4-CF_3	3)
2-16	$C(Me)_2CH_2SMe$	H	H	3-I	2-Me	CH_2	Q63	-	Ph-4-CF_3	131-134
2-17	$C(Me)_2CH_2SMe$	H	H	3-I	2-Me	CH_2	Q64-1	-	Ph-2-F	92-95
2-18	$C(Me)_2CH_2SMe$	H	H	3-I	2-Me	CH_2	Q64-1	-	Ph-2-Cl	4)
2-19	$C(Me)_2CH_2SO_2Me$	H	H	3-I	2-Me	CH_2	Q64-1	-	Ph-2-Cl	5)
2-20	$C(Me)_2CH_2SMe$	H	H	3-I	2-Me	CH_2	Q64-1	-	Ph-2-CF_3	126-129
2-21	$C(Me)_2CH_2SMe$	H	H	3-I	2-Me	CH_2	Q64-1	-	Ph-3-Cl	88-93
2-22	$C(Me)_2CH_2SMe$	H	H	3-I	2-Me	CH_2	Q64-1	-	Ph-3-F	87-93
2-23	$C(Me)_2CH_2SO_2Me$	H	H	3-I	2-Me	CH_2	Q64-1	-	Ph-3-Cl	98-101
2-24	$C(Me)_2CH_2SO_2Me$	H	H	3-I	2-Me	CH_2	Q64-1	-	Ph-3-F	116-120
2-25	$C(Me)_2CH_2SMe$	H	H	3-I	2-Me	CH_2	Q64-1	-	Ph-4-Cl	102-104
2-26	$C(Me)_2CH_2SO_2Me$	H	H	3-I	2-Me	CH_2	Q64-1	-	Ph-4-Cl	131-134
2-27	$CH(Me)CH_2OMe$	H	H	3-I	2-Me	CH_2	Q64-1	-	Ph-4-CF_3	
2-28	$CH(Me)CH_2SMe$	H	H	3-I	2-Me	CH_2	Q64-1	-	Ph-4-CF_3	
2-29	$CH(Me)CH_2SOMe$	H	H	3-I	2-Me	CH_2	Q64-1	-	Ph-4-CF_3	
2-30	$CH(Me)CH_2SO_2Me$	H	H	3-I	2-Me	CH_2	Q64-1	-	Ph-4-CF_3	
2-31	$C(Me)_2CH_2NHCOMe$	H	H	3-I	2-Me	CH_2	Q64-1	-	Ph-4-CF_3	
2-32	$C(Me)_2CH_2NHCO_2Me$	H	H	3-I	2-Me	CH_2	Q64-1	-	Ph-4-CF_3	
2-33	$C(Me)_2CH{=}NOMe$	H	H	3-I	2-Me	CH_2	Q64-1	-	Ph-4-CF_3	
2-34	$C(Me)_2CH_2CH{=}NOMe$	H	H	3-I	2-Me	CH_2	Q64-1	-	Ph-4-CF_3	
2-35	$C(Me)_2CH_2SMe$	H	H	3-I	2-Me	CH_2	Q64-1	-	Ph-4-CF_3	102-104
2-36	$CH(Me)CH_2OMe$	H	H	3-I	2-Me	CH_2	Q64-1	-	Ph-3,5-$(CF_3)_2$	
2-37	$CH(Me)CH_2NHCOMe$	H	H	3-I	2-Me	CH_2	Q64-1	-	Ph-3,5-$(CF_3)_2$	
2-38	$CH(Me)CH_2SMe$	H	H	3-I	2-Me	CH_2	Q64-1	-	Ph-3,5-$(CF_3)_2$	
2-39	$CH(Me)CH_2SO_2Me$	H	H	3-I	2-Me	CH_2	Q64-1	-	Ph-3,5-$(CF_3)_2$	
2-40	$C(Me)_2CH_2NHCOMe$	H	H	3-I	2-Me	CH_2	Q64-1	-	Ph-3,5-$(CF_3)_2$	
2-41	$C(Me)_2CH_2NHCO_2Me$	H	H	3-I	2-Me	CH_2	Q64-1	-	Ph-3,5-$(CF_3)_2$	
2-42	$C(Me)_2CH{=}NOMe$	H	H	3-I	2-Me	CH_2	Q64-1	-	Ph-3,5-$(CF_3)_2$	
2-43	$C(Me)_2CH_2SMe$	H	H	3-I	2-Me	CH_2	Q64-1	-	Ph-3,5-$(CF_3)_2$	
2-44	$C(Me)_2CH_2SMe$	H	H	3-I	2-Me	CH_2	Q64-2	-	Ph-4-CF_3	89-92
2-45	$C(Me)_2CH_2SO_2Me$	H	H	3-OSO_2Me	2-Me	CH_2	Q64-1	-	Ph-2-Cl	6)
2-46	$C(Me)_2CH_2SMe$	H	H	3-I	2-Me	CH_2	Q64-3	-	Ph-2-Cl	97-102

Comp. No.	R^1	R^2	R^3	X_n	Y_m	A^1	Q	A^2	E	m.p. (°C)
2-47	$C(Me)_2CH_2SMe$	H	H	3-I	2-Me	CH_2	Q64-5	-	Ph-2-Cl	123-127
2-48	$C(Me)_2CH_2SO_2Me$	H	H	3-I	2-Me	CH_2	Q64-3	-	Ph-2-Cl	135-139
2-49	$CH(Me)CH_2SMe$	H	H	3-I	2-Me	CH_2	Q64-3	-	Ph-4-CF_3	
2-50	$C(Me)_2CH_2SMe$	H	H	3-I	2-Me	CH_2	Q64-3	-	Ph-4-CF_3	98-99
2-51	$CH(Me)CH_2SMe$	H	H	3-I	2-Me	CH_2	Q64-3	-	Ph-3,5-$(CF_3)_2$	
2-52	$C(Me)_2CH_2SMe$	H	H	3-I	2-Me	CH_2	Q64-3	-	Ph-3,5-$(CF_3)_2$	
2-53	$C(Me)_2CH_2SMe$	H	H	3-I	2-Me	CH_2	Q64-5	-	Ph-4-CF_3	121-126
2-54	$C(Me)_2CH_2SMe$	H	H	3-I	2-Me	CH_2	Q64-4	-	Ph-4-CF_3	95-98
2-55	$C(Me)_2CH_2SO_2Me$	H	H	3-I	2-Me	CH_2	Q64-3	-	Ph-4-CF_3	144-149
2-56	Et	H	H	H	2-Me	CH_2	Q66	-	Ph-4-CF_3	
2-57	Et	Et	H	H	2-Me	CH_2	Q66	-	Ph-4-CF_3	
2-58	n-Pr	H	H	H	2-Me	CH_2	Q66	-	Ph-4-CF_3	179-180
2-59	i-Pr	H	H	H	2-Me	CH_2	Q66	-	Ph-4-CF_3	7)
2-60	$CH_2CH_2CH_2CH_3$	H	H	H	2-Me	CH_2	Q66	-	Ph-4-CF_3	
2-61	CH(Me)Et	H	H	H	2-Me	CH_2	Q66	-	Ph-4-CF_3	217-218
2-62	$CH_2CH_2CH_2CH_2CH_3$	H	H	H	2-Me	CH_2	Q66	-	Ph-4-CF_3	
2-63	$CH(Et)_2$	H	H	H	2-Me	CH_2	Q66	-	Ph-4-CF_3	210
2-64	CH(Me)n-Pr	H	H	H	2-Me	CH_2	Q66	-	Ph-4-CF_3	193-194
2-65	$CH(Me)CH_2CH(Me)_2$	H	H	H	2-Me	CH_2	Q66	-	Ph-4-CF_3	136-138
2-66	CH_2-cyclohexyl	H	H	H	2-Me	CH_2	Q66	-	Ph-4-CF_3	167-168
2-67	$CH(Me)CH_2SMe$	H	H	H	2-Me	CH_2	Q66	-	Ph-4-CF_3	166-169
2-68	$CH(Me)CH_2SOMe$	H	H	H	2-Me	CH_2	Q66	-	Ph-4-CF_3	
2-69	$CH(Me)CH_2SO_2Me$	H	H	H	2-Me	CH_2	Q66	-	Ph-4-CF_3	197-198
2-70	$C(Me)_2CH_2SMe$	H	H	H	2-Me	CH_2	Q66	-	Ph-4-CF_3	8)
2-71	$C(Me)_2CH_2SOMe$	H	H	H	2-Me	CH_2	Q66	-	Ph-4-CF_3	89-92
2-72	$C(Me)_2CH_2SO_2Me$	H	H	H	2-Me	CH_2	Q66	-	Ph-4-CF_3	156-162
2-73	cyclopropyl	H	H	H	2-Me	CH_2	Q66	-	Ph-4-CF_3	204
2-74	cyclopentyl	H	H	H	2-Me	CH_2	Q66	-	Ph-4-CF_3	173-177
2-75	cyclohexyl	H	H	H	2-Me	CH_2	Q66	-	Ph-4-CF_3	111-112
2-76	cyclohexyl-3-Me	H	H	H	2-Me	CH_2	Q66	-	Ph-4-CF_3	191-193
2-77	n-Pr	H	H	H	2-Me	CH_2	Q66	-	Ph-3,5-$(CF_3)_2$	
2-78	i-Pr	H	H	H	2-Me	CH_2	Q66	-	Ph-3,5-$(CF_3)_2$	193-195
2-79	$CH_2CH_2CH_2CH_3$	H	H	H	2-Me	CH_2	Q66	-	Ph-3,5-$(CF_3)_2$	
2-80	$CH(CH_3)Et$	H	H	H	2-Me	CH_2	Q66	-	Ph-3,5-$(CF_3)_2$	
2-81	$C(Me)_3$	H	H	H	2-Me	CH_2	Q66	-	Ph-3,5-$(CF_3)_2$	190-194
2-82	$CH_2CH_2CH_2CH_2CH_3$	H	H	H	2-Me	CH_2	Q66	-	Ph-3,5-$(CF_3)_2$	
2-83	$CH(Me)CH_2CH(Me)_2$	H	H	H	2-Me	CH_2	Q66	-	Ph-3,5-$(CF_3)_2$	
2-84	$CH(Me)CH_2SMe$	H	H	H	2-Me	CH_2	Q66	-	Ph-3,5-$(CF_3)_2$	130-133
2-85	$CH(Me)CH_2SOMe$	H	H	H	2-Me	CH_2	Q66	-	Ph-3,5-$(CF_3)_2$	
2-86	$CH(Me)CH_2SO_2Me$	H	H	H	2-Me	CH_2	Q66	-	Ph-3,5-$(CF_3)_2$	159-163

Comp. No.	R^1	R^2	R^3	X_n	Y_m	A^1	Q	A^2	E	m.p. (°C)
2-87	$C(Me)_2CH_2SMe$	H	H	H	2-Me	CH_2	Q66	-	Ph-3,5-$(CF_3)_2$	105-107
2-88	$C(Me)_2CH_2SO_2Me$	H	H	H	2-Me	CH_2	Q66	-	Ph-3,5-$(CF_3)_2$	169-170
2-89	i-Pr	H	H	3-F	2-Me	CH_2	Q66	-	Ph-3-CF_3	
2-90	$C(Me)_2CH_2SMe$	H	H	3-F	2-Me	CH_2	Q66	-	Ph-3-CF_3	
2-91	$C(Me)_2CH_2SOMe$	H	H	3-F	2-Me	CH_2	Q66	-	Ph-3-CF_3	
2-92	$C(Me)_2CH_2SO_2Me$	H	H	3-F	2-Me	CH_2	Q66	-	Ph-3-CF_3	
2-93	i-Pr	H	H	3-F	2-Me	CH_2	Q66	-	Ph-4-CF_3	
2-94	$C(Me)_2CH_2SMe$	H	H	3-F	2-Me	CH_2	Q66	-	Ph-4-CF_3	57-69
2-95	$C(Me)_2CH_2SOMe$	H	H	3-F	2-Me	CH_2	Q66	-	Ph-4-CF_3	
2-96	$C(Me)_2CH_2SO_2Me$	H	H	3-F	2-Me	CH_2	Q66	-	Ph-4-CF_3	212-217
2-97	i-Pr	H	H	3-F	2-Me	CH_2	Q66	-	Ph-3,5-$(CF_3)_2$	
2-98	$C(Me)_2CH_2SMe$	H	H	3-F	2-Me	CH_2	Q66	-	Ph-3,5-$(CF_3)_2$	165-167
2-99	$C(Me)_2CH_2SOMe$	H	H	3-F	2-Me	CH_2	Q66	-	Ph-3,5-$(CF_3)_2$	
2-100	$C(Me)_2CH_2SO_2Me$	H	H	3-F	2-Me	CH_2	Q66	-	Ph-3,5-$(CF_3)_2$	
2-101	i-Pr	H	H	3-Cl	2-Me	CH_2	Q66	-	Ph-2-Cl	
2-102	i-Pr	H	H	3-Cl	2-Me	CH_2	Q66	-	Ph-3-CF_3	
2-103	$CH(Me)CH_2SMe$	H	H	3-Cl	2-Me	CH_2	Q66	-	Ph-3-CF_3	
2-104	$CH(Me)CH_2SOMe$	H	H	3-Cl	2-Me	CH_2	Q66	-	Ph-3-CF_3	
2-105	$CH(Me)CH_2SO_2Me$	H	H	3-Cl	2-Me	CH_2	Q66	-	Ph-3-CF_3	
2-106	$C(Me)_2CH_2SMe$	H	H	3-Cl	2-Me	CH_2	Q66	-	Ph-3-CF_3	
2-107	$C(Me)_2CH_2SOMe$	H	H	3-Cl	2-Me	CH_2	Q66	-	Ph-3-CF_3	
2-108	$C(Me)_2CH_2SO_2Me$	H	H	3-Cl	2-Me	CH_2	Q66	-	Ph-3-CF_3	
2-109	i-Pr	H	H	3-Cl	2-Me	CH_2	Q66	-	Ph-4-CF_3	
2-110	$CH(Me)CH_2SMe$	H	H	3-Cl	2-Me	CH_2	Q66	-	Ph-4-CF_3	
2-111	$CH(Me)CH_2SOMe$	H	H	3-Cl	2-Me	CH_2	Q66	-	Ph-4-CF_3	
2-112	$CH(Me)CH_2SO_2Me$	H	H	3-Cl	2-Me	CH_2	Q66	-	Ph-4-CF_3	
2-113	$C(Me)_2CH_2SMe$	H	H	3-Cl	2-Me	CH_2	Q66	-	Ph-4-CF_3	
2-114	$C(Me)_2CH_2SOMe$	H	H	3-Cl	2-Me	CH_2	Q66	-	Ph-4-CF_3	
2-115	$C(Me)_2CH_2SO_2Me$	H	H	3-Cl	2-Me	CH_2	Q66	-	Ph-4-CF_3	
2-116	i-Pr	H	H	3-Cl	2-Me	CH_2	Q66	-	Ph-3,5-$(CF_3)_2$	
2-117	$CH(Me)CH_2SMe$	H	H	3-Cl	2-Me	CH_2	Q66	-	Ph-3,5-$(CF_3)_2$	93-96
2-118	$CH(Me)CH_2SOMe$	H	H	3-Cl	2-Me	CH_2	Q66	-	Ph-3,5-$(CF_3)_2$	
2-119	$CH(Me)CH_2SO_2Me$	H	H	3-Cl	2-Me	CH_2	Q66	-	Ph-3,5-$(CF_3)_2$	108-111
2-120	$C(Me)_2CH_2SMe$	H	H	3-Cl	2-Me	CH_2	Q66	-	Ph-3,5-$(CF_3)_2$	100-103
2-121	$C(Me)_2CH_2SOMe$	H	H	3-Cl	2-Me	CH_2	Q66	-	Ph-3,5-$(CF_3)_2$	
2-122	$C(Me)_2CH_2SO_2Me$	H	H	3-Cl	2-Me	CH_2	Q66	-	Ph-3,5-$(CF_3)_2$	100-105
2-123	i-Pr	H	H	3-Cl	2-Me	CH_2	Q66	-	Ph-3-OCF_2CF_2O-4	
2-124	i-Pr	H	H	3-Br	2-Me	CH_2	Q66	-	Ph-4-CF_3	
2-125	$CH(Me)CH_2SMe$	H	H	3-Br	2-Me	CH_2	Q66	-	Ph-4-CF_3	
2-126	$CH(Me)CH_2SOMe$	H	H	3-Br	2-Me	CH_2	Q66	-	Ph-4-CF_3	

Comp. No.	R^1	R^2	R^3	X_n	Y_m	A^1	Q	A^2	E	m.p. (°C)
2-127	$CH(Me)CH_2SO_2Me$	H	H	3-Br	2-Me	CH_2	Q66	-	Ph-4-CF_3	
2-128	$C(Me)_2CH_2SMe$	H	H	3-Br	2-Me	CH_2	Q66	-	Ph-4-CF_3	
2-129	$C(Me)_2CH_2SOMe$	H	H	3-Br	2-Me	CH_2	Q66	-	Ph-4-CF_3	
2-130	$C(Me)_2CH_2SO_2Me$	H	H	3-Br	2-Me	CH_2	Q66	-	Ph-4-CF_3	
2-131	i-Pr	H	H	3-Br	2-Me	CH_2	Q66	-	Ph-3,5-$(CF_3)_2$	
2-132	$CH(Me)CH_2SMe$	H	H	3-Br	2-Me	CH_2	Q66	-	Ph-3,5-$(CF_3)_2$	97-102
2-133	$CH(Me)CH_2SOMe$	H	H	3-Br	2-Me	CH_2	Q66	-	Ph-3,5-$(CF_3)_2$	
2-134	$CH(Me)CH_2SO_2Me$	H	H	3-Br	2-Me	CH_2	Q66	-	Ph-3,5-$(CF_3)_2$	146-148
2-135	$C(Me)_2CH_2SMe$	H	H	3-Br	2-Me	CH_2	Q66	-	Ph-3,5-$(CF_3)_2$	90-94
2-136	$C(Me)_2CH_2SOMe$	H	H	3-Br	2-Me	CH_2	Q66	-	Ph-3,5-$(CF_3)_2$	
2-137	$C(Me)_2CH_2SO_2Me$	H	H	3-Br	2-Me	CH_2	Q66	-	Ph-3,5-$(CF_3)_2$	*
2-138	$C(Me)_2CH_2SMe$	H	H	3-I	2-Me	CH_2	Q66	-	Ph	9)
2-139	$C(Me)_2CH_2SO_2Me$	H	H	3-I	2-Me	CH_2	Q66	-	Ph	10)
2-140	$C(Me)_2CH_2SMe$	H	H	3-I	2-Me	CH_2	Q66	-	Ph-2-F	82-86
2-141	$C(Me)_2CH_2SO_2Me$	H	H	3-I	2-Me	CH_2	Q66	-	Ph-2-F	128-130
2-142	i-Pr	H	H	3-I	2-Me	CH_2	Q66	-	Ph-2-Cl	11)
2-143	i-Pr	H	H	3-I	H	CH_2	Q66	-	Ph-2-Cl	12)
2-144	$CH(Me)CH_2SMe$	H	H	3-I	2-Me	CH_2	Q66	-	Ph-2-Cl	
2-145	$CH(Me)CH_2SMe$	H	Et	3-I	2-Me	CH_2	Q66	-	Ph-2-Cl	
2-146	$CH(Me)CH_2SMe$	H	i-Pr	3-I	2-Me	CH_2	Q66	-	Ph-2-Cl	
2-147	$C(Me)_2CH_2SMe$	H	H	3-I	2-Me	CH_2	Q66	-	Ph-2-Cl	100-103
2-148	$C(Me)_2CH_2NHCO_2Me$	H	H	3-I	2-Me	CH_2	Q66	-	Ph-2-Cl	
2-149	$C(Me)_2CH{=}NOMe$	H	H	3-I	2-Me	CH_2	Q66	-	Ph-2-Cl	
2-150	$C(Me)_2CH_2SMe$	H	H	3-I	2-Me	CH(Me)	Q66	-	Ph-2-Cl	101-104
2-151	$C(Me)_2CH_2SMe$	H	H	3-I	H	CH_2	Q66	-	Ph-2-Cl	13)
2-152	$C(Me)_2CH_2SO_2Me$	H	H	3-I	2-Me	CH_2	Q66	-	Ph-2-Cl	98-103
2-153	$C(Me)_2CH_2SMe$	H	H	3-I	2-Me	CH_2	Q66	-	Ph-2-Me	14)
2-154	$C(Me)_2CH_2SO_2Me$	H	H	3-I	2-Me	CH_2	Q66	-	Ph-2-Me	180-182
2-155	$C(Me)_2CH_2SMe$	H	H	3-I	2-Me	CH_2	Q66	-	Ph-2-CF_3	96-100
2-156	$C(Me)_2CH_2SO_2Me$	H	H	3-I	2-Me	CH_2	Q66	-	Ph-2-CF_3	139-146
2-157	$C(Me)_2CH_2SMe$	H	H	3-I	2-Me	CH_2	Q66	-	Ph-2-OMe	93-94
2-158	$C(Me)_2CH_2SMe$	H	H	3-I	2-Me	CH_2	Q66	-	Ph-2-OCF_3	15)
2-159	$C(Me)_2CH_2SO_2Me$	H	H	3-I	2-Me	CH_2	Q66	-	Ph-2-OCF_3	237-239
2-160	$C(Me)_2CH_2SMe$	H	H	3-I	2-Me	CH_2	Q66	-	Ph-3-Cl	79-83
2-161	$C(Me)_2CH_2SMe$	H	H	3-I	2-Me	CH_2	Q66	-	Ph-3-F	79-82
2-162	$C(Me)_2CH_2SO_2Me$	H	H	3-I	2-Me	CH_2	Q66	-	Ph-3-Cl	114-115
2-163	$C(Me)_2CH_2SO_2Me$	H	H	3-I	2-Me	CH_2	Q66	-	Ph-3-F	95-98
2-164	Et	Et	H	3-I	2-Me	CH_2	Q66	-	Ph-3-CF_3	
2-165	i-Pr	H	H	3-I	2-Me	CH_2	Q66	-	Ph-3-CF_3	
2-166	$CH(Me)CH_2CN$	H	H	3-I	2-Me	CH_2	Q66	-	Ph-3-CF_3	

Comp. No.	R^1	R^2	R^3	X_n	Y_m	A^1	Q	A^2	E	m.p. (°C)
2-167	$CH(Me)CH_2CONHMe$	H	H	3-I	2-Me	CH_2	Q66	-	Ph-3-CF_3	
2-168	$CH(Me)CH_2OMe$	H	H	3-I	2-Me	CH_2	Q66	-	Ph-3-CF_3	
2-169	$CH(Me)CH_2SMe$	H	H	3-I	2-Me	CH_2	Q66	-	Ph-3-CF_3	98-101
2-170	$CH(Me)CH_2SOMe$	H	H	3-I	2-Me	CH_2	Q66	-	Ph-3-CF_3	
2-171	$CH(Me)CH_2SO_2Me$	H	H	3-I	2-Me	CH_2	Q66	-	Ph-3-CF_3	101-105
2-172	$C(Me)_2CH_2SMe$	H	H	3-I	H	CH_2	Q66	-	Ph-3-CF_3	156-159
2-173	$C(Me)_2CH_2SMe$	H	H	3-I	2-Me	CH_2	Q66	-	Ph-3-CF_3	92-93
2-174	$C(Me)_2CH_2SMe$	H	H	3-I	2-Me	$O(CH_2)_2$	Q66	-	Ph-3-CF_3	
2-175	$C(Me)_2CH_2SOMe$	H	H	3-I	2-Me	CH_2	Q66	-	Ph-3-CF_3	
2-176	$C(Me)_2CH_2SO_2Me$	H	H	3-I	2-Me	CH_2	Q66	-	Ph-3-CF_3	99-110
2-177	$C(Me)_2CH_2SMe$	H	H	3-I	2-Me	CH_2	Q66	-	Ph-3-$OCHF_2$	87-96
2-178	$C(Me)_2CH_2SO_2Me$	H	H	3-I	2-Me	CH_2	Q66	-	Ph-3-$OCHF_2$	165-168
2-179	$C(Me)_2CH_2SMe$	H	H	3-I	2-Me	CH_2	Q66	-	Ph-3-OCF_3	86-89
2-180	$C(Me)_2CH_2SO_2Me$	H	H	3-I	2-Me	CH_2	Q66	-	Ph-3-OCF_3	170-172
2-181	$C(Me)_2CH_2SMe$	H	H	3-I	2-Me	CH_2	Q66	-	Ph-4-F	77-80
2-182	$C(Me)_2CH_2SO_2Me$	H	H	3-I	2-Me	CH_2	Q66	-	Ph-4-F	148-158
2-183	$C(Me)_2CH_2SMe$	H	H	3-I	2-Me	CH_2	Q66	-	Ph-4-Cl	78-83
2-184	$C(Me)_2CH_2SO_2Me$	H	H	3-I	2-Me	CH_2	Q66	-	Ph-4-Cl	141-143
2-185	$C(Me)_2CH_2SMe$	H	H	3-I	2-Me	CH_2	Q66	-	Ph-4-Br	185-186
2-186	$C(Me)_2CH_2SMe$	H	H	3-I	2-Me	CH_2	Q66	-	Ph-4-Me	152-159
2-187	$C(Me)_2CH_2SO_2Me$	H	H	3-I	2-Me	CH_2	Q66	-	Ph-4-Me	192-193
2-188	$C(Me)_2CH_2SMe$	H	H	3-I	2-Me	CH_2	Q66	-	Ph-4-i-Pr	89-95
2-189	$C(Me)_2CH_2SO_2Me$	H	H	3-I	2-Me	CH_2	Q66	-	Ph-4-i-Pr	194-196
2-190	Et	Et	H	3-I	2-Me	CH_2	Q66	-	Ph-4-CF_3	
2-191	i-Pr	H	H	3-I	2-Me	CH_2	Q66	-	Ph-4-CF_3	16)
2-192	i-Pr	H	H	3-I	2-CF_3	CH_2	Q66	-	Ph-4-CF_3	
2-193	i-Pr	H	H	3-I	2-Cl	CH_2	Q66	-	Ph-4-CF_3	
2-194	i-Pr	H	H	3-I	2,3-Cl_2	CH_2	Q66	-	Ph-4-CF_3	
2-195	i-Pr	H	Me	3-I	2-Me	CH_2	Q66	-	Ph-4-CF_3	
2-196	i-Pr	H	Et	3-I	2-Me	CH_2	Q66	-	Ph-4-CF_3	
2-197	$CH(Me)CH_2CN$	H	H	3-I	2-Me	CH_2	Q66	-	Ph-4-CF_3	
2-198	$CH(Me)CH_2CONHMe$	H	H	3-I	2-Me	CH_2	Q66	-	Ph-4-CF_3	
2-199	$CH(Me)CH_2CON(Et)_2$	H	H	3-I	2-Me	CH_2	Q66	-	Ph-4-CF_3	
2-200	$CH(Me)CH_2CSNHEt$	H	H	3-I	2-Me	CH_2	Q66	-	Ph-4-CF_3	
2-201	$CH(Me)CH_2NHCOMe$	H	H	3-I	2-Me	CH_2	Q66	-	Ph-4-CF_3	
2-202	$CH(Me)CH_2N(Me)SO_2Me$	H	H	3-I	2-Me	CH_2	Q66	-	Ph-4-CF_3	
2-203	$CH(Me)CH_2OMe$	H	H	3-I	2-Me	CH_2	Q66	-	Ph-4-CF_3	
2-204	$CH(Me)CH_2OEt$	H	H	3-I	2-Me	CH_2	Q66	-	Ph-4-CF_3	
2-205	$CH(Me)CH_2CH_2OMe$	H	H	3-I	2-Me	CH_2	Q66	-	Ph-4-CF_3	
2-206	$CH(Me)CH_2CH_2OEt$	H	H	3-I	2-Me	CH_2	Q66	-	Ph-4-CF_3	

Comp. No.	R^1	R^2	R^3	X_n	Y_m	A^1	Q	A^2	E	m.p. (°C)
2-207	$CH(Me)CH_2OCONHEt$	H	H	3-I	2-Me	CH_2	Q66	-	Ph-4-CF_3	
2-208	$CH(Me)CH_2OCONEt_2$	H	H	3-I	2-Me	CH_2	Q66	-	Ph-4-CF_3	
2-209	$CH(Me)CH_2SMe$	H	H	3-I	2-Me	CH_2	Q66	-	Ph-4-CF_3	17)
2-210	$CH(Me)CH_2SMe$	H	H	3-I	2-Cl	CH_2	Q66	-	Ph-4-CF_3	
2-211	$CH(Me)CH_2SMe$	H	Et	3-I	2-Me	CH_2	Q66	-	Ph-4-CF_3	
2-212	$CH(Me)CH_2SMe$	H	i-Pr	3-I	2-Me	CH_2	Q66	-	Ph-4-CF_3	
2-213	$CH(Me)CH_2SOMe$	H	H	3-I	2-Me	CH_2	Q66	-	Ph-4-CF_3	
2-214	$CH(Me)CH_2SO_2Me$	H	H	3-I	2-Me	CH_2	Q66	-	Ph-4-CF_3	213-214
2-215	$CH(Me)CH_2SCONHEt$	H	H	3-I	2-Me	CH_2	Q66	-	Ph-4-CF_3	
2-216	$CH(Me)CH_2SCSNHEt$	H	H	3-I	2-Me	CH_2	Q66	-	Ph-4-CF_3	
2-217	$CH(Me)CH_2SO_2NHEt$	H	H	3-I	2-Me	CH_2	Q66	-	Ph-4-CF_3	
2-218	$CH(Me)CH_2SO_2NEt_2$	H	H	3-I	2-Me	CH_2	Q66	-	Ph-4-CF_3	
2-219	$C(Me)_2CH_2SMe$	H	H	3-I	H	CH_2	Q66	-	Ph-4-CF_3	158-160
2-220	$C(Me)_2CH_2SMe$	H	H	3-I	2-Me	CH_2	Q66	-	Ph-4-CF_3	83-87
2-221	$C(Me)_2CH_2SMe$	H	H	3-I	2-Me	$O(CH_2)_2$	Q66	-	Ph-4-CF_3	
2-222	$C(Me)_2CH_2SMe$	H	Et	3-I	2-Me	CH_2	Q66	-	Ph-4-CF_3	180-184
2-223	$C(Me)_2CH_2SMe$	H	H	3-I	2-Cl	CH_2	Q66	-	Ph-4-CF_3	125-130
2-224	$C(Me)_2CH_2SMe$	H	H	3-I	3-Cl	CH_2	Q66	-	Ph-4-CF_3	121-125
2-225	$C(Me)_2CH_2SOMe$	H	H	3-I	2-Me	CH_2	Q66	-	Ph-4-CF_3	
2-226	$C(Me)_2CH_2SOMe$	H	H	3-I	2-Cl	CH_2	Q66	-	Ph-4-CF_3	
2-227	$C(Me)_2CH_2SO_2Me$	H	H	3-I	2-Me	CH_2	Q66	-	Ph-4-CF_3	108-112
2-228	$C(Me)_2CH_2NHCOMe$	H	H	3-I	2-Me	CH_2	Q66	-	Ph-4-CF_3	
2-229	$C(Me)_2CH_2NHCO_2Me$	H	H	3-I	2-Me	CH_2	Q66	-	Ph-4-CF_3	
2-230	$C(Me)_2CH=NOMe$	H	H	3-I	2-Me	CH_2	Q66	-	Ph-4-CF_3	
2-231	$C(Me)_2CH_2CH=NOMe$	H	H	3-I	2-Me	CH_2	Q66	-	Ph-4-CF_3	
2-232	$C(Me)_2CH_2SO_2Me$	H	H	3-I	2-Cl	CH_2	Q66	-	Ph-4-CF_3	159-165
2-233	$C(Me)_2CH_2SO_2Me$	H	H	3-I	H	CH_2	Q66	-	Ph-4-CF_3	161-163
2-234	$C(Me)_2CH_2SMe$	H	H	3-I	2-Me	CH_2	Q66	-	Ph-4-OCF_3	117-119
2-235	$C(Me)_2CH_2SMe$	H	H	3-I	2-Me	CH_2	Q66	-	Ph-4-SCF_3	
2-236	$C(Me)_2CH_2SO_2Me$	H	H	3-I	2-Me	CH_2	Q66	-	Ph-4-SCF_3	
2-237	$C(Me)_2CH_2SMe$	H	H	3-I	2-Me	CH_2	Q66	-	Ph-4-(Ph-4-CF_3)	
2-238	$C(Me)_2CH_2SO_2Me$	H	H	3-I	2-Me	CH_2	Q66	-	Ph-4-(Ph-4-CF_3)	
2-239	$C(Me)_2CH_2SMe$	H	H	3-I	2-Me	CH_2	Q66	-	Ph-4-[Ph-3,5-$(CF_3)_2$]	110-117
2-240	$C(Me)_2CH_2SO_2Me$	H	H	3-I	2-Me	CH_2	Q66	-	Ph-4-[Ph-3,5-$(CF_3)_2$]	127-131
2-241	$C(Me)_2CH_2SMe$	H	H	3-I	2-Me	CH_2	Q66	-	Ph-2-F-3-CF_3	107
2-242	$C(Me)_2CH_2SMe$	H	H	3-I	2-Me	CH_2	Q66	-	Ph-2-F-4-Br	80-82
2-243	$C(Me)_2CH_2SMe$	H	H	3-I	2-Me	CH_2	Q66	-	Ph-3-F-5-CF_3	79-84
2-244	$C(Me)_2CH_2SMe$	H	H	3-I	2-Me	CH_2	Q66	-	Ph-2-F-5-CF_3	190-194
2-245	$C(Me)_2CH_2SMe$	H	H	3-I	2-Me	CH_2	Q66	-	Ph-3-Br-4-OCF_3	143-146
2-246	$C(Me)_2CH_2SMe$	H	H	3-I	2-Me	CH_2	Q66	-	Ph-2-Cl-5-CF_3	97-99

Comp. No.	R^1	R^2	R^3	X_n	Y_m	A^1	Q	A^2	E	m.p. (°C)
2-247	$C(Me)_2CH_2SMe$	H	H	3-I	2-Me	CH_2	Q66	-	Ph-3-CF_3-4-Cl	95-99
2-248	$C(Me)_2CH_2SMe$	H	H	3-I	2-Me	CH_2	Q66	-	Ph-3-Cl-4-OCF_3	147-149
2-249	$C(Me)_2CH_2SO_2Me$	H	H	3-I	2-Me	CH_2	Q66	-	Ph-3-Cl-4-OCF_3	18)
2-250	$C(Me)_2CH_2SMe$	H	H	3-I	2-Me	CH_2	Q66	-	Ph-3-CF_3-4-F	86-90
2-251	$C(Me)_2CH_2SO_2Me$	H	H	3-I	2-Me	CH_2	Q66	-	Ph-3-CF_3-4-F	179-189
2-252	i-Pr	H	H	3-I	2-Me	CH_2	Q66	-	Ph-3,4-$(CF_3)_2$	
2-253	$C(Me)_2CH_2SMe$	H	H	3-I	2-Me	CH_2	Q66	-	Ph-3,4-$(CF_3)_2$	112-118
2-254	$C(Me)_2CH_2SOMe$	H	H	3-I	2-Me	CH_2	Q66	-	Ph-3,4-$(CF_3)_2$	
2-255	$C(Me)_2CH_2SO_2Me$	H	H	3-I	2-Me	CH_2	Q66	-	Ph-3,4-$(CF_3)_2$	
2-256	$C(Me)_2CH_2SMe$	H	H	3-I	2-Me	CH_2	Q66	-	Ph-3,5-Cl_2	145-147
2-257	$C(Me)_2CH_2SMe$	H	H	3-I	2-Me	CH_2	Q66	-	Ph-3,5-$(OMe)_2$	
2-258	$C(Me)_2CH_2SMe$	H	H	3-I	2-Me	CH_2	Q66	-	Ph-3-CF_3-5-F	107-112
2-259	Et	H	Et	3-I	2-Me	CH_2	Q66	-	Ph-3,5-$(CF_3)_2$	
2-260	i-Pr	H	H	3-I	2-Me	CH_2	Q66	-	Ph-3,5-$(CF_3)_2$	195-196
2-261	$CH(Me)CH_2CN$	H	H	3-I	2-Me	CH_2	Q66	-	Ph-3,5-$(CF_3)_2$	
2-262	$CH(Me)CH_2CONHMe$	H	H	3-I	2-Me	CH_2	Q66	-	Ph-3,5-$(CF_3)_2$	
2-263	$CH(Me)CH_2CONEt_2$	H	H	3-I	2-Me	CH_2	Q66	-	Ph-3,5-$(CF_3)_2$	
2-264	$CH(Me)CH_2NHCOMe$	H	H	3-I	2-Me	CH_2	Q66	-	Ph-3,5-$(CF_3)_2$	
2-265	$CH(Me)CH_2OMe$	H	H	3-I	2-Me	CH_2	Q66	-	Ph-3,5-$(CF_3)_2$	86-89
2-266	$CH(Me)CH_2OEt$	H	H	3-I	2-Me	CH_2	Q66	-	Ph-3,5-$(CF_3)_2$	
2-267	$CH(Me)CH_2CH_2OMe$	H	H	3-I	2-Me	CH_2	Q66	-	Ph-3,5-$(CF_3)_2$	
2-268	$CH(Me)CH_2CH_2OEt$	H	H	3-I	2-Me	CH_2	Q66	-	Ph-3,5-$(CF_3)_2$	
2-269	$CH(Me)CH_2OCONHEt$	H	H	3-I	2-Me	CH_2	Q66	-	Ph-3,5-$(CF_3)_2$	
2-270	$CH(Me)CH_2SMe$	H	H	3-I	2-Me	CH_2	Q66	-	Ph-3,5-$(CF_3)_2$	101-103
2-271	$CH(Me)CH_2SMe$	H	Et	3-I	2-Me	CH_2	Q66	-	Ph-3,5-$(CF_3)_2$	
2-272	$CH(Me)CH_2SMe$	H	H	3-I	2-Cl	CH_2	Q66	-	Ph-3,5-$(CF_3)_2$	
2-273	$CH(Me)CH_2SOMe$	H	H	3-I	2-Me	CH_2	Q66	-	Ph-3,5-$(CF_3)_2$	*
2-274	$CH(Me)CH_2SO_2Me$	H	H	3-I	2-Me	CH_2	Q66	-	Ph-3,5-$(CF_3)_2$	187-190
2-275	$C(Me)_2CH_2NHCOMe$	H	H	3-I	2-Me	CH_2	Q66	-	Ph-3,5-$(CF_3)_2$	
2-276	$C(Me)_2CH_2NHCO_2Me$	H	H	3-I	2-Me	CH_2	Q66	-	Ph-3,5-$(CF_3)_2$	
2-277	$C(Me)_2CH=NOMe$	H	H	3-I	2-Me	CH_2	Q66	-	Ph-3,5-$(CF_3)_2$	
2-278	$C(Me)_2CH_2CH=NOMe$	H	H	3-I	2-Me	CH_2	Q66	-	Ph-3,5-$(CF_3)_2$	
2-279	$C(Me)_2CH_2OCSNHMe$	H	H	3-I	2-Me	CH_2	Q66	-	Ph-3,5-$(CF_3)_2$	
2-280	$C(Me)_2CH_2OCSNMe_2$	H	H	3-I	2-Me	CH_2	Q66	-	Ph-3,5-$(CF_3)_2$	
2-281	$C(Me)_2CH_2Sme$	H	H	3-I	2-Me	CH(Me)	Q66	-	Ph-3,5-$(CF_3)_2$	118-122
2-282	$C(Me)_2CH_2SMe$	H	H	3-I	2-OMe	CH_2	Q66	-	Ph-3,5-$(CF_3)_2$	133-137
2-283	$C(Me)_2CH_2SMe$	H	H	3-I	3-Cl	CH_2	Q66	-	Ph-3,5-$(CF_3)_2$	76-80
2-284	$C(Me)_2CH_2SMe$	H	H	3-I	3-OMe	CH_2	Q66	-	Ph-3,5-$(CF_3)_2$	104-108
2-285	$C(Me)_2CH_2SMe$	H	H	3-I	2,6-Me_2	CH_2	Q66	-	Ph-3,5-$(CF_3)_2$	102-104
2-286	$C(Me)_2CH_2SMe$	H	H	3-I	2-Me	CH_2	Q66	-	Ph-3,5-$(CF_3)_2$	115-117

Comp. No.	R^1	R^2	R^3	X_n	Y_m	A^1	Q	A^2	E	m.p. (°C)
2-287	$C(Me)_2CH_2SMe$	H	H	3-I	2-Cl	CH_2	Q66	-	Ph-3,5-$(CF_3)_2$	
2-288	$C(Me)_2CH_2SMe$	H	Et	3-I	2-Me	CH_2	Q66	-	Ph-3,5-$(CF_3)_2$	84-87
2-289	$C(Me)_2CH_2SOMe$	H	H	3-I	2-Me	CH_2	Q66	-	Ph-3,5-$(CF_3)_2$	165-171
2-290	$C(Me)_2CH_2SOMe$	H	H	3-I	2-Cl	CH_2	Q66	-	Ph-3,5-$(CF_3)_2$	
2-291	$C(Me)_2CH_2SO_2Me$	H	H	3-I	2-OMe	CH_2	Q66	-	Ph-3,5-$(CF_3)_2$	74-78
2-292	$C(Me)_2CH_2SO_2Me$	H	H	3-I	2,6-Me_2	CH_2	Q66	-	Ph-3,5-$(CF_3)_2$	171-173
2-293	$C(Me)_2CH_2SO_2Me$	H	H	3-I	2-Me	CH_2	Q66	-	Ph-3,5-$(CF_3)_2$	116-118
2-294	$C(Me)_2CH_2SO_2Me$	H	H	3-I	2-Cl	CH_2	Q66	-	Ph-3,5-$(CF_3)_2$	
2-295	$C(Me)_2CH_2SMe$	H	H	3-I	2-Me	CH_2	Q66	-	Ph-2-OMe-3-Cl-5-Me	94-96
2-296	$C(Me)_2CH_2SO_2Me$	H	H	3-I	2-Me	CH_2	Q66	-	Ph-2-OMe-3-Cl-5-Me	152-153
2-297	$C(Me)_2CH_2SMe$	H	H	3-I	2-Me	CH_2	Q66	-	Ph-2,6-Et_2-3,4-Cl_2	95-97
2-298	$C(Me)_2CH_2SMe$	H	H	3-I	2-Me	CH_2	Q66	-	Ph-3-OCF_2O-4	
2-299	$C(Me)_2CH_2SOMe$	H	H	3-I	2-Me	CH_2	Q66	-	Ph-3-OCF_2O-4	
2-300	$C(Me)_2CH_2SO_2Me$	H	H	3-I	2-Me	CH_2	Q66	-	Ph-3-OCF_2O-4	
2-301	$C(Me)_2CH_2SMe$	H	H	3-I	2-Me	CH_2	Q66	-	Ph-3-$OCHFCF_2O$-4	
2-302	$C(Me)_2CH_2SOMe$	H	H	3-I	2-Me	CH_2	Q66	-	Ph-3-$OCHFCF_2O$-4	
2-303	$C(Me)_2CH_2SO_2Me$	H	H	3-I	2-Me	CH_2	Q66	-	Ph-3-$OCHFCF_2O$-4	
2-304	$C(Me)_2CH_2SMe$	H	H	3-I	2-Me	CH_2	Q66	-	Ph-3-OCF_2CHFO-4	
2-305	$C(Me)_2CH_2SOMe$	H	H	3-I	2-Me	CH_2	Q66	-	Ph-3-OCF_2CHFO-4	
2-306	$C(Me)_2CH_2SO_2Me$	H	H	3-I	2-Me	CH_2	Q66	-	Ph-3-OCF_2CHFO-4	
2-307	$C(Me)_2CH_2SMe$	H	H	3-I	2-Me	CH_2	Q66	-	Ph-3-OCF_2CF_2O-4	86-88
2-308	$C(Me)_2CH_2SOMe$	H	H	3-I	2-Me	CH_2	Q66	-	Ph-3-OCF_2CF_2O-4	
2-309	$C(Me)_2CH_2SO_2Me$	H	H	3-I	2-Me	CH_2	Q66	-	Ph-3-OCF_2CF_2O-4	
2-310	$C(Me)_2CH_2SMe$	H	H	3-I	2-Me	CH_2	Q66	-	Ph-2-F-4-OCF_2CF_2O-5	19)
2-311	$C(Me)_2CH_2SO_2Me$	H	H	3-I	2-Me	CH_2	Q66	-	Ph-2-F-4-OCF_2CF_2O-5	117-119
2-312	$CH(Me)CH_2SMe$	H	H	3-I	2-Me	CH_2	Q66	-	3-pyridine	
2-313	$C(Me)_2CH_2SMe$	H	H	3-I	2-Me	CH_2	Q66	-	3-pyridine	
2-314	$CH(Me)CH_2SMe$	H	H	3-I	2-Me	CH_2	Q66	-	2-thiophene	
2-315	$C(Me)_2CH_2SMe$	H	H	3-I	2-Me	CH_2	Q66	-	2-(thiophene-5-Cl)	
2-316	$C(Me)_2CH_2SMe$	H	H	3-Me	2-Me	CH_2	Q66	-	Ph-3-CF_3	
2-317	$C(Me)_2CH_2SMe$	H	H	3-Me	2-Me	CH_2	Q66	-	Ph-4-CF_3	
2-318	$C(Me)_2CH_2SMe$	H	H	3-Me	2-Me	CH_2	Q66	-	Ph-3,5-$(CF_3)_2$	166-173
2-319	i-Pr	H	H	3-CN	2-Me	CH_2	Q66	-	Ph-3-CF_3	
2-320	i-Pr	H	H	3-CF_3	2-Me	CH_2	Q66	-	Ph-3-CF_3	
2-321	i-Pr	H	H	3-$NHSO_2Me$	2-Me	CH_2	Q66	-	Ph-3-CF_3	
2-322	i-Pr	H	H	3-$N(SO_2Me)_2$	2-Me	CH_2	Q66	-	Ph-3-CF_3	
2-323	i-Pr	H	H	3-NO_2	2-Me	CH_2	Q66	-	Ph-4-CF_3	20)
2-324	i-Pr	H	H	3-NO_2	2-Me	CH_2	Q66	-	Ph-3,5-$(CF_3)_2$	
2-325	$C(Me)_2CH_2SMe$	H	H	3-NO_2	2-Me	CH_2	Q66	-	Ph-3,5-$(CF_3)_2$	

Comp. No.	R^1	R^2	R^3	X_n	Y_m	A^1	Q	A^2	E	m.p. (°C)
2-326	$C(Me)_2CH_2SMe$	H	H	3-OSO_2Me	2-Me	CH_2	Q66	-	Ph	150-154
2-327	$C(Me)_2CH_2SO_2Me$	H	H	3-OSO_2Me	2-Me	CH_2	Q66	-	Ph	21)
2-328	i-Pr	H	H	3-OSO_2Me	H	CH_2	Q66	-	Ph-2-Cl	22)
2-329	$C(Me)_2CH_2SMe$	H	H	3-OSO_2Me	2-Me	CH_2	Q66	-	Ph-2-Cl	94-104
2-330	$C(Me)_2CH_2SO_2Me$	H	H	3-OSO_2Me	2-Me	CH_2	Q66	-	Ph-2-Cl	23)
2-331	$C(Me)_2CH_2SMe$	H	H	3-OSO_2Me	2-Me	CH_2	Q66	-	Ph-3-Cl	24)
2-332	$C(Me)_2CH_2SMe$	H	H	3-OSO_2CF_3	2-Me	CH_2	Q66	-	Ph-3-Cl	
2-333	$C(Me)_2CH_2SMe$	H	H	3-OSO_2Me	2-Me	CH_2	Q66	-	Ph-3-CF_3	115-119
2-334	$C(Me)_2CH_2SO_2Me$	H	H	3-OSO_2Me	2-Me	CH_2	Q66	-	Ph-3-CF_3	170-179
2-335	$C(Me)_2CH_2SMe$	H	H	3-OSO_2Me	2-Me	CH_2	Q66	-	Ph-4-Cl	25)
2-336	$C(Me)_2CH_2SO_2Me$	H	H	3-OSO_2Me	2-Me	CH_2	Q66	-	Ph-4-Cl	26)
2-337	$C(Me)_2CH_2SMe$	H	H	3-OSO_2Me	2-Me	CH_2	Q66	-	Ph-4-CF_3	151-153
2-338	$C(Me)_2CH_2SMe$	H	H	3-OSO_2Me	2-Me	CH_2	Q66	-	Ph-3,5-$(CF_3)_2$	86-88
2-339	$C(Me)_2CH_2SO_2Me$	H	H	3-OSO_2Me	2-Me	CH_2	Q66	-	Ph-3,5-$(CF_3)_2$	184-185
2-340	$C(Me)_2CH_2SMe$	H	H	3-OSO_2CF_3	2-Me	CH_2	Q66	-	Ph-4-CF_3	
2-341	$C(Me)_2CH_2SMe$	H	H	4-Me	2-Me	CH_2	Q66	-	Ph-4-CF_3	
2-342	$C(Me)_2CH_2SMe$	H	H	4-Me	2-Me	CH_2	Q66	-	Ph-3,5-$(CF_3)_2$	154-156
2-343	i-Pr	H	H	4-NO_2	2-Me	CH_2	Q66	-	Ph-4-CF_3	143-148
2-344	$C(Me)_2CH_2SMe$	H	H	4-NO_2	2-Me	CH_2	Q66	-	Ph-3,5-$(CF_3)_2$	
2-345	$C(Me)_2CH_2SMe$	H	H	6-Me	2-Me	CH_2	Q66	-	Ph-3,5-$(CF_3)_2$	160-164
2-346	$C(Me)_2CH_2SMe$	H	H	6-I	2-Me	CH_2	Q66	-	Ph-4-CF_3	218-220
2-347	$C(Me)_2CH_2SMe$	H	H	3,6-Cl_2	2-Me	CH_2	Q66	-	Ph-3-CF_3	
2-348	$C(Me)_2CH_2SMe$	H	H	3,6-Cl_2	2-Me	CH_2	Q66	-	Ph-4-CF_3	
2-349	$C(Me)_2CH_2SMe$	H	H	3,6-Cl_2	2-Me	CH_2	Q66	-	Ph-3,5-$(CF_3)_2$	
2-350	$C(Me)_2CH_2SMe$	H	H	4,5-Cl_2	2-Me	CH_2	Q66	-	Ph-3,5-$(CF_3)_2$	>250
2-351	$C(Me)_2CH_2SO_2Me$	H	H	4,5-Cl_2	2-Me	CH_2	Q66	-	Ph-3,5-$(CF_3)_2$	189-188
2-352	$C(Me)_2CH_2SMe$	H	H	3,4,5,6-Cl_4	2-Me	CH_2	Q66	-	Ph-3-CF_3	
2-353	$C(Me)_2CH_2SMe$	H	H	3,4,5,6-Cl_4	2-Me	CH_2	Q66	-	Ph-4-CF_3	
2-354	$C(Me)_2CH_2SMe$	H	H	3,4,5,6-Cl_4	2-Me	CH_2	Q66	-	Ph-3,5-$(CF_3)_2$	209
2-355	$C(Me)_2CH_2SO_2Me$	H	H	3,4,5,6-Cl_4	2-Me	CH_2	Q66	-	Ph-3,5-$(CF_3)_2$	
2-356	$C(Me)_2CH_2SMe$	H	H	3,4,5,6-Br_4	2-Me	CH_2	Q66	-	Ph-3,5-$(CF_3)_2$	209-210
2-357	$C(Me)_2CH_2SMe$	H	H	4-$C(Me)_3$	2-Me	CH_2	Q66	-	Ph-3,5-$(CF_3)_2$	157-164
2-358	$C(Me)_2CH_2SMe$	H	H	3-I	2-Me	CH_2	Q69-1	-	Ph-4-CF_3	
2-359	$CH(Me)CH_2SMe$ (S)-isomer	H	H	3-Cl	2-Me	CH_2	Q66	-	Ph-3,5-$(CF_3)_2$	98-102
2-360	$C(Me)_2CH_2SO_2Me$	H	H	3,4,5-6,Br_4	2-Me	CH_2	Q66	-	Ph-3,5-$(CF_3)_2$	192-195
2-361	$CH(Me)CH_2SMe$ (S)-isomer	H	H	H	2-Me	CH_2	Q66	-	Ph-3,5-$(CF_3)_2$	137-140
2-362	$CH(Me)CH_2SO_2Me$ (S)-isomer	H	H	H	2-Me	CH_2	Q66	-	Ph-3,5-$(CF_3)_2$	186-188
2-363	$CH(Me)CH_2SMe$	H	H	H	2-Me	CH_2	Q66	-	Ph-3-CF_3	160-163

Comp. No.	R^1	R^2	R^3	X_n	Y_m	A^1	Q	A^2	E	m.p. (°C)
2-364	$CH(Me)CH_2SO_2Me$	H	H	H	2-Me	CH_2	Q66	-	Ph-3-CF_3	174-175
2-365	$CH(Me)CH_2SEt$ (S)-isomer	H	H	H	2-Me	CH_2	Q66	-	Ph-3-CF_3	142-144
2-366	$CH(Me)CH_2SO_2Et$ (S)-isomer	H	H	H	2-Me	CH_2	Q66	-	Ph-3-CF_3	123-129
2-367	$CH(Me)CH_2SEt$ (S)-isomer	H	H	H	2-Me	CH_2	Q66	-	Ph-4-CF_3	158-159
2-368	$CH(Me)CH_2SO_2Et$ (S)-isomer	H	H	H	2-Me	CH_2	Q66	-	Ph-4-CF_3	192-195
2-369	$CH(Me)CH_2SEt$ (S)-isomer	H	H	H	2-Me	CH_2	Q66	-	Ph-3,5-$(CF_3)_2$	144-150
2-370	$CH(Me)CH_2SO_2Et$ (S)-isomer	H	H	H	2-Me	CH_2	Q66	-	Ph-3,5-$(CF_3)_2$	185-187
2-371	$C(Me)_2CH_2SO_2Me$	H	H	3-I	2-Me	CH_2	Q55-1	-	Ph-3,5-$(CF_3)_2$	231-232
2-372	$CH(Me)CH_2SMe$ (S)-isomer	H	H	3-I	2-Me	CH_2	Q66	-	Ph-3,5-$(CF_3)_2$	160-169
2-373	$CH(Me)CH_2SMe$ (R)-isomer	H	H	3-I	2-Me	CH_2	Q66	-	Ph-3,5-$(CF_3)_2$	104-109
2-374	CH_2CH_2SMe	H	H	3-I	2-Me	CH_2	Q66	-	Ph-3,5-$(CF_3)_2$	196-199
2-375	CH_2CH_2SEt	H	H	3-I	2-Me	CH_2	Q66	-	Ph-3,5-$(CF_3)_2$	179-183
2-376	$C(Me)_2CH_2SO_2Et$	H	H	3-I	2-Me	CH_2	Q66	-	Ph-3-NO_2	98-100
2-377	$CH(Me)CH_2SO_2Me$ (S)-isomer	H	H	3-I	2-Me	CH_2	Q66	-	Ph-3,5-$(CF_3)_2$	193-195
2-378	$CH(Me)CH_2SO_2Me$ (R)-isomer	H	H	3-I	2-Me	CH_2	Q66	-	Ph-3,5-$(CF_3)_2$	178-187
2-379	$C(Me)_2CH_2SMe$	H	H	3-I	2-Me	CH_2	Q64-6	-	Ph-3,5-$(CF_3)_2$	104-111
2-380	$C(Me)_2CH_2SMe$	H	H	3-I	2-Me	CH_2	Q55-1	-	Ph-3-CF_3	92-98
2-381	$C(Me)_2CH_2SO_2Me$	H	H	3-I	2-Me	CH_2	Q55-1	-	Ph-3-CF_3	183-184
2-382	$C(Me)_2CH_2SMe$	H	H	3-I	2-Me	CH_2	Q41-1	-	Ph	94-96
2-384	$CH(Me)CH_2SEt$ (S)-isomer	H	H	3-I	2-Me	CH_2	Q66	-	Ph-3CF_3	77-82
2-385	$CH(Me)CH_2SO_2Et$ (S)-isomer	H	H	3-I	2-Me	CH_2	Q66	-	Ph-3CF_3	118-127
2-386	$CH(Me)CH_2SEt$ (S)-isomer	H	H	3-I	2-Me	CH_2	Q66	-	Ph-4CF_3	182-185
2-387	$CH(Me)CH_2SO_2Et$ (S)-isomer	H	H	3-I	2-Me	CH_2	Q66	-	Ph-4CF_3	204-207
2-388	$CH(Me)CH_2SEt$ (S)-isomer	H	H	3-I	2-Me	CH_2	Q66	-	Ph-3,5-$(CF_3)_2$	194-196
2-389	$CH(Me)CH_2SO_2Et$ (S)-isomer	H	H	3-I	2-Me	CH_2	Q66	-	Ph-3,5-$(CF_3)_2$	222-223
2-390	$CH(Me)CH_2SCH_2Et$ (S)-isomer	H	H	3-I	2-Me	CH_2	Q66	-	Ph-3,5-$(CF_3)_2$	91-95
2-391	$CH(Me)CH_2SO_2n$-Pr (S)-isomer	H	H	3-I	2-Me	CH_2	Q66	-	Ph-3,5-$(CF_3)_2$	189-190
2-392	$CH(Et)CH_2SMe$	H	H	3-I	2-Me	CH_2	Q66	-	Ph-3,5-$(CF_3)_2$	189-191
2-393	cyclhexyl-2-SMe	H	H	3-I	2-Me	CH_2	Q66	-	Ph-3,5-$(CF_3)_2$	169-173

Comp. No.	R^1	R^2	R^3	X_n	Y_m	A^1	Q	A^2	E	m.p. (°C)
2-394	$C(Me)_2CH_2SMe$	H	H	3-I	2-Me	CH_2	Q41-1	-	Ph-3,5-$(CF_3)_2$	101-106
2-395	$C(Me)_2CH_2SMe$	H	H	3-I	2-Me	CH_2	Q15-1	-	Ph-3,5-$(CF_3)_2$	89-91
2-396	$C(Me)_2CH_2SMe$	H	H	3-I	2-Me	CH_2	Q64-2	-	Ph-3,5-$(CF_3)_2$	116-119
2-397	$C(Me)_3$	H	H	3-I	2-Me	CH_2	Q66	-	Ph-3,5-$(CF_3)_2$	141-144
2-398	cyclopentyl-1-CH_2SMe	H	H	3-I	2-Me	CH_2	Q66	-	Ph-3,5-$(CF_3)_2$	186-187
2-399	$CH_2CH(Me)SMe$	H	H	3-I	2-Me	CH_2	Q66	-	Ph-3,5-$(CF_3)_2$	103-107
2-400	$C(Me)_2CH_2SO2Me$	H	H	3-NO_2	2-Me	CH_2	Q66	-	Ph-3,5-$(CF_3)_2$	182-185
2-401	$CH(Me)CH_2SMe$	H	H	3-OSO_2Me	2-Me	CH_2	Q66	-	Ph-3,5-$(CF_3)_2$	88-94
2-402	$CH(Me)CH_2SMe$	H	H	3-OSO_2CF_3	2-Me	CH_2	Q66	-	Ph-3,5-$(CF_3)_2$	87-94
2-403	$CH(Me)CH_2SMe$	H	H	3-OSO_2Et	2-Me	CH_2	Q66	-	Ph-3,5-$(CF_3)_2$	88-94
2-404	$CH(Me)CH_2SMe$	H	H	3-OSO_2Ph	2-Me	CH_2	Q66	-	Ph-3,5-$(CF_3)_2$	89-91
2-405	$CH(Me)CH_2SMe$	H	H	3-OCO-Me	2-Me	CH_2	Q66	-	Ph-3,5-$(CF_3)_2$	76-80
2-406	$C(Me)_2CH_2SMe$	H	H	3-I	2-Me	CH_2	Q64-6	-	Ph-2-Cl	111-114
2-407	$C(Me)_2CH_2SO_2Me$	H	H	3-I	2-Me	CH_2	Q64-6	-	Ph-2-Cl	. 27)
2-408	$C(Me)_2CH_2SMe$	H	H	3-I	2-Me	$(CH_2)_2$	Q66	-	Ph-3,5-$(CF_3)_2$	111-120
2-409	$CH(Me)CH_2SMe$	H	H	3-I	2-Me	CH_2	Q66	-	Ph-3,5-$(CF_3)_2$	105-108
2-410	$CH(Me)CH_2SO_2Me$	H	H	3-I	2-Me	CH_2	Q66	-	Ph-3,5-$(CF_3)_2$	119-121
2-411	$CH(Me)CH_2SO_2Me$	H	H	3-I	2-Me	CH_2	Q48-1	-	Ph-3,5-$(CF_3)_2$	199-202
2-412	$CH(Me)CH_2SMe$	H	H	3-I	2-Me	CH_2	Q54	-	Ph-3,5-$(CF_3)_2$	213-214
2-413	$C(Me)_2CH_2SMe$	H	Et	3-I	2-Me	CH_2	Q66	-	Ph-3-CF_3	121-123
2-414	$C(Me)_2CH_2SO_2Me$	H	Et	3-I	2-Me	CH_2	Q66	-	Ph-3-CF_3	98-101
2-415	$C(Me)_2CH_2SO_2Me$	H	Et	3-I	2-Me	CH_2	Q66	-	Ph-4-CF_3	112-115
2-416	$C(Me)_2CH_2SO_2Me$	H	Et	3-I	2-Me	CH_2	Q66	-	Ph-3,5-$(CF_3)_2$	99-102
2-417	$C(Me)_2CF_3$	H	H	3-I	2-Me	CH_2	Q55-1	-	Ph-3,5-$(CF_3)_2$	174-177
2-418	$CH_2CH_2CH_2CF_3$	H	H	3-I	2-Me	CH_2	Q55-1	-	Ph-3,5-$(CF_3)_2$	184-185
2-419	$CH(Me)CF_3$	H	H	3-I	2-Me	CH_2	Q55-1	-	Ph-3,5-$(CF_3)_2$	>250
2-420	$CH_2CF_2CF_3$	H	H	3-I	2-Me	CH_2	Q55-1	-	Ph-3,5-$(CF_3)_2$	220-221

1) ^{1}H-NMR ($CDCl_3$, ppm): 1.4 (6H, s), 1.9 (3H, s), 2.3 (3H, s), 2.8 (2H, s), 5.7 (2H, s), 6.0 (1H, s), 7.0-8.3 (11H, m)

2) ^{1}H-NMR ($CDCl_3$, ppm): 1.4 (6H, s), 2.0 (3H, s), 2.2 (3H, s), 2.9 (2H, s), 4.8 (2H, s), 6.3 (1H, d), 6.4 (1H, s), 6.6 (1H, d), 7.0-8.5 (11H, m)

3) ^{1}H-NMR ($CDCl_3$, ppm): 1.4 (6H, s), 2.0 (3H, s), 2.3 (3H, s), 2.8 (2H, s), 3.9 (2H, s), 4.6 (2H, s), 6.1 (1H, s), 7.0-8.4 (11H, m)

4) ^{1}H-NMR ($CDCl_3$, ppm): 1.4 (6H, s), 2.0 (3H, s), 2.2 (3H, s), 2.9 (2H, s), 4.8 (2H, s), 6.1 (1H, s), 7.1-8.4 (12H, m)

5) ^{1}H-NMR ($CDCl_3$, ppm): 1.6 (6H, s), 2.2 (3H, s), 2.6 (3H, s), 3.5 (2H, s), 4.9 (2H, s), 6.2 (1H, s), 7.1-8.2 (12H, m)

6) ^{1}H-NMR ($CDCl_3$, ppm): 1.6 (6H, s), 2.3 (3H, s), 2.6 (3H, s), 3.3 (3H, s), 3.6 (2H, s), 4.9 (2H, s), 6.8 (1H, s), 7.1-8.1 (12H, m)

7) ^{1}H-NMR ($CDCl_3$, ppm): 1.2 (6H, d), 2.3 (3H, s), 4.2 (1H, m), 5.1 (2H, s), 6.0 (1H, m), 7.2-8.6 (12H, m)

8) ^{1}H-NMR ($CDCl_3$, ppm): 1.4 (6H, s), 2.1 (3H, s), 2.3 (3H, s), 3.0 (2H, s), 5.1 (2H, s), 6.1 (1H, s), 7.2-8.9 (12H, m)

9) ^{1}H-NMR ($CDCl_3$, ppm): 1.4 (6H, s), 2.0 (3H, s), 2.3 (3H, s), 2.9 (2H, s), 5.1 (2H, s), 6.1 (1H, s), 7.2-8.3 (12H, m)

10) ^{1}H-NMR ($CDCl_3$, ppm): 1.7 (6H, s), 2.3 (3H, s), 2.6 (3H, s), 3.5 (2H, s), 5.1 (2H, s), 6.2 (1H, s), 7.0-8.2 (12H, m)

11) ^{1}H-NMR (DMSO-d_6, ppm): 1.0 (6H, d), 2.2 (3H, s), 4.0 (1H, m), 5.1 (2H, s), 7.0-8.2 (11H, m), 9.4 (1H, s)

12) ^{1}H-NMR ($CDCl_3$, ppm): 1.1 (6H, d), 4.1 (1H, m), 5.1 (2H, s), 5.9 (1H, d), 7.0-8.0 (11H, m), 8.9 (1H, s)

13) ^{1}H-NMR ($CDCl_3$, ppm): 1.4 (6H, s), 2.0 (3H, s), 2.9 (2H, s), 5.1 (2H, s), 6.1 (1H, s), 7.0-8.0 (11H, m), 8.9 (1H, s)

14) ^{1}H-NMR ($CDCl_3$, ppm): 1.4 (6H, s), 2.0 (3H, s), 2.2 (3H, s), 2.3 (3H, s), 2.9 (2H, s), 5.1 (2H, s), 6.0 (1H, s), 7.1-8.3 (11H, m)

15) ^{1}H-NMR ($CDCl_3$, ppm): 1.4 (6H, s), 2.0 (3H, s), 2.3 (3H, s), 2.9 (2H, s), 5.1 (2H, s), 6.1 (1H, s), 7.0-8.4 (11H, m)

16) ^{1}H-NMR ($CDCl_3$, ppm): 1.1 (6H, d), 2.3 (3H, s), 4.1 (1H, m), 5.1 (2H, s), 5.9 (1H, m), 7.1-8.3 (11H, m)

17) ^{1}H-NMR ($CDCl_3$, ppm): 1.2 (3H, d), 2.0 (3H, s), 2.3 (3H, s), 2.7 (2H, dd), 4.1 (1H, m), 5.1 (2H, s), 6.1 (1H, d), 7.1-8.3 (11H, m)

18) ^{1}H-NMR ($CDCl_3$, ppm): 1.6 (6H, s), 2.2 (3H, s), 2.6 (3H, s), 3.5 (2H, s), 5.1 (2H, s), 6.2 (1H, s), 7.1-8.1 (10H, m)

19) ^{1}H-NMR ($CDCl_3$, ppm): 1.4 (6H, s), 2.0 (3H, s), 2.3 (3H, s), 2.8 (2H, s), 5.1 (2H, s), 6.1 (1H, s), 7.0-8.4 (9H, m)

20) ^{1}H-NMR ($CDCl_3$, ppm): 1.1 (6H, d), 2.3 (3H, s), 4.2 (1H, m), 5.1 (2H, s), 5.9 (1H, m), 7.2-8.3 (11H, m)

21) ^{1}H-NMR ($CDCl_3$, ppm): 1.6 (6H, s), 2.2 (3H, s), 2.6 (3H, s), 3.2 (3H, s), 3.5 (2H, s), 5.1 (2H, s), 6.7 (1H, s), 7.2-8.0 (12H, m)

22) ^{1}H-NMR ($CDCl_3$, ppm): 1.1 (6H, d), 3.2 (3H, s), 4.1 (1H, m), 5.1 (2H, s), 6.2 (1H, d), 7.3-7.9 (11H, m), 8.9 (1H, s)

23) ^{1}H-NMR ($CDCl_3$, ppm): 1.6 (6H, s), 2.3 (3H, s), 2.6 (3H, s), 3.2 (3H, s), 3.6 (2H, s), 5.1 (2H, s), 6.7 (1H, s), 7.2-8.1 (11H, m)

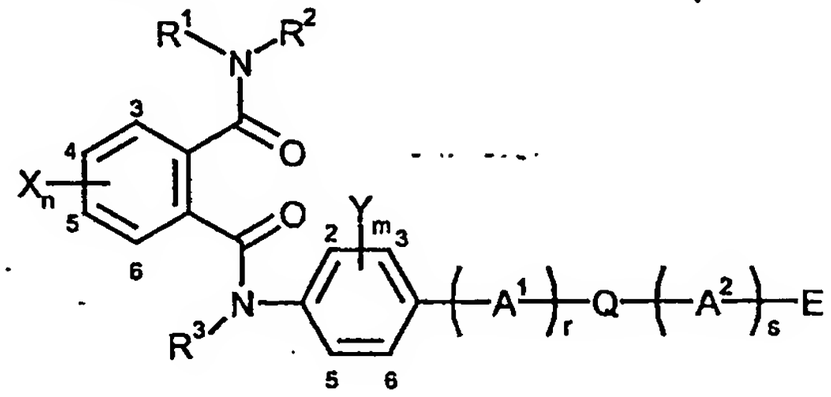
24) ^{1}H-NMR ($CDCl_3$, ppm): 1.3 (6H, s), 2.0 (3H, s), 2.3 (3H, s), 2.9 (2H, s), 3.3 (3H, s), 5.1 (2H, s), 6.6 (1H, s), 7.2-8.5 (11H, m)

25) ^{1}H-NMR ($CDCl_3$, ppm): 1.4 (6H, s), 1.9 (3H, s), 2.3 (3H, s), 2.9 (2H, s), 3.2 (3H, s), 5.1 (2H, s), 6.3 (1H, s), 7.2-8.3 (11H, m)

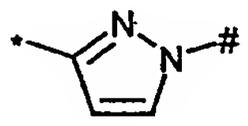
26) ^{1}H-NMR ($CDCl_3$, ppm): 1.6 (6H, s), 2.3 (3H, s), 2.6 (3H, s), 3.3 (3H, s), 3.7 (2H, s), 5.1 (2H, s), 6.8 (1H, s), 7.2-8.1 (11H, m)

27) ^{1}H-NMR ($CDCl_3$, ppm): 1.6 (6H, s), 2.3 (3H, s), 2.6 (3H, s), 3.5 (2H, d), 5.0 (2H, s), 6.4 (1H, s), 7.1-8.2 (11H, m)

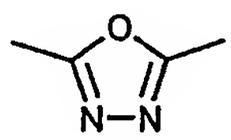
Table 3 (r=0, s=1)



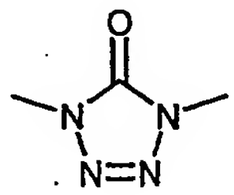
Q represents the following structures:



Q15-2



Q50

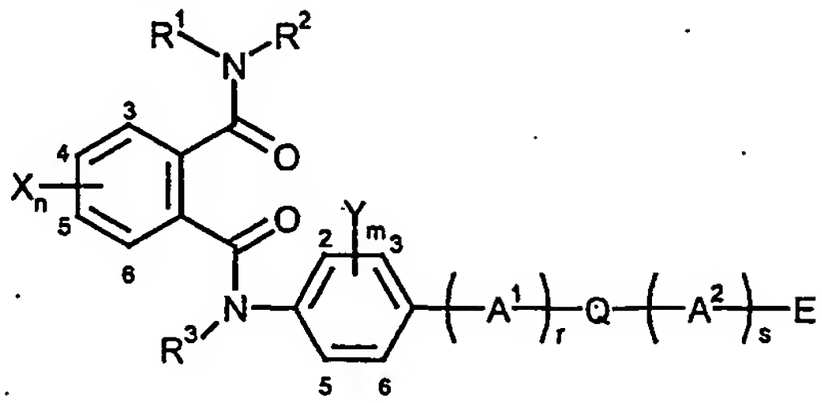


Q66

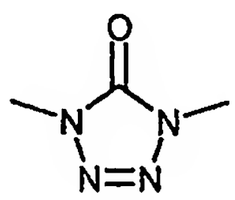
(wherein the bond marked with * connects with A^1 and the bond marked with # connects with A^2)

Comp. No.	R^1	R^2	R^3	X_n	Y_m	A^1	Q	A^2	E	m.p. (°C)
3-1	$C(Me)_2CH_2SMe$	H	H	H	2-Me	-	Q15-2	CH_2	Ph-4-CF_3	
3-2	$C(Me)_2CH_2SMe$	H	H	H	2-Me	-	Q66	CH_2	Ph	
3-3	$C(Me)_2CH_2SMe$	H	H	H	2-Me	-	Q66	CH_2CH_2	Ph-4-Cl	
3-4	$C(Me)_2CH_2SMe$	H	H	H	2-Me	-	Q66	$CH_2CH_2CH_2$	Ph-4-Cl	
3-5	$C(Me)_2CH_2SMe$	H	H	H	2-Me	-	Q66	$CH_2CH=CH$	Ph	
3-6	$C(Me)_2CH_2SMe$	H	H	3-I	2-Me	-	Q66	CH_2	Ph-3-CF_3	126-131
3-7	$C(Me)_2CH_2SMe$	H	H	3-I	2-Me	-	Q66	CH_2	Ph-4-CF_3	131-136
3-8	$C(Me)_2CH_2SMe$	H	H	3-I	2-Me	-	Q66	CH_2	Ph-3-$OCHF_2$	117-119
3-9	$C(Me)_2CH_2SO_2Me$	H	H	3-I	2-Me	-	Q66	CH_2	Ph-3-CF_3	
3-10	$C(Me)_2CH_2SO_2Me$	H	H	3-I	2-Me	-	Q66	CH_2	Ph-3-$OCHF_2$	
3-11	$C(Me)_2CH_2SO_2Me$	H	H	3-I	2-Me	-	Q66	CH_2	Ph-4-CF_3	
3-12	$CH(Me)CH_2SMe$	H	H	3-I	2-Me	-	Q50	CH_2	Ph-3,5-$(CF_3)_2$	212-214

Table 4 (r=1, s=1)



Q represents the following structures:

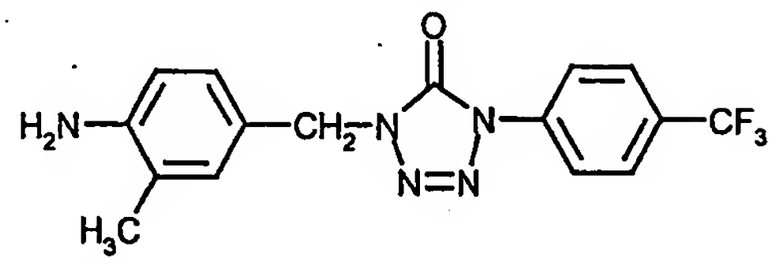


Q66

Comp. No.	R^1	R^2	R^3	X_n	Y_m	A^1	Q	A^2	E	m.p. (°C)
4-1	$CH(CH_3)_2$	H	H	3-I	2-Me	CH_2	Q66	CH_2	Ph	99-103
4-2	$C(Me)_2CH_2SMe$	H	H	3-I	2-Me	CH_2	Q66	CH_2	Ph	85-91
4-3	$C(Me)_2CH_2SMe$	H	H	3-I	2-Me	CH_2	Q66	CH_2	Ph-4-CF_3	90-96
4-4	$C(Me)_2CH_2SO_2Me$	H	H	3-I	2-Me	CH_2	Q66	CH_2	Ph-4-CF_3	111-115
4-5	$C(Me)_2CH_2SMe$	H	H	3-I	2-Me	CH_2	Q66	CH(Me)	Ph-2-F	113-119
4-6	$C(Me)_2CH_2SMe$	H	H	3-I	2-Me	CH_2	Q66	CH(Me)	Ph-2-Cl	114-118
4-7	$C(Me)_2CH_2SO_2Me$	H	H	3-I	2-Me	CH_2	Q66	CH(Me)	Ph-2-Cl	202-206
4-8	$C(Me)_2CH_2SMe$	H	H	3-I	2-Me	CH_2	Q66	CH(Me)	Ph-2-CF_3	104-107
4-9	$C(Me)_2CH_2SMe$	H	H	3-I	2-Me	CH_2	Q66	CH(Me)	Ph-3-F	88-94
4-10	$C(Me)_2CH_2SMe$	H	H	3-I	2-Me	CH_2	Q66	CH(Me)	Ph-3-Cl	83-86
4-11	$C(Me)_2CH_2SMe$	H	H	3-I	2-Me	CH_2	Q66	CH(Me)	Ph-3-CF_3	72-77
4-12	$C(Me)_2CH_2SO_2Me$	H	H	3-I	2-Me	CH_2	Q66	CH(Me)	Ph-3-CF_3	*
4-13	$C(Me)_2CH_2SMe$	H	H	3-I	2-Me	CH_2	Q66	CH(Me)	Ph-4-F	92-98
4-14	$C(Me)_2CH_2SMe$	H	H	3-I	2-Me	CH_2	Q66	CH(Me)	Ph-4-CF_3	85-89
4-15	$C(Me)_2CH_2SO_2Me$	H	H	3-I	2-Me	CH_2	Q66	CH(Me)	Ph-4-CF_3	111-115
4-16	$C(Me)_2CH_2SMe$	H	H	3-I	2-Me	CH_2	Q66	CH(Me)	Ph-2,4-F_2	75-78
4-17	$C(Me)_2CH_2SMe$	H	H	3-I	2-Me	CH_2	Q66	CH(Me)	Ph-2,4-Cl_2	136-139
4-18	$C(Me)_2CH_2SO_2Me$	H	H	3-I	2-Me	CH_2	Q66	CH(Me)	Ph-2,4-Cl_2	182-187
4-19	$C(Me)_2CH_2SMe$	H	H	3-I	2-Me	CH_2	Q66	CH(Me)	Ph-3,4-F_2	87-93
4-20	$C(Me)_2CH_2SO_2Me$	H	H	3-I	2-Me	CH_2	Q66	CH(Me)	Ph-3,4-F_2	100-108

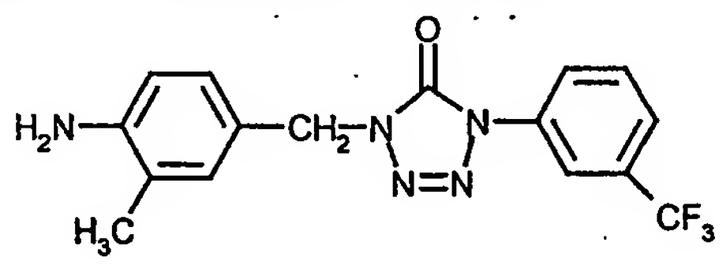
* ^{1}H-NMR ($CDCl_3$, ppm): 1.6 (6H, s), 1.9 (3H, d), 2.3 (3H, s), 2.5 (3H, s), 3.5 (2H, s), 5.0 (2H, s), 5.5 (1H, q), 6.3 (1H, s), 7.0-8.1 (11H, m)

Synthesis Example 5 (Starting Material Synthesis)



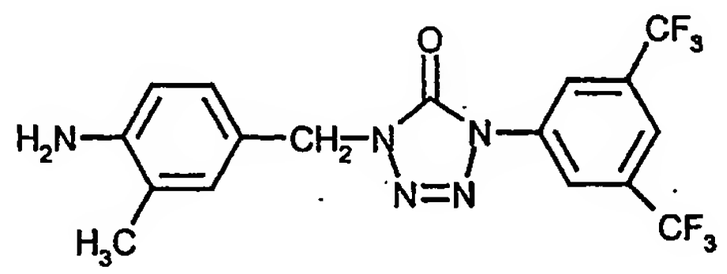
To an ethanol solution (100 mL) of 1-(3-methyl-4-nitrobenzyl)-4-(4-trifluoromethylphenyl)-1,4-dihydrotetrazol-5-one (9.48g) 10% palladium carbon (0.25g) was added and the mixture was stirred under hydrogen atmosphere at room temperature for 6 hours. After finishing the reaction, palladium carbon was filtered off and the solvent was distilled off under reduced pressure to obtain 1-(4-amino-3-methyl-benzyl)-4-(4-trifluoromethyl-phenyl)-1,4-dihydrotetrazol-5-one (8.11 g, mp. 210-211°C).

Synthesis Example 6 (Starting Material Synthesis)



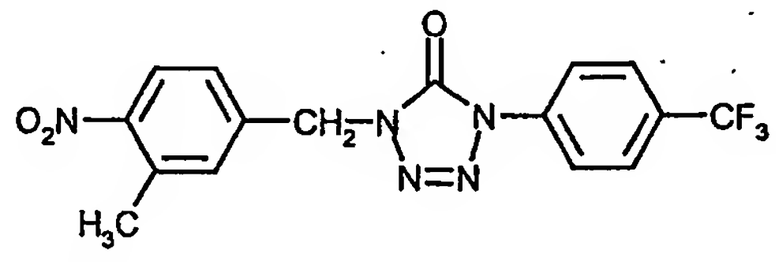
In a similar manner as Synthesis Example 5, by using 1-(3-methyl-4-nitro-benzyl)-4-(3-trifluoromethylphenyl)-1,4-dihydrotetrazol-5-one, 1-(4-amino-3-methyl-benzyl)-4-(3-trifluoromethyl-phenyl)-1,4-dihydrotetrazol-5-one was obtained (mp. 89-94°C).

Synthesis Example 7 (Starting Material Synthesis)



In a similar manner as Synthesis Example 5, by using 1-(3,5-bis-trifluoromethyl-phenyl)-4-(3-methyl-4-nitro-benzyl)-1,4-dihydro-tetrazol-5-one, 1-(4-amino-3-methyl-benzyl)-4-(3,5-bis-trifluoromethyl-phenyl)-1,4-dihydro-tetrazol-5-one was obtained (mp. 129-130°C).

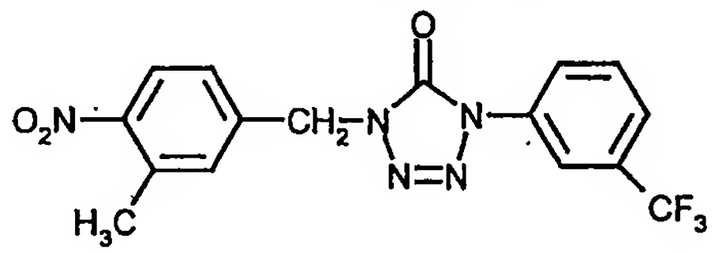
Synthesis Example 8 (Starting Material Synthesis)



3-Methyl-4-nitrobenzyl chloride (1.6 g), 1-(4-trifluoromethylphenyl)-1,4-dihydrotetrazol-5-one (2.0 g) and potassium carbonate (1.4 g) were stirred in DMF (50 ml) at room temperature for 5 hours.

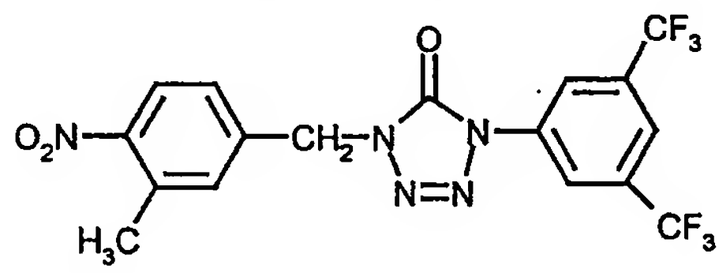
After finishing the reaction, water (100 ml) was added and the mixture was extracted with ethyl acetate. The organic layer was washed with a saturated aqueous solution of sodium chloride (100 ml) and dried with magnesium sulfate. After the solvent was distilled off, the obtained residue was purified by silica gel column chromatography to obtain 1-(3-methyl-4-nitrobenzyl)-4-(4-trifluoromethylphenyl)-1,4-dihydrotetrazol-5-one [2.6 g, ^{1}H-NMR ($CDCl_3$, ppm) ; 2.6 (3H, s), 5.3 (2H, s), 7.4-8.3 (7H, m)].

Synthesis Example 9 (Starting Material Synthesis)



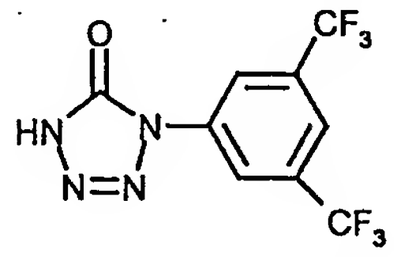
In a similar manner as Synthesis Example 8, by using1-(3-trifluoromethyl-phenyl)-1,4-dihydro-tetrazol-5-one, 1-(3-methyl-4-nitro-benzyl)-4-(3-trifluoromethyl-phenyl)-1,4-dihydrotetrazol-5-one was obtained [^{1}H-NMR ($CDCl_3$, ppm) ; 2.6 (3H, s), 5.2 (2H, s), 7.3-8.2 (7H, m)].

Synthesis Example 10 (Starting Material Synthesis)



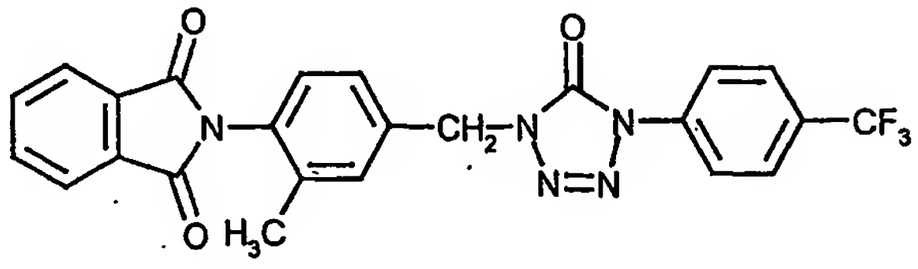
In a similar manner as Synthesis Example 8, by using 1-(3,5-bis-trifluoromethyl-phenyl)-1,4-dihydro-tetrazol-5-one in place of 1-(4-trifluoromethyl-phenyl)-1,4-dihydro-tetrazol-5-one, 1-(3,5-bis-trifluoromethyl-phenyl)-4-(3-methyl-4-nitro-benzyl)-1,4-dihydro-tetrazol-5-one was obtained [^{1}H-NMR ($CDCl_3$, ppm) ; 2.6 (3H, s), 5.2 (2H, s), 7.2-8.0 (4H, m), 8.5 (2H, bs)].

Synthesis Example 11 (Starting Material Synthesis)



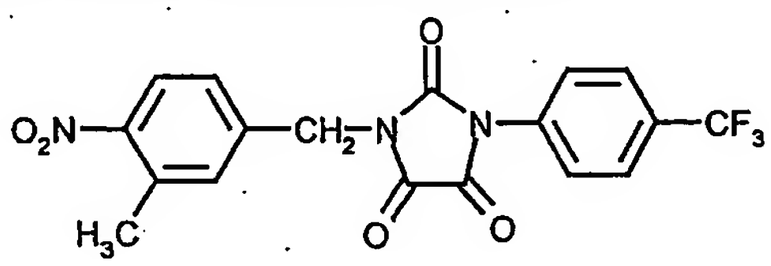
3,5-Bis(trifluoromethyl) phenyl isocyanate (10.20 g) and trimethylsilyl azide (9.36 g) were stirred at 120-130°C for 10 hours. After the reaction mixture was brought to the room temperature, excess of trimethylsilyl azide was distilled off under reduced pressure and the obtained crude crystals were washed with petroleum ether to obtain 1-(3,5-bis-trifluoromethyl-phenyl)-1,4-dihydro-tetrazol-5-one (11.05g, mp. 145-147°C).

Synthesis Example 12 (Starting Material Synthesis)



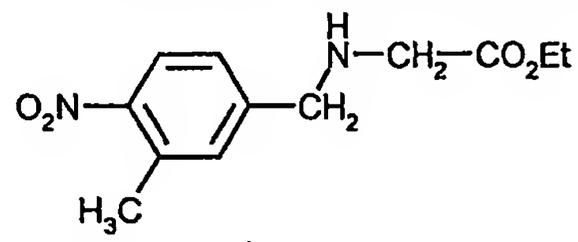
Phthalic anhydride (1.0 g) and 1-(4-amino-3-methylbenzyl)-4-(4-trifluoromethylphenyl)-1,4-dihydrotetrazol-5-one (2.4 g) were refluxed in 60ml of acetic acid for 3 hours. After finishing the reaction the solvent was distilled off under reduced pressure to obtain the objected 2-{2-methyl-4-[5-oxo-4-(4-trifluoromethylphenyl)-4,5-dihydrotetrazol-1-ylmethyl]phenyl}isoindol-1,3-dione [3.0g, ^{1}H NMR (DMSO-d6, ppm) ; 2.1 (3H, s), 5.2 (2H, s), 7.3-8.2 (11H, m)].

Synthesis Example 13 (Starting Material Synthesis)



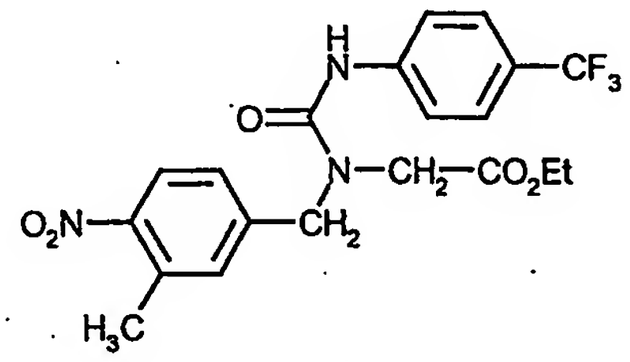
1-(3-Methyl-4-nitrobenzyl)-3-(4-trifluoromethylphenyl)urea (1.0 g) was dissolved in 20 ml of dichloromethane, to which 5 ml of dichloromethane solution of oxalyl chloride (0.49 g) was added at room temperature, and the mixture was stirred for 8 hours. After the solvent was distilled off under reduced pressure, the obtained residue was purified by silica gel column chromatography to obtain the objected 1-(3-methyl-4-nitrobenzyl)-3-(4-trifluoromethylphenyl)imidazolidin-2,4,5-trione [1.1g, ^{1}H NMR ($CDCl_3$, ppm) ; 2.6 (3H, s), 4.9 (2H, s), 7.3-8.0 (7H, m)].

Synthesis Example 14 (Starting Material Synthesis)



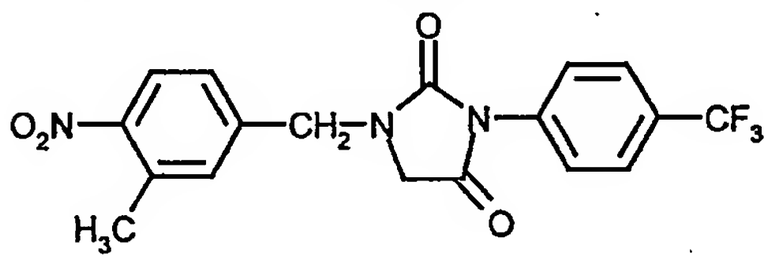
Methanol solution (5 ml) of 3-methyl-4-nitrobenzaldehyde (0.9 g) was added to a methanol suspension (5 ml) of glycine ethyl ester acetate (1.1 g) and sodium cyanotrihydroborate (0.53 g) at 0°C. After stirring the mixture at room temperature for 10 hours, 2N hydrochloric acid (10 ml) and ethyl acetate (10 ml) were added thereto. After removing the organic layer, 1N aqueous solution of sodium hydroxide (30 ml) was added to the aqueous layer and extracted with ethyl acetate. After washing the organic layer with a saturated aqueous solution of sodium chloride (20 ml), it was dried with anhydrous magnesium sulfate. After the solvent was distilled off under reduced pressure, the obtained residue was purified by silica gel column chromatography to obtain the objected ethyl (3-methyl-4-nitrobenzylamino)acetate [0.9 g, ^{1}H NMR ($CDCl_3$, ppm) ; 1.2 (3H, t), 2.6 (3H, s), 3.4 (2H, s), 3.9 (2H, s), 4.2 (2H, q), 4.8 (2H, s), 7.2-8.1 (3H, m)].

Synthesis Example 15 (Starting Material Synthesis)



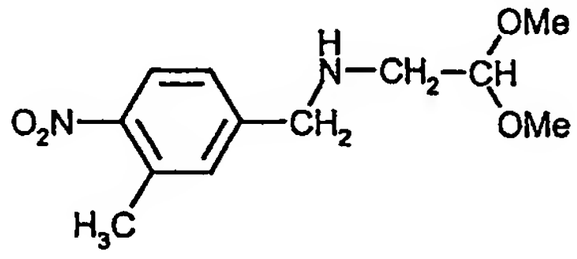
4-(trifluoromethyl)phenylisocyanate (0.83 g) was added to a diethyl ether solution (50 ml) of ethyl (3-methyl-4-nitrobenzylamino)acetate (0.9 g) and the mixture was stirred vigorously at room temperature for 7 hours. By filtering the crystals a crude product ethyl [1-(3-methyl-4-nitrobenzyl)-3-(4-trifluoromethylphenyl)ureido]acetate (0.8 g) was obtained and used in the next reaction without purification.

Synthesis Example 16 (Starting Material Synthesis)



Acetic acid solution (10 ml) of ethyl [1-(3-methyl-4-nitrobenzyl)-3-(4-trifluoromethylphenyl)-ureido]acetate (0.5 g) and concentrated hydrochloric acid (3 ml) was refluxed for 5 hours. After adding water (50 ml) the mixture was extracted with ethyl acetate. After washing the organic layer with water and a saturated aqueous solution of sodium chloride, it was dried with anhydrous magnesium sulfate. After the solvent was distilled off under reduced pressure, the obtained residue was purified by silica gel column chromatography to obtain the objected 1-(3-methyl-4-nitrobenzyl)-3-(4-trifluoromethylphenyl)imidazolidin-2,4-dione [0.3 g, ^{1}H NMR ($CDCl_3$, ppm) ; 2.7 (3H, s), 4.0 (2H, s), 4.8 (2H, s), 7.2-8.2 (7H, m)].

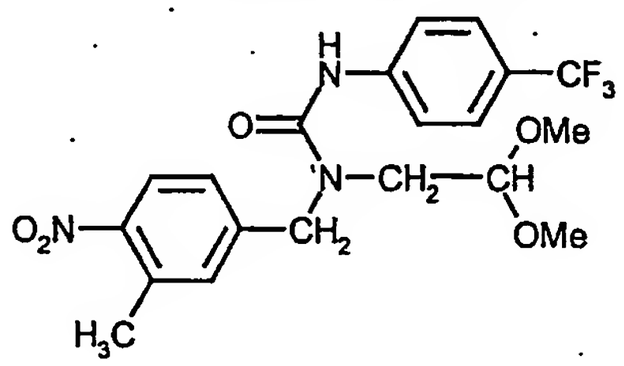
Synthesis Example 17 (Starting Material Synthesis)



4-Chloromethyl-2-methyl-1-nitrobenzene (1.9 g), aminoacetaldehyde dimethyl acetal (6.3 g) and potassium carbonate (6.2 g) were mixed in acetonitrile (200 ml) and the mixture was refluxed for 20 hours. After adding water the mixture was extracted with ethyl acetate. After washing the organic layer with a saturated aqueous solution of sodium chloride, it was dried with anhydrous magnesium sulfate. After the solvent was distilled off under reduced pressure, the obtained residue was purified by silica gel column chromatography to obtain the objected (2,2-dimethoxyethyl)-3-(methyl-4-

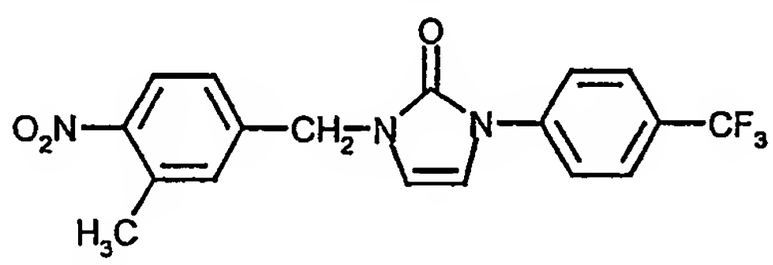
nitrobenzyl)amine [2.5g, ^{1}H NMR ($CDCl_3$, ppm) ; 2.6 (3H, s), 2.8 (2H, d), 3.5 (6H, s), 3.9 (2H, s), 4.6 (1H, m), 7.2-8.1 (4H, m)].

Synthesis Example 18 (Starting Material Synthesis)



(2,2-Dimethoxyethyl)-3-(methyl-4-nitrobenzyl)amine (1.2 g) was dissolved in ether (50 ml), to which 4-(trifluoromethyl)phenyl isocyanate (1.3 g) was added at room temperature, and the mixture was stirred vigorously for 7 hours. After finishing the reaction, water was added to the mixture and it was extracted with ethyl acetate. After drying the organic layer with anhydrous magnesium sulfate, the solvent was distilled off under reduced pressure to obtain a crude product 1-(2,2-dimethoxyethyl)-1-(3-methyl-4-nitrobenzyl)-3-(4-(trifluoromethylphenyl)urea (1.8 g), which was used in the next reaction without purification.

Synthesis Example 19 (Starting Material Synthesis)



1-(2,2-Dimethoxyethyl)-1-(3-methyl-4-nitrobenzyl)-3-(4-(trifluoromethylphenyl)urea (1.8 g) was dissolved in THF (5 ml), to which 50 % aqueous solution of trifluoroacetic acid (20 ml), and the mixture was stirred at room temperature. After finishing the reaction and adding water, the mixture was extracted with ethyl acetate. After washing the organic layer with water and a saturated aqueous solution of sodium chloride, it was dried with anhydrous magnesium sulfate. After the solvent was distilled off under reduced pressure, the obtained residue was purified by silica gel column chromatography to obtain the objected 1-(3-methyl-4-nitrobenzyl)-3-(4-(trifluoromethylphenyl)-1,3-dihydroimidazol-2-one [1.2 g, ^{1}H NMR ($CDCl_3$, ppm) ; 2.6 (3H, s), 4.9 (2H, s), 6.4 (1H, d), 6.7 (1H, d), 7.2-8.1 (7H, m)].

Biological Test Example 1: Test against larva of *Spodoptera litura*

Preparation of test agent:

Solvent: Dimethylformamide 3 parts by weight

Emulsifier: Polyoxyethylene alkyl phenyl ether 1 part by weight

In order to make an appropriate formulation of an active compound, 1 part by weight of the active compound was mixed with the above-mentioned amount of solvent containing the above-mentioned amount of emulsifier and the mixture was diluted with water to a prescribed concentration.

Test method:

Leaves of sweet potato were soaked in the test agent diluted to a prescribed concentration with water, dried in the air and put in a dish of 9 cm diameter. 10 larvae of *Spodoptera litura* at the third instar were placed on the leaves and kept in a room at the constant temperature of 25°C. After 2 and 4 days further leaves of sweet potato were added and after 7 days the number of dead larvae was counted and the rate of death was calculated.

In this test the results of 2 dishes at 1 section were averaged.

Test results:

As specific examples the compounds of the compound no. 2-7, 2-35, 2-67, 2-71, 2-72, 2-96, 2-140, 2-141, 2-142, 2-147, 2-173, 2-176, 2-181, 2-182, 2-270, 2-283, 2-293, 2-323, 2-333 and 2-337 showed 100% of rate of death at 20 ppm concentration of effective component.

Biological Test Example 2: Test against larva of *Cnaphalocrocis medinalis Guenee*

Test method:

Rice seedlings (cultivar: Tamanishiki) of 4-5 leaf stage, planted in a vinyl pot (9 cm diameter) were sprayed with the diluted aqueous solution of the prescribed concentration of the active compound prepared in the same manner as in the above mentioned Biological Test Example 1. After drying, top 1/3 part of the leaves of the plants was cut and put into a Petri-dish (9 cm diameter), in which a piece of filter paper (9 cm diameter) was laid and moistened. Five larvae of *Cnaphalocrocis medinalis* at the second instar were released in the Petri-dish and the dish was placed in a room at the constant temperature of 25°C. After 2 and 4 days, another 1/3 part of the plant leaves was cut and added to the dish. After seven days, the number of dead larvae was counted and the rate of death was calculated.

In this test the results of 2 dishes at 1 treatment were averaged.

Test results:

As specific examples the compounds of the compound no. 2-12, 2-17, 2-50, 2-54, 2-140, 2-141, 2-154, 2-172, 2-173, 2-234, 2-248, 2-253, 2-256, 2-310, 2-333, 2-337, 4-8, 4-15 and 4-16 showed 100% of rate of death at 20 ppm concentration of effective component.

Formulation Example 1 (Granule)

To a mixture of 10 parts of the compound of the present invention (No. 2-7), 30 parts of bentonite (montmorillonite), 58 parts of talc and 2 parts of ligninsulfonate salt, 25 parts of water are added, well kneaded, made into granules of 10-40 mesh by an extrusion granulator and dried at 40-50°C to obtain granules.

Formulation Example 2 (Granules)

95 Parts of clay mineral particles having particle diameter distribution in the range of 0.2-2 mm are put in a rotary mixer. While rotating it, 5 parts of the compound of the present invention (No. 2-173) are sprayed together with a liquid diluent, wetted uniformly and dried at 40-50°C to obtain granules.

Formulation Example 3 (Emulsifiable Concentrate)

30 Parts of the compound of the present invention (No. 2-140), 55 parts of xylene, 8 parts of polyoxyethylene alkyl phenyl ether and 7 parts of calcium alkylbenzenesulfonate are mixed and stirred to obtain an emulsifiable concentrate.

Formulation Example 4 (Wettable Powder)

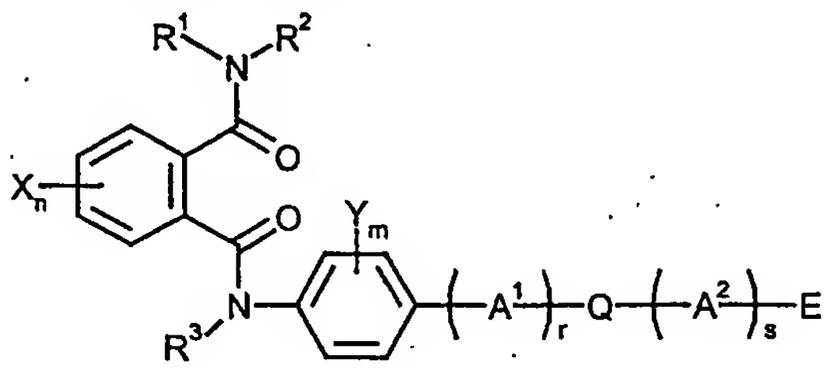
15 Parts of the compound of the present invention (No. 2-333), 80 parts of a mixture of white carbon (hydrous amorphous silicon oxide fine powders) and powder clay (1:5), 2 parts of sodium alkylbenzenesulfonate and 3 parts of sodium alkylnaphthalenesulfonate-formalin-condensate are crushed and mixed to make a wettable powder.

Formulation Example 5 (Water Dispersible Granule)

20 Parts of the compound of the present invention (No. 2-337), 30 parts of sodium ligninsulfonate, 15 parts of bentonite and 35 parts of calcined diatomaceous earth powder are well mixed, added with water, extruded with 0.3mm screen and dried to obtain water dispersible granules.

Patent Claims

1. Phthalamide derivatives represented by the formula



(I)

wherein

X represents hydrogen, halogen, C_1-C_6-alkyl, C_1-C_6-haloalkyl, nitro, cyano, C_1-C_6-alkylsulfonyloxy, C_1-C_6-haloalkylsulfonyloxy, phenylsulfonyloxy, C_1-C_6-alkylthio-C_1-C_6-alkyl, C_1-C_6-alkylsulfinyl-C_1-C_6-alkyl, C_1-C_6-alkylsulfonyl-C_1-C_6-alkyl, C_1-C_6-alkylsulfonylamino, bis(C_1-C_6-alkylsulfonyl)amino or C_1-C_6-alkoxycarbonyl,

n represents 1, 2, 3 or 4,

Y represents hydrogen, halogen, C_1-C_6-alkyl, C_1-C_6-haloalkyl, C_1-C_6-alkoxy, C_1-C_6-haloalkoxy, C_1-C_6-alkylthio, C_1-C_6-haloalkylthio or cyano,

m represents 1, 2, 3 or 4,

R^1 represents C_1-C_8-alkyl, C_1-C_8-alkyl which is mono- or poly-substituted by substituents selected from the group consisting of cyano, nitro, C_1-C_6-alkylaminosulfonyl, N,N-di(C_1-C_6-alkyl)aminosulfonyl, C_1-C_6-alkylsulfonylamino, N-C_1-C_6-alkylsulfonyl-N-C_1-C_6-alkylamino, C_1-C_6-alkyl-carbonylamino, halo-C_1-C_6-alkyl, N-C_1-C_6-alkyl-carbonyl-N-C_1-C_6-alkylamino, C_1-C_6-alkyl-thiocarbonylamino, N-C_1-C_6-alkyl-thiocarbonyl-N-C_1-C_6-alkylamino, C_1-C_6-alkoxyimino-C_1-C_6-alkyl, C_1-C_6-alkyl-aminocarbonyl, N,N-di(C_1-C_6-alkyl)-aminocarbonyl, C_1-C_6-alkyl-aminothiocarbonyl, N,N-di(C_1-C_6-alkyl)-aminothiocarbonyl, C_1-C_6-alkoxy-carbonylamino, C_1-C_6-alkoxy-carbonyl-C_1-C_6-alkylamino, C_1-C_6-alkylamino-carbonyloxy, N,N-di(C_1-C_6-alkyl)amino-carbonyloxy, C_1-C_6-alkoxy-thiocarbonylamino, C_1-C_6-alkoxy-thiocarbonyl-C_1-C_6-alkylamino, C_1-C_6-alkylamino-thiocarbonyloxy, N,N-di(C_1-C_6-alkyl)-amino-thiocarbonyloxy, C_1-C_6-alkylthio-carbonylamino, C_1-C_6-alkylthio-carbonyl-C_1-C_6-alkylamino, C_1-C_6-alkylamino-carbonylthio, N,N-di(C_1-C_6-alkyl)amino-carbonylthio, C_1-C_6-alkylthio-thiocarbonylamino, C_1-C_6-alkylthio-thiocarbonyl-C_1-C_6-alkylamino, C_1-C_6-alkylamino-thiocarbonylthio, N,N-di(C_1-C_6-alkyl)amino-thiocarbonylthio, C_3-C_6-cycloalkyl, C_1-C_6-alkoxy-C_1-C_6-alkyl, C_1-C_6-alkylthio-C_1-C_6-alkyl, C_1-C_6-alkylsulfinyl-C_1-C_6-alkyl and C_1-C_6-alkylsulfonyl-C_1-C_6-alkyl, or C_3-C_8-cycloalkyl which may be substituted by substituents selected from the group consisting of C_1-C_4-alkyl, C_1-C_4-alkylthio or C_1-C_2-alkylthio-C_1-C_2-alkyl,

R^2 represents hydrogen or C_1-C_6-alkyl,

R^3 represents hydrogen or C_1-C_6-alkyl,

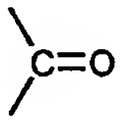
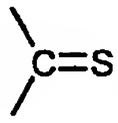
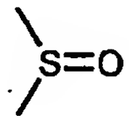
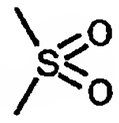
A^1 represents straight chain or branched chain C_1-C_8-alkylene, C_1-C_8-haloalkylene, C_2-C_8-alkenylene, C_2-C_8-haloalkenylene, C_2-C_8-alkynylene, C_2-C_8-haloalkynylene, C_1-C_8-alkylene-amino, C_1-C_8-alkylene(C_1-C_6-alkylamino), C_1-C_8-alkyleneoxy or C_1-C_8-alkylenethio,

r represents 0 or 1,

A^2 represents straight chain or branched chain C_1-C_8-alkylene, C_1-C_8-haloalkylene, C_2-C_8-alkenylene, C_2-C_8-haloalkenylene, C_2-C_8-alkynylene or C_2-C_8-haloalkynylene,

s represents 0 or 1,

Q represents a 5- or 6-membered heterocyclic group containing 1 to 4 hetero atoms selected from 0 to 4 nitrogen atom, 0 to 1 oxygen atom, and 0 to 1 sulphur atom, however not containing an oxygen atom and a sulphur atom at the same time, and said heterocyclic group

may have one to three  , one to three  , one  or one 

as ring constituent, and said heterocyclic group may be optionally substituted with at least one or more substituents selected from the below-mentioned group of substituents W^1 wherein said substituents may be identical or different,

W^1 represents halogen, C_1-C_6-alkyl, C_1-C_6-alkoxy, C_1-C_6-alkylthio, C_1-C_6-alkylsulfinyl, C_1-C_6-alkylsulfonyl, C_1-C_6-haloalkyl, C_1-C_6-haloalkoxy, C_1-C_6-haloalkylthio, C_1-C_6-haloalkylsulfinyl, C_1-C_6-haloalkylsulfonyl, C_3-C_6-cycloalkyl, C_1-C_6-alkylthio-C_1-C_6-alkyl, C_1-C_6-alkylsulfinyl-C_1-C_6-alkyl, C_1-C_6-alkylsulfonyl-C_1-C_6-alkyl,

E represents phenyl, biphenyl, naphthyl, pyridyl, pyridazinyl, pyrimidinyl, pyrazinyl, thienyl, furyl or pyrrolyl, wherein said group may be optionally substituted with one or more substituents selected from the below-mentioned group of substituents W^2 wherein said substituents may be identical or different,

W^2 represents halogen, nitro, C_1-C_6-alkyl, C_1-C_6-alkoxy, C_1-C_6-alkylthio, C_1-C_6-alkylsulfinyl, C_1-C_6-alkylsulfonyl, C_1-C_6-haloalkyl, C_1-C_6-haloalkoxy, C_1-C_6-haloalkylthio, C_1-C_6-haloalkylsulfinyl, C_1-C_6-haloalkylsulfonyl, C_3-C_6-cycloalkyl, C_1-C_6-alkylthio-C_1-C_6-alkyl, C_1-C_6-alkylsulfinyl-C_1-C_6-alkyl or C_1-C_6-alkylsulfonyl-C_1-C_6-alkyl, or represents C_3-C_5-alkylene, C_3-C_5-haloalkylene, oxy-C_2-C_4-alkylene, oxy-C_2-C_4-haloalkylene, C_2-C_4-alkyleneoxy, C_2-C_4-haloalkyleneoxy, C_1-C_3-alkylenedioxy or C_1-C_3-haloalkylenedioxy, in case that W^2 are two adjacent substituents.

2. Compounds according to Claim 1, wherein

X represents hydrogen, halogen, C_1-C_4-alkyl, C_1-C_4-haloalkyl, nitro, cyano, C_1-C_4-alkylsulfonyloxy, C_1-C_4-haloalkylsulfonyloxy, phenylsulfonyloxy, C_1-C_4-alkylthio-

C_1-C_4-alkyl, C_1-C_4-alkylsulfinyl-C_1-C_4-alkyl, C_1-C_4-alkylsulfonyl-C_1-C_4-alkyl, C_1-C_4-alkylsulfonylamino, bis(C_1-C_4-alkylsulfonyl)amino or C_1-C_4-alkoxycarbonyl,

n represents 1, 2, 3 or 4,

Y represents hydrogen, halogen, C_1-C_4-alkyl, C_1-C_4-haloalkyl, C_1-C_4-alkoxy, C_1-C_4-haloalkoxy, C_1-C_4-alkylthio, C_1-C_4-haloalkylthio or cyano,

m represents 1, 2, 3 or 4,

R^1 represents C_1-C_6-alkyl, C_1-C_6-alkyl which is mono- or poly-substituted by substituents selected from the group consisting of cyano, nitro, C_1-C_4-alkylaminosulfonyl, N,N-di(C_1-C_4-alkyl)aminosulfonyl, C_1-C_4-alkylsulfonylamino, N-C_1-C_4-alkylsulfonyl-N-C_1-C_4-alkylamino, C_1-C_4-alkyl-carbonylamino, halo-C_1-C_4-alkyl, N-C_1-C_4-alkyl-carbonyl-N-C_1-C_4-alkylamino, C_1-C_4-alkyl-thiocarbonylamino, N-C_1-C_4-alkyl-thiocarbonyl-N-C_1-C_4-alkylamino, C_1-C_4-alkoxyimino-C_1-C_4-alkyl, C_1-C_4-alkyl-aminocarbonyl, N,N-di(C_1-C_4-alkyl)-aminocarbonyl, C_1-C_4-alkyl-aminothiocarbonyl, N,N-di(C_1-C_4-alkyl)-aminothiocarbonyl, C_1-C_4-alkoxy-carbonylamino, C_1-C_4-alkoxy-carbonyl-C_1-C_4-alkylamino, C_1-C_4-alkylamino-carbonyloxy, N,N-di(C_1-C_4-alkyl)amino-carbonyloxy, C_1-C_4-alkoxy-thiocarbonylamino, C_1-C_4-alkoxy-thiocarbonyl-C_1-C_4-alkylamino, C_1-C_4-alkylamino-thiocarbonyloxy, N,N-di(C_1-C_4-alkyl)-amino-thiocarbonyloxy, C_1-C_4-alkylthio-carbonylamino, C_1-C_4-alkylthio-carbonyl-C_1-C_4-alkylamino, C_1-C_4-alkylamino-carbonylthio, N,N-di(C_1-C_4-alkyl)amino-carbonylthio, C_1-C_4-alkylthio-thiocarbonylamino, C_1-C_4-alkylthio-thiocarbonyl-C_1-C_4-alkylamino, C_1-C_4-alkylamino-thiocarbonylthio, N,N-di(C_1-C_4-alkyl)amino-thiocarbonylthio, C_3-C_6-cycloalkyl, C_1-C_4-alkoxy-C_1-C_4-alkyl, C_1-C_4-alkylthio-C_1-C_4-alkyl, C_1-C_4-alkylsulfinyl-C_1-C_4-alkyl and C_1-C_4-alkylsulfonyl-C_1-C_4-alkyl, or C_3-C_6-cycloalkyl which may be substituted by C_1-C_2-alkyl, C_1-C_2-alkylthio or C_1-C_2-alkylthio-C_1-C_2-alkyl,

R^2 represents hydrogen or C_1-C_4-alkyl,

R^3 represents hydrogen or C_1-C_4-alkyl,

A^1 represents straight chain or branched chain C_1-C_6-alkylene, C_1-C_6-haloalkylene, C_2-C_6-alkenylene, C_2-C_6-haloalkenylene, C_2-C_6-alkynylene, C_2-C_6-haloalkynylene, C_1-C_6-alkylene-amino, C_1-C_6-alkylene(C_1-C_4-alkylamino), C_1-C_6-alkyleneoxy or C_1-C_6-alkylenethio,

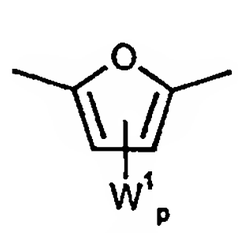
r represents 0 or 1,

A^2 represents straight chain or branched chain C_1-C_6-alkylene, C_1-C_6-haloalkylene, C_2-C_6-alkenylene, C_2-C_6-haloalkenylene, C_2-C_6-alkynylene or C_2-C_6-haloalkynylene,

s represents 0 or 1,

Q represents pyridinylene, pyridazinylene, pyrimidinylene, pyrazinylene, which may be optionally substituted with at least one or more substituents selected from the below-

mentioned group of substituents W^1 wherein said substituents may be identical or different, or further represents the below-mentioned groups;



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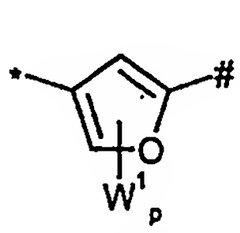

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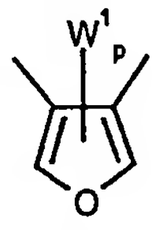

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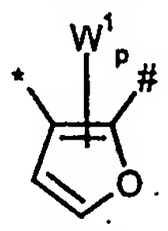

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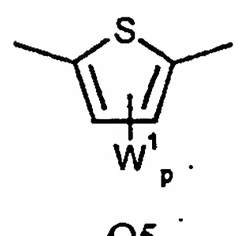

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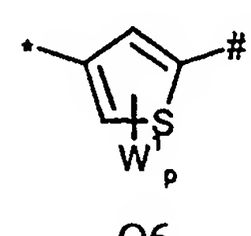

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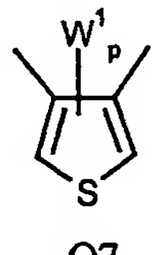

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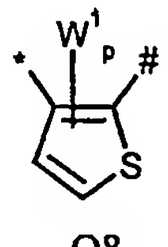

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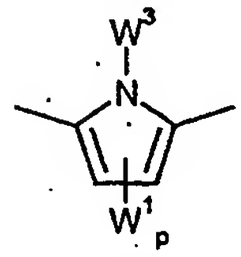

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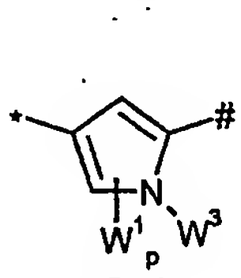

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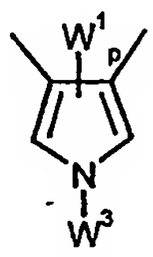

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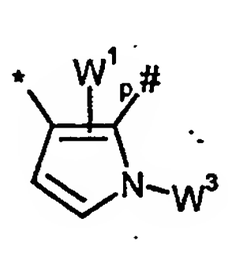

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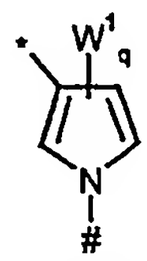

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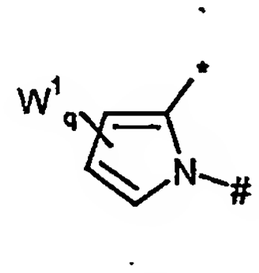

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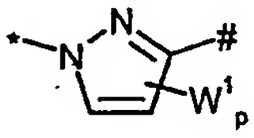

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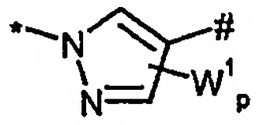

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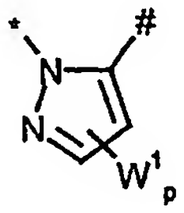

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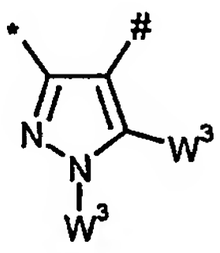

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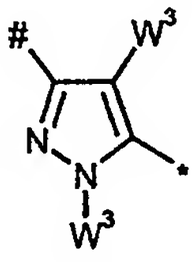

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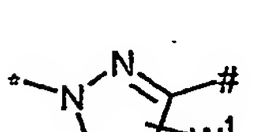

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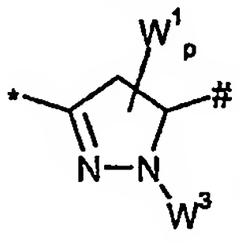

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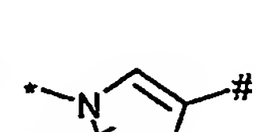

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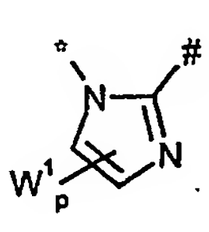

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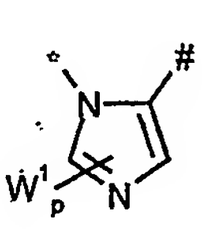

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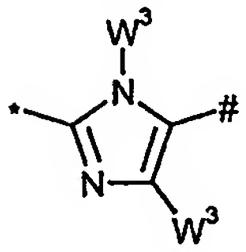

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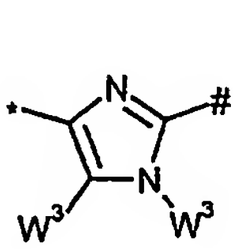

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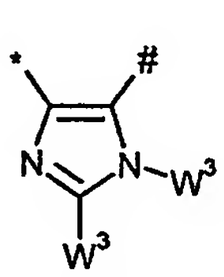

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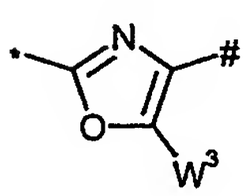

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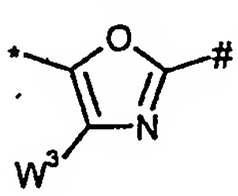

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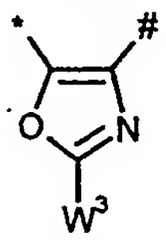

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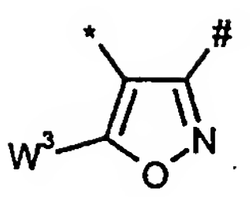

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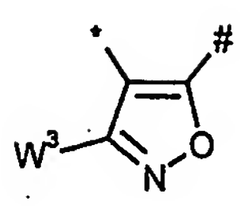

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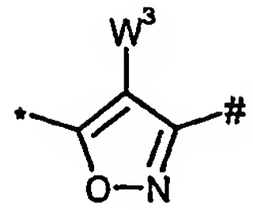

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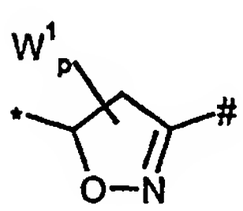

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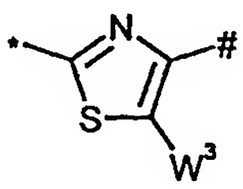

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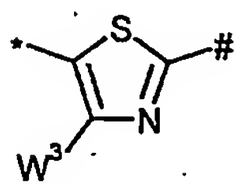

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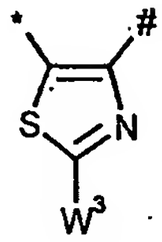

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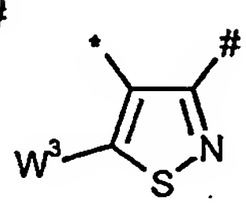

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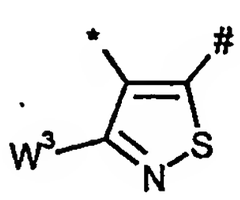

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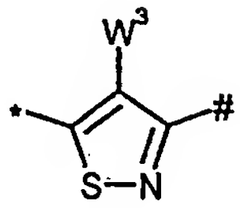

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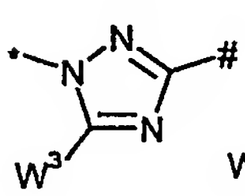

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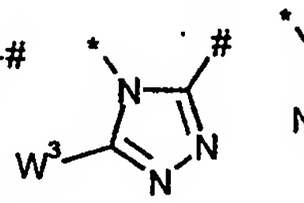

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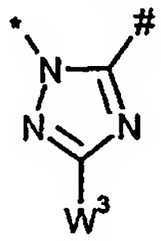

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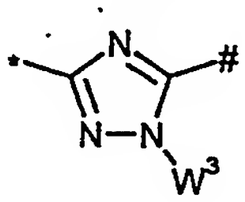

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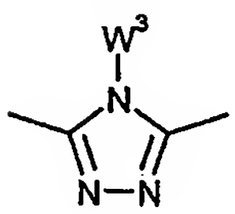

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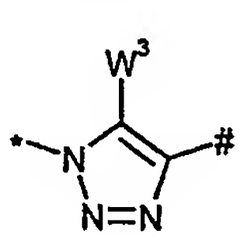

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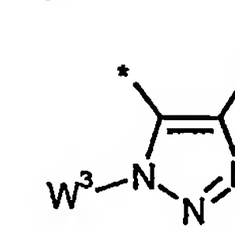

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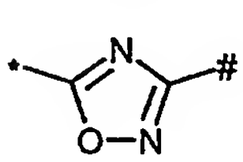

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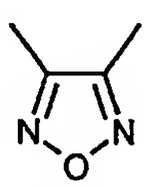

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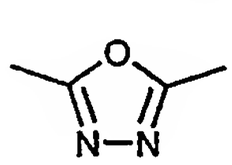
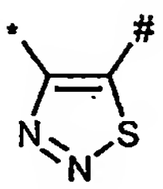


Q50



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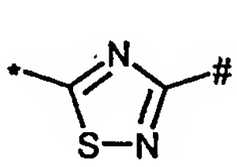

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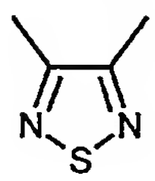

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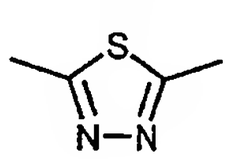

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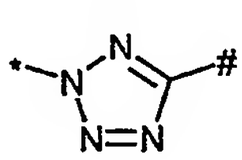

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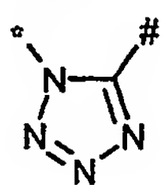

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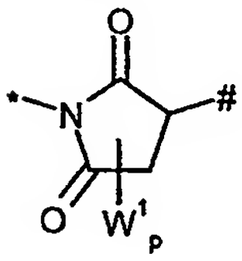

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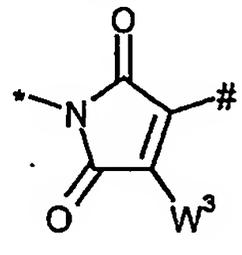

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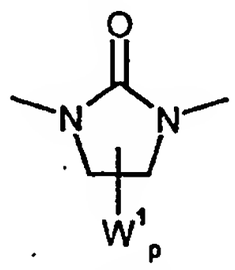

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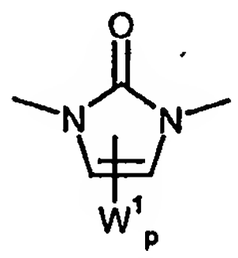

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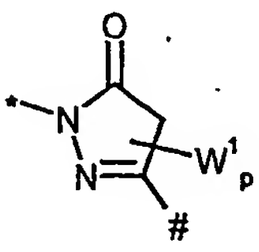

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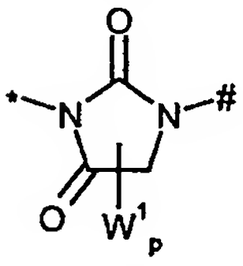

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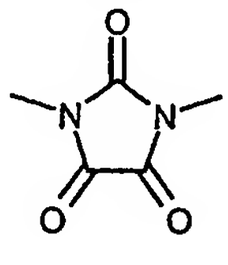

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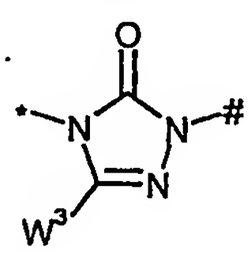

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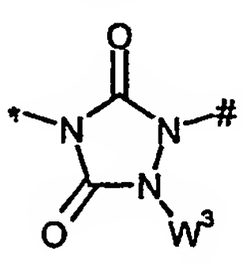

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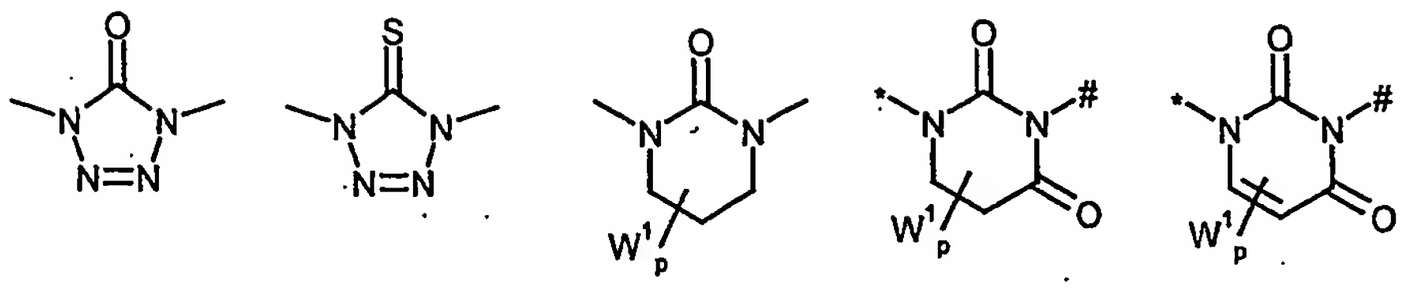


Q66 Q67 Q68 Q69 Q70

(wherein the bond marked with * connects with A^1 and the bond marked with # connects with A^2, or the bond marked with # connects with A^1 and the bond marked with * connects with A^2)

W^1 represents halogen, C_1-C_6-alkyl, C_1-C_6-alkoxy, C_1-C_6-alkylthio, C_1-C_6-alkylsulfinyl, C_1-C_6-alkylsulfonyl, C_1-C_6-haloalkyl, C_1-C_6-haloalkoxy, C_1-C_6-haloalkylthio, C_1-C_6-haloalkylsulfinyl, C_1-C_6-haloalkylsulfonyl, C_3-C_6-cycloalkyl, C_1-C_6-alkylthio-C_1-C_6-alkyl, C_1-C_6-alkylsulfinyl-C_1-C_6-alkyl, C_1-C_6-alkylsulfonyl-C_1-C_6-alkyl,

E represents phenyl, biphenyl, naphthyl, pyridyl, pyridazinyl, pyrimidinyl, pyrazinyl, thienyl, furyl or pyrrolyl, wherein said group may be optionally substituted with one or more substituents selected from the below-mentioned group of substituents W^2 wherein said substituents may be identical or different,

W^2 represents halogen, nitro, C_1-C_6-alkyl, C_1-C_6-alkoxy, C_1-C_6-alkylthio, C_1-C_6-alkylsulfinyl, C_1-C_6-alkylsulfonyl, C_1-C_6-haloalkyl, C_1-C_6-haloalkoxy, C_1-C_6-haloalkylthio, C_1-C_6-haloalkylsulfinyl, C_1-C_6-haloalkylsulfonyl, C_3-C_6-cycloalkyl, C_1-C_6-alkylthio-C_1-C_6-alkyl, C_1-C_6-alkylsulfinyl-C_1-C_6-alkyl or C_1-C_6-alkylsulfonyl-C_1-C_6-alkyl, or represents C_3-C_5-alkylene, C_3-C_5-haloalkylene, oxy-C_2-C_4-alkylene, oxy-C_2-C_4-haloalkylene, C_2-C_4-alkyleneoxy, C_2-C_4-haloalkyleneoxy, C_1-C_3-alkylenedioxy or C_1-C_3-haloalkylenedioxy, in case W^2 are two adjacent substituents,

W^3 represents hydrogen or has the same definition as the aforementioned W^1,

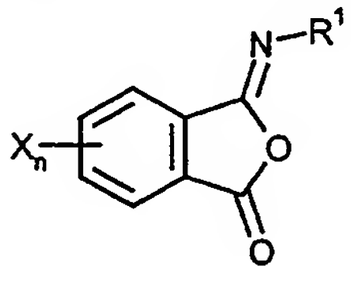
p represents 0, 1 or 2,

q represents 0, 1, 2 or 3,

3. Processes for the preparation of the compounds of the formula (I) according to Claim 1, characterized in that

(a) in case that R^2 in the formula (I) represents hydrogen

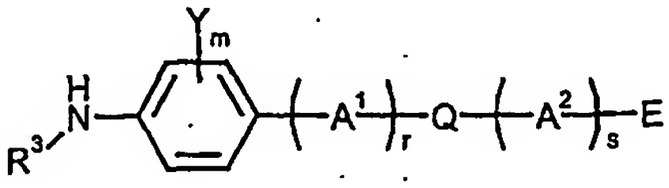
compounds of the formula (II)



(II)

wherein R^1, X and n have the same definition as mentioned in Claim 1;

are reacted with compounds of the formula (III)



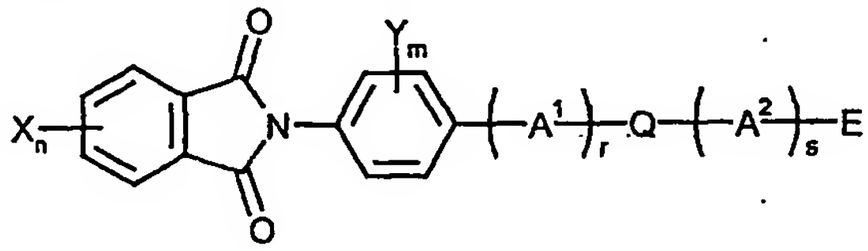
(III)

wherein R^3, Y, m, A^1, r, Q, A^2, s and E have the same definition as mentioned in Claim 1,

in the presence of inert solvents, or

(b) in case that R^3 in the formula (I) represents hydrogen atom

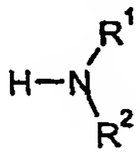
compounds of the formula (IV).



(IV)

wherein X, n, Y, m, A^1, r, Q, A^2, s and E have the same definition as mentioned in Claim 1,

are reacted with compounds of the formula (V)

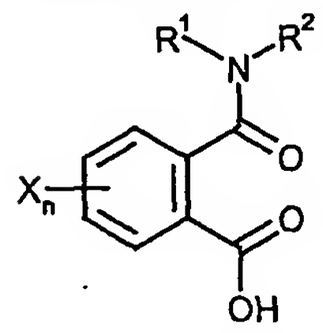


(V)

wherein R^1 and R^2 have the same definition as mentioned in Claim 1,

in the presence of inert solvents, and if appropriate, in the presence of a base, or

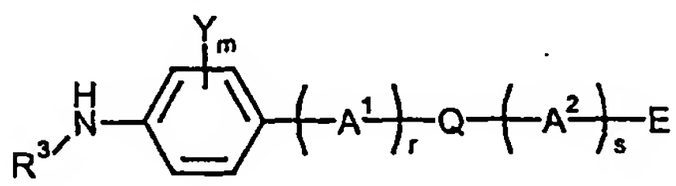
(c) compounds of the formula (VI)



(VI)

wherein X, n, R^1 and R^2 have the same definition as mentioned in Claim 1,

are reacted with the compounds of the formula (III),



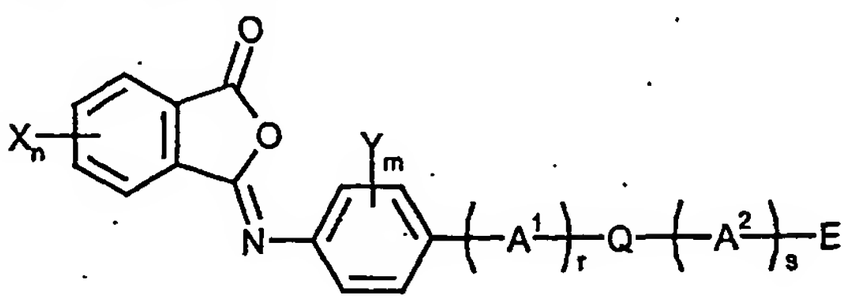
(III)

wherein R^3, Y, m, A^1, r, Q, A^2, s and E have the same definition as mentioned in Claim 1,

in the presence of inert solvents, or

(d) in case that R^3 in the formula (I) represents hydrogen atom.

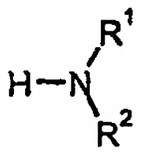
compounds of the formula (VII)



(VII)

wherein X, n, Y, m, A^1, r, Q, A^2, s and E have the same definition as mentioned in Claim 1,

are reacted with the compounds of the formula (V),

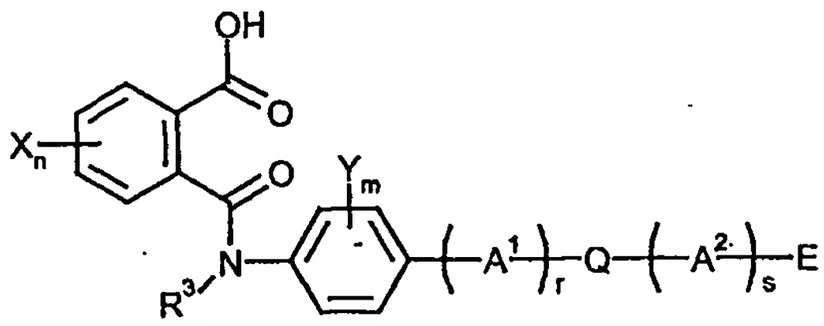


(V)

wherein R^1 and R^2 have the same definition as mentioned in Claim 1,

in the presence of inert solvents, or

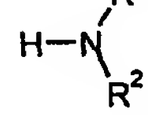
(e) compounds of the formula (VIII)



(VIII)

wherein X, n, R^3, Y, m, A^1, r, Q, A^2, s and E have the same definition as mentioned in Claim 1,

are reacted with the compounds of the formula (V),



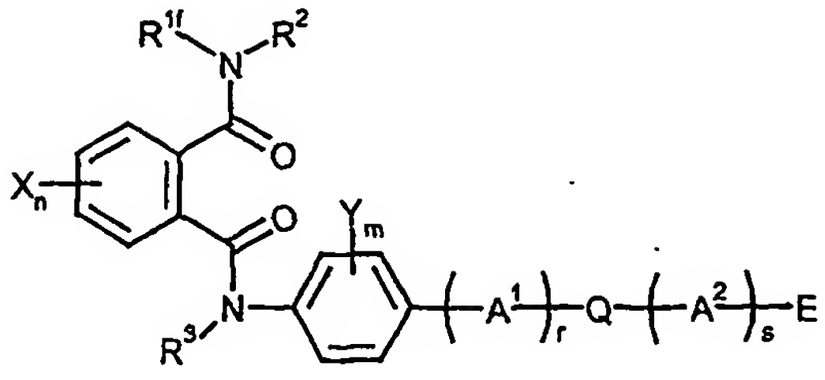
(V)

wherein R^1 and R^2 have the same definition as mentioned in Claim 1,

in the presence of inert solvents, or

(f) in case that R^1 in the formula (I) represents C_1-C_6-alkylsulfinyl-C_1-C_6-alkyl or C_1-C_6-alkylsulfonyl-C_1-C_6-alkyl

compounds of the formula (If)



(If)

wherein R^{1f} represents C_1-C_6-alkylthio-C_1-C_6-alkyl, and

X, n, R^2, R^3, Y, m, A^1, r, Q, A^2, s and E have the same definition as mentioned in Claim 1,

are reacted with an oxidizing agent in the presence of inert solvents.

4. Pesticides, characterized in that they comprise at least one compound of the formula (I) according to Claim 1.

5. A method of combating harmful insects characterized in that the compounds of formula (I) according to Claim 1 are allowed to act on pests and/or their habitat.

6. Use of the compounds of the formula (I) for combating harmful insects.

7. A process for preparing harmful insects compositions characterized in that the compounds of the formula (I) according to Claim 1 are mixed with extenders and/or surface active agents.

INTERNATIONAL SEARCH REPORT

International Application No
PCT/EP2004/002024

A. CLASSIFICATION OF SUBJECT MATTER
IPC 7 C07D257/04 C07D231/12 C07D261/04 C07D277/28 C07D271/06
C07D271/10 C07D233/32 C07D233/70 C07D233/54 C07D263/32
C07D249/08 C07D285/12 C07D207/44 C07D231/22 C07D233/72

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)
IPC 7 C07D A01N

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)
EPO-Internal, WPI Data, BEILSTEIN Data, CHEM ABS Data

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category °	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	EP 0 919 542 A (NIHON NOHYAKU CO., LTD.) 2 June 1999 (1999-06-02) cited in the application the whole document, particularly table 1, compounds 1617, 1657-1659, 1675-1678, 1703 and 1765 ----	1-7
X	DATABASE CAPLUS CHEMICAL ABSTRACTS SERVICE, COLUMBUS, OHIO, US; XP002282764 retrieved from STN Database accession no. 2003:117525 cited in the application RN 496813-47-9, 496814-03-0,496814-55-2, 496814-63-2, 496814-64-3 and 496814-65-4 abstract -/--	1-7

[X] Further documents are listed in the continuation of box C.

[X] Patent family members are listed in annex.

° Special categories of cited documents :

"A" document defining the general state of the art which is not considered to be of particular relevance

"E" earlier document but published on or after the international filing date

"L" document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)

"O" document referring to an oral disclosure, use, exhibition or other means

"P" document published prior to the international filing date but later than the priority date claimed

"T" later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention

"X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone

"Y" document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.

"&" document member of the same patent family

Date of the actual completion of the international search	Date of mailing of the international search report
1 June 2004	21/06/2004

Name and mailing address of the ISA	Authorized officer
European Patent Office, P.B. 5818 Patentlaan 2 NL – 2280 HV Rijswijk Tel. (+31-70) 340-2040, Tx. 31 651 epo nl, Fax: (+31-70) 340-3016	Allard, M

INTERNATIONAL SEARCH REPORT

International Application No
PCT/EP2004/002024

C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
	-& DATABASE WPI Section Ch, Week 200323 Derwent Publications Ltd., London, GB; Class C02, AN 2003-239459 XP002282765 -& WO 03/011028 A1 (NISSAN CHEM IND LTD) 13 February 2003 (2003-02-13) abstract ----	
E	WO 2004/018410 A (NISSAN CHEMICAL INDUSTRIES. LTD.) 4 March 2004 (2004-03-04) the whole document ----	1-7

INTERNATIONAL SEARCH REPORT

Information on patent family members

International Application No
PCT/EP2004/002024

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